

```
11
ring nodes:
    1 2 3 4 5 6 7 8
chain bonds:
    2-11
ring bonds:
    1-2 1-5 1-8 2-3 3-4 4-5 5-6 6-7 7-8
exact/norm bonds:
    1-2 1-5 1-8 2-3 2-11 3-4 4-5 5-6 6-7 7-8
isolated ring systems:
    containing 1:
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 11:CLASS

Match level:

```
C:\stnweb\Queries\5.str
```

```
ring bonds:

1-2 1-5 1-8 2-3 3-4 4-5 5-6 6-7 7-8

exact/norm bonds:

1-2 1-5 1-8 2-3 2-11 3-4 3-13 4-5 5-6 6-7 7-8

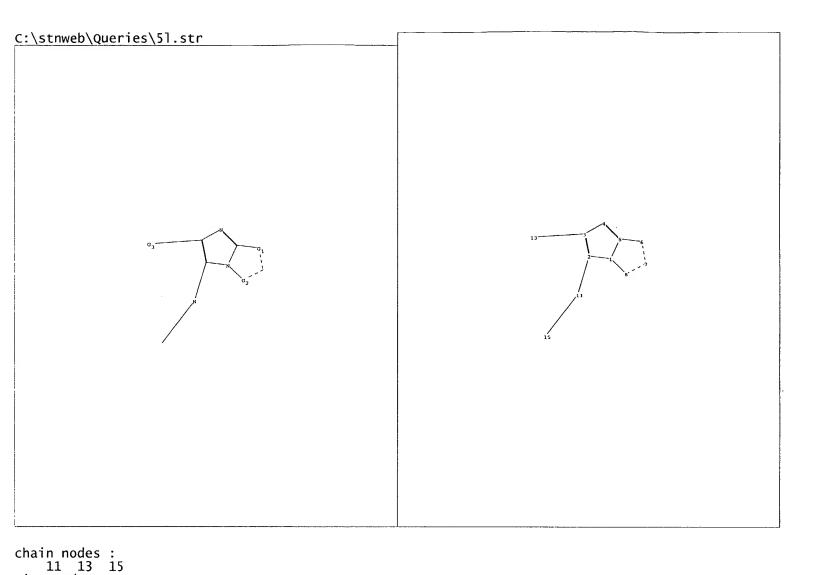
isolated ring systems:
       containing 1:
G1:C,S,N
G2:N,C
G3:Cy,Ak
Match level:
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 11:CLASS 13:CLASS

chain nodes : 11 13

2-11 3-13

ring nodes : 1 2 3 4 5 6 7 8 chain bonds :



ring nodes : 1 2 3 4 5 6 7 8

2-11 3-13 11-15

chain bonds :

```
C:\stnweb\Queries\9.str
```

```
ring nodes:
    1 2 3 4 5 6 7 8

chain bonds:
    2-11 3-13

ring bonds:
    1-2 1-5 1-8 2-3 3-4 4-5 5-6 6-7 7-8

exact/norm bonds:
    1-2 1-5 1-8 2-3 2-11 3-4 3-13 4-5 5-6 6-7 7-8

isolated ring systems:
    containing 1:

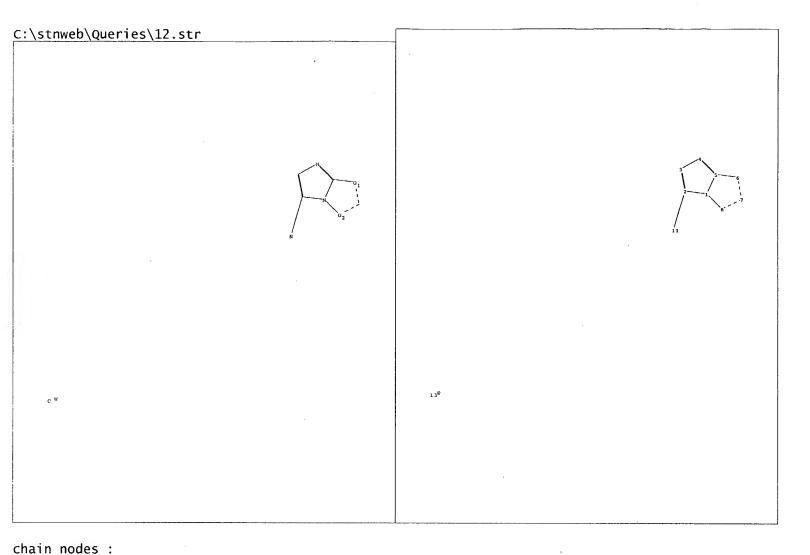
G1:C,S,N

G2:N,C

G4:H,F,Hy,CH3,OH,Cb,[*1]

Match level:
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 11:CLASS 13:CLASS 14:CLASS
```

chain nodes: 11 13 14



1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 11:CLASS 13:CLASS

```
11 13
ring nodes:
    1 2 3 4 5 6 7 8
chain bonds:
    2-11
ring bonds:
    1-2 1-5 1-8 2-3 3-4 4-5 5-6 6-7 7-8
exact/norm bonds:
    1-2 1-5 1-8 2-3 2-11 3-4 4-5 5-6 6-7 7-8
isolated ring systems:
    containing 1:

G1:C,S,N
G2:N,C
```

Match level:

|            |      |    | 10200110 == == = = = = = = = = = = = = = =                   |  |  |  |  |  |  |  |
|------------|------|----|--|--|--|--|--|--|--|--|
| NEWS 1     |      |    | Web Page URLs for STN Seminar Schedule - N. America          |  |  |  |  |  |  |  |
| NEWS 2     |      |    | "Ask CAS" for self-help around the clock                     |  |  |  |  |  |  |  |
| NEWS 3     | JAN  | 27 | Source of Registration (SR) information in REGISTRY updated  |  |  |  |  |  |  |  |
|            |      |    | and searchable   |  |  |  |  |  |  |  |
| NEWS 4     | JAN  | 27 | A new search aid, the Company Name Thesaurus, available in   |  |  |  |  |  |  |  |
|            |      |    | CA/CAplus  |  |  |  |  |  |  |  |
| NEWS 5     | FEB  | 05 | German (DE) application and patent publication number format |  |  |  |  |  |  |  |
|            |      |    | changes  |  |  |  |  |  |  |  |
| NEWS 6     |      |    | MEDLINE and LMEDLINE reloaded                                |  |  |  |  |  |  |  |
| NEWS 7     | MAR  |    | MEDLINE file segment of TOXCENTER reloaded                   |  |  |  |  |  |  |  |
| NEWS 8     | MAR  |    | FRANCEPAT now available on STN                               |  |  |  |  |  |  |  |
| NEWS 9     | MAR  |    | Pharmaceutical Substances (PS) now available on STN          |  |  |  |  |  |  |  |
|            | MAR  |    | WPIFV now available on STN                                   |  |  |  |  |  |  |  |
|            | MAR  |    | New monthly current-awareness alert (SDI) frequency in RAPRA |  |  |  |  |  |  |  |
|            | APR  |    | PROMT: New display field available                           |  |  |  |  |  |  |  |
| NEWS 13    | APR  | 26 | IFIPAT/IFIUDB/IFICDB: New super search and display field     |  |  |  |  |  |  |  |
|            |      |    | available  |  |  |  |  |  |  |  |
| NEWS 14    |      |    | LITALERT now available on STN                                |  |  |  |  |  |  |  |
| NEWS 15    | APR  |    | NLDB: New search and display fields available                |  |  |  |  |  |  |  |
| NEWS 16    | May  |    | PROUSDDR now available on STN                                |  |  |  |  |  |  |  |
| NEWS 17    | May  | 19 | PROUSDDR: One FREE connect hour, per account, in both May    |  |  |  |  |  |  |  |
| MENG 10    | 14   | 10 | and June 2004  |  |  |  |  |  |  |  |
| NEWS 18    | -    | 12 |  |  |  |  |  |  |  |  |
| NEWS 19    | мау  | 12 | Polymer links for the POLYLINK command completed in REGISTRY |  |  |  |  |  |  |  |
| NEWS EXP   | ספפפ | MΛ | RCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT            |  |  |  |  |  |  |  |
| NEWS EXF   | KESS |    | CINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),                |  |  |  |  |  |  |  |
|            |      |    | D CURRENT DISCOVER FILE IS DATED 26 APRIL 2004               |  |  |  |  |  |  |  |
| NEWS HOU   | RS   |    | TN Operating Hours Plus Help Desk Availability               |  |  |  |  |  |  |  |
| NEWS INTER |      |    | General Internet Information                                 |  |  |  |  |  |  |  |
| NEWS LOGIN |      |    | Welcome Banner and News Items                                |  |  |  |  |  |  |  |
| NEWS PHO   |      |    | rect Dial and Telecommunication Network Access to STN        |  |  |  |  |  |  |  |
| NEWS WWW   |      |    | S World Wide Web Site (general information)                  |  |  |  |  |  |  |  |
|            |      |    |  |  |  |  |  |  |  |  |
|            |      |    |  |  |  |  |  |  |  |  |

Welcome to STN International

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file

provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7 DICTIONARY FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

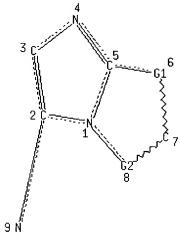
Experimental and calculated property data are now available. For more information enter <a href="http://example.com/HELP\_PROP">HELP\_PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

=> L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

N 13 C 14

C 10 S 11 N 12 Page 1-A



Page 1-B VAR G1=10/11/12 VAR G2=13/14 NODE ATTRIBUTES:

NSPEC IS R AT1 IS R ATNSPEC 2 IS R ATNSPEC 3 NSPEC IS R AT4 NSPEC IS R ATIS R NSPEC AΤ 6 IS R 7 NSPEC ATIS R NSPEC AT8 NSPEC IS C

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 9

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

=> s 11

SAMPLE SEARCH INITIATED 18:17:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 494 TO ITERATE

100.0% PROCESSED 494 IT

494 ITERATIONS

26 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

8547 TO 11213

PROJECTED ANSWERS:

215 TO 825

L2 26 SEA SSS SAM L1

=>

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

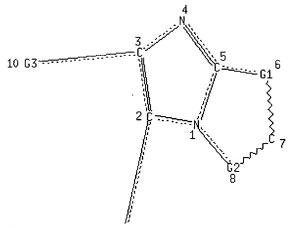
L3

STR

Cy 16Ak 17

N 14 C 15

C 11 S 12 N 13 Page 1-A



Page 1-B

9 N Page 2-B
VAR G1=11/12/13
VAR G2=14/15
VAR G3=16/17
NODE ATTRIBUTES:

NSPEC IS R AT 1

NSPEC IS R AT 2 IS R NSPEC AT NSPEC IS R AT4 IS R NSPEC AT5 NSPEC IS R AT NSPEC IS R AT7 IS R NSPEC AT IS C NSPEC ΔТ 9 IS C NSPEC AT10 DEFAULT MLEVEL IS ATOM MLEVEL IS CLASS AT 9 16 17 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

=> s 13

SAMPLE SEARCH INITIATED 18:19:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 494 TO ITERATE

100.0% PROCESSED 494 ITERATIONS 20 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 8547 TO 11213

PROJECTED ANSWERS: 132 TO 668

L4 20 SEA SSS SAM L3

=> s 13 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 18:19:51 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 10474 TO ITERATE

100.0% PROCESSED 10474 ITERATIONS 397 ANSWERS

SEARCH TIME: 00.00.01

L5 397 SEA SSS FUL L3

=> file hcaplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
159.62
159.83

FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21 FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

75 L5 1.6

STRUCTURE UPLOADED L7

=> s 17

### REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 18:21:18 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 301 TO ITERATE

100.0% PROCESSED 301 ITERATIONS SEARCH TIME: 00.00.01

6 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 4980 TO 7060 PROJECTED ANSWERS: 6 TO 266

6 SEA SSS SAM L7

L9 2 L8

=> file reg

COST IN U.S. DOLLARS SINCE FILE TOTAL

> ENTRY SESSION

FULL ESTIMATED COST 2.36 167.33

FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7 DICTIONARY FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7 TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter <a href="http://example.com/HELP\_PROP">HELP\_PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

=>

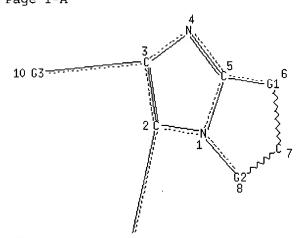
L10 STRUCTURE UPLOADED

=> d 110 L10 HAS NO ANSWERS L10 ST

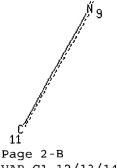
Cy 17Ak 18

N 15 C 16

C 12 S 13 N 14 Page 1-A



Page 1-B



Page 2-B VAR G1=12/13/14 VAR G2=15/16 VAR G3=17/18 NODE ATTRIBUTES: NSPEC IS R

AT 1

NSPEC IS R ATNSPEC IS R ATNSPEC IS R ATNSPEC IS R AT5 NSPEC IS R AT 7 NSPEC IS R AΤ NSPEC IS R ATNSPEC IS C ATIS C NSPEC AT10 IS C NSPEC AT 11 DEFAULT MLEVEL IS ATOM MLEVEL IS CLASS AT

9 11 17 18

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC T

NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

=> s 110

SAMPLE SEARCH INITIATED 18:21:37 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 301 TO ITERATE

100.0% PROCESSED 301 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

\*\*COMPLETE\*\* BATCH

PROJECTED ITERATIONS: 4980 TO 7060

6 TO PROJECTED ANSWERS: 266

6 SEA SSS SAM L10 L11

=> s 110 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y FULL SEARCH INITIATED 18:21:42 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 6308 TO ITERATE

87 ANSWERS 100.0% PROCESSED 6308 ITERATIONS

SEARCH TIME: 00.00.01

L12 87 SEA SSS FUL L10

=> file hcaplus

FULL ESTIMATED COST

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 155.42 322.75

FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21 FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 112

L13

16 L12

=> s 113 and gerlach, m?/au

233 GERLACH, M?/AU

L14 3 L13 AND GERLACH, M?/AU

=> d l14, ibib abs fhitstr, 1-3

L14 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

CHILD References Text ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

2001:798222 HCAPLUS

135:344484

Preparation of N-acylimidazopyridineamine chlorides

and analogs as  $\mu$ -opiate receptor ligands

Gerlach, Matthias; Maul, Corinna

Gruenenthal G.m.b.H., Germany

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 83 pp. CODEN: PIXXD2

Patent German

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

APPLICATION NO.

App 10273344

DATE

would consider

PATENT NO. KIND DATE \_\_\_\_\_\_ \_\_\_\_\_\_ 20011101 / WO 2001-EP3772 20010403 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK,  $DM_i^T$ , DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG A1 / 20020110 A1 20030115 DE 10019714 DE 2000-10019714 20000420 EP 2001-931560 EP 1274709 20010403 R: AT, BE, CH / DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR T2 20031021 JP 2003531208

US 2003119842 PRIORITY APPLN. INFO.:

NO 2002004838

JP 2001-578434 20010403 NO 2002-4838 20021007 US 2002-273344 20021018

DE 2000-10019714 A 20000420 WO 2001-EP3772 W 20010403

20021007

20030626

A1

OTHER SOURCE(S):

MARPAT 135:344484

GI

Title compds. (ICl-)[II; R1 = CMe3, cyclohexyl, CH2CO2Me, (un)substituted Ph, etc.; R2 = H or alkanoyl; R3 = Me, Ph, 2-furyl, 2-pyridinyl, etc.; R4R5 = (un)substituted CH:CHCH:CH, CH:NCH:CH, N:CHCH:CH, etc.; R8 = (cyclo)alkyl] were prepd. Thus, 2-aminopyridine was cyclocondensed with Me3CNC and PhCHO to give, after N-acylation, II (R1 = CMe3, R2 = H, R3 = Ph, R4R5 = CH:CHCH:CH, R8 = Me). Data for biol. activity of II were given.

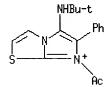
IT 370858-36-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-acylimidazopyridineamine chlorides and analogs as μ-opiate receptor ligands)

RN 370858-36-9 HCAPLUS

Imidazo[2,1-b]thiazolium, 7-acetyl-5-[(1,1-dimethylethyl)amino]-6-phenyl-, chloride (9CI) (CA INDEX NAME)



CN

# Cl -

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN



ACCESSION NUMBER:

2001:283961 HCAPLUS

DOCUMENT NUMBER: 134:295826

TITLE:

Preparation of imidazopyridineamines and analogs

analgesics

INVENTOR(S): Gerlach, Matthias; Maul, Corinna PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE

APPLICATION NO. DATE

```
20010419
                                           WO 2000-EP9098
     WO 2001027119
                       A2
                                                            20000918
     WO 2001027119
                       Α3
                            20011011
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,
             IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
             MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
             SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                            20010607
                                        DE 1999-19948434 19991008
     DE 19948434
                      A1
                            20030930
     PT 1218378
                      \mathbf{T}
                                          PT 2000-969439
                                                            20001006
                      Т3
                            20040201
     ES 2198355
                                          ES 2000-969439
                                                            20001006
                                           ZA 2002-3579
     ZA 2002003579
                      Α
                            20030806
                                                            20020506
PRIORITY APPLN. INFO.:
                                        DE 1999-19948434 A 19991008
OTHER SOURCE(S):
                       MARPAT 134:295826
GI
```

Substance libraries comprising, e.g., I [R1 = CMe3, cycloalkyl, AB (un) substituted Ph, etc.; R2 = H, cycloalkyl, alkanoyl, etc.; R3 = (cyclo)alkyl, (un)substituted (hetero)aryl, etc.; R5,R6 = H, halo, alkyl, alkoxy, etc.; Z = N or CR10; Z1 = N or CR9; R9,R10 = groups cited for R5;  $Z = N \neq Z1$ ;  $Z1 = N \neq Z$ ] were prepd. Thus, pyridine-2-amine was cyclocondensed with cyclohexanecarboxaldehyde and tert-Bu isocyanide to give I (R1 = CMe3, R2 = R5 = R6 = H, R3 = cyclohexyl, Z = Z1 = CH). Data for biol. activity of I were given.

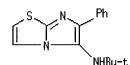
IT 214531-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazopyridineamines and analogs as analgesics)

RN 214531-41-6 HCAPLUS

CN Imidazo[2,1-b]thiazol-5-amine, N-(1,1-dimethylethyl)-6-phenyl- (9CI) INDEX NAME)



L14 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Citing References Full ACCESSION NUMBER:

2001:283960 HCAPLUS

DOCUMENT NUMBER:

TITLE:

Preparation of aminoimidazo[2,1-b]thiazoles, -pyrazoles, and -triazoles as analgesics

INVENTOR(S): Gerlach, Matthias; Maul, Corinna PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 56 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO.                            | KIND DATE     |            |      | APPLICATION NO. |          |                        |                |       | o.    | DATE      |      |          |      |     |     |
|---------------------------------------|---------------|------------|------|-----------------|----------|------------------------|----------------|-------|-------|-----------|------|----------|------|-----|-----|
| WO 2001027                            | WO 2001027118 |            |      | A2 20010419     |          |                        | WO 2000-EP9097 |       |       |           |      | 20000918 |      |     |     |
| WO 2001027                            | WO 2001027118 |            |      | 2001            | 0920     |                        |                |       |       |           |      |          |      |     |     |
| W: AE                                 | , AL,         | AM,        | ΑT,  | ΑŪ,             | AZ,      | BA,                    | BB,            | ВG,   | BR,   | BY,       | CA,  | CH,      | CN,  | CR, | CU, |
| CZ                                    | , DK,         | DM,        | EE,  | ES,             | FI,      | GB,                    | GD,            | GE,   | GH,   | GM,       | HR,  | HU,      | ID,  | IL, | IN, |
| IS                                    | , JP,         | KE,        | KG,  | KP,             | KR,      | KZ,                    | LC,            | LK,   | LR,   | LŞ,       | LT,  | LU,      | LV,  | MA, | MD, |
| MG                                    | , MK,         | MN,        | MW,  | MX,             | NO,      | NZ,                    | PL,            | PT,   | RO,   | RU,       | SD,  | SE,      | SG,  | SI, | SK, |
| SL                                    | , TJ,         | TM,        | TR,  | TT,             | TZ,      | UA,                    | UG,            | US,   | UZ,   | VN,       | ΥU,  | ZA,      | ZW,  | AM, | AZ, |
| BY                                    | , KG,         | KZ,        | MD,  | RU,             | TJ,      | $\mathbf{M}\mathbf{T}$ |                |       |       |           |      |          |      |     |     |
| RW: GH                                | , GM,         | KE,        | LS,  | MW,             | MZ,      | SD,                    | SL,            | SZ,   | TZ,   | UG,       | ZW,  | AT,      | BE,  | CH, | CY, |
| DE                                    | , DK,         | ES,        | FI,  | FR,             | GB,      | GR,                    | IE,            | IT,   | LU,   | MC,       | NL,  | PT,      | SE,  | BF, | ВJ, |
| CF                                    | , CG,         | CI,        | CM,  | GA,             | GN,      | GW,                    | ML,            | MR,   | NE,   | SN,       | TD,  | TG       |      |     |     |
| DE 1994843                            | 4             | Α          | 1    | 2001            | 0607     |                        | D              | E 199 | 99-1  | 99484     | 434  | 1999     | 1008 |     |     |
| DE 1994843                            | 6             | Α          | 1    | 2001            | 0607     |                        | D              | E 199 | 99-1  | 99484     | 436  | 1999     | 1008 |     |     |
| BR 2000014                            | 817           | Α          |      | 2002            | 0618     |                        | B              | R 200 | 00-1  | 4817      |      | 20000918 |      |     |     |
| EP 1218383                            | -             | A          |      | 2002            |          |                        |                | P 200 |       |           |      | 20000918 |      |     |     |
| R: AT                                 |               |            |      |                 |          |                        |                |       | IT,   | LI,       | LU,  | NL,      | SE,  | MC, | PT, |
|                                       | S, SI,        | LT,        | LV,  | FI,             | RO,      | MK,                    | CY,            | AL    |       |           |      |          |      |     |     |
| JP 2003511                            | 456           | T          | 2    | 2003            | 0325     |                        | <u>J</u>       | P 200 | 01-5  | 3033      | 6    | 2000     | 0918 |     |     |
| NZ 518390                             |               | A          |      | 2003            | 1031     |                        | N              | Z 200 | 00-5  | 1839      | 0_   | 2000     | 0918 |     |     |
| NO 2002001                            | 566           | A          |      | 2002            |          |                        | N              | 20t   | 02-1  | 566       |      | 2002     | 0403 |     |     |
| <u>US 2002183</u>                     | A             | 1          | 2002 | 1205            |          | <u>U</u> :             | S 200          | 02-1  | 1733! | 5         | 2002 | 0408     |      |     |     |
| <u>US 6657064</u>                     | -             | B          |      | 2003            |          |                        |                |       |       |           |      |          |      |     |     |
| #US 2004023                           |               | A          | 1    | 2004            | 0205     |                        |                | S 200 |       |           | _    | 2003     | 0805 |     |     |
| PRIORITY APPLN.                       | INFO          | .:         |      |                 |          | -                      | DE 1:          | -     | -     |           |      | 1999     |      |     |     |
| -                                     | N             |            |      |                 |          | -                      | DE 1:          |       |       |           |      | 1999     |      |     |     |
| 1                                     |               |            |      |                 |          |                        | DE 1:          |       |       |           |      | 1999     |      |     |     |
|                                       | 8             |            |      |                 |          | -                      | WO 2           |       |       |           | W    | 2000     |      |     |     |
|                                       | im            |            |      |                 |          |                        | <del></del>    | 002-  | 1173  | <u>35</u> | A3   | 2002     | 0408 |     |     |
| OTHER SOURCE(S): MARPAT 134:295829 GI |               |            |      |                 |          |                        |                |       |       |           |      |          |      |     |     |
|                                       |               |            |      |                 |          |                        |                |       |       |           |      |          |      |     |     |
|                                       |               | own Carles |      | 3               | Nacron . | P.                     | ern ,          | 0     | a O   | 1         |      |          |      |     |     |
| N-X                                   |               |            |      |                 |          |                        |                |       |       |           |      |          |      |     |     |
| N = X                                 | p4            |            |      |                 | **       | i                      | y ö            |       |       |           |      |          |      |     |     |
| N-V                                   | ••            |            |      |                 |          |                        |                |       |       |           |      |          |      |     |     |
| R1R2N                                 | I             |            |      |                 |          |                        |                |       |       |           |      |          |      |     |     |

AB Title compds. [I; R1 = CMe3, cyanohexyl, (substituted) Ph, cycloalkyl, etc.; R2 = H, (branched) (substituted) alkylcarbonyl, Ph, naphthyl, pyridyl, thiazolyl, furoyl, etc.; R3 = (branched) alkylcycloalkyl, (substituted) Ph, naphthyl, quinolinyl, anthracenyl, phenanthrenyl, etc.; X = CR5, N, S; Y = N, but when X = S, Y = CR6, N; R4, R5, R6 = H, (branched) alkyl, halo, CF3, cyano, NO2, amino, etc.], were prepd. Using a Zymark robotic synthesis system, 3-amino-1,2,4-triazole and HClO4 in CH2Cl2, furfural in CH2Cl2, and tert-butylisonitrile in CH2Cl2 were added successively to a reactor tube at 15° followed by 11 h stirring at 15° to give tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)amine. Several I at 10 μM showed 34-77% α2 adrenoceptor affinity.

```
IT 334771-60-7P
```

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aminoimidazothiazoles, -pyrazoles, and -triazoles as analgesics)

RN 334771-60-7 HCAPLUS

CN 1H-Imidazo[1,2-b][1,2,4]triazol-6-amine, N-(1,1-dimethylethyl)-5-(2-furanyl)- (9CI) (CA INDEX NAME)

#### => d his

(FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004)

FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004

L1 STRUCTURE UPLOADED

L2 26 S L1

L3 STRUCTURE UPLOADED

L4 20 S L3

L5 397 S L3 FULL

FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004

L6 75 S L5

L7 STRUCTURE UPLOADED

S L7

FILE 'REGISTRY' ENTERED AT 18:21:18 ON 14 MAY 2004

L8 6 S L7

FILE 'HCAPLUS' ENTERED AT 18:21:19 ON 14 MAY 2004

L9 2 S L8

FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004

L10 STRUCTURE UPLOADED

L11 6 S L10

L12 87 S L10 FULL

FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004

16 S L12

L14 3 S L13 AND GERLACH, M?/AU

=> s 113 not 114

L13

L15 13 L13 NOT L14

=> s 115 and maul,c?/au

93 MAUL, C?/AU

L16 0 L15 AND MAUL, C?/AU

=> d 115, ibib abs fhitstr, 1-13

L15 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References

ACCESSION NUMBER: 2003:507684 HCAPLUS

DOCUMENT NUMBER: 139:85530

TITLE: Preparation of C1 to c4 side-chain modified

nodulisporic acid analogs as anthelmintic agents

INVENTOR(S): Shih, Thomas; Colletti, Steven L.; Fisher, Michael H.;

Meinke, Peter T.; Kuo, Howard C. H.; Chakravarty, Prasun K.; Wyvratt, Matthew J.; Tyagarajan, Sriram;

Ι

Η

Berger, Richard

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE:

U.S., 57 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 6586452 B1 20030701 US 2001-901266 20010709
RITY APPLN. INFO.: US 2000-218398P P 20000714

<u>PRIORITY</u> APPLN. INFO.: OTHER SOURCE(S):

MARPAT 139:85530

GI

Me Me Me Me Me Me 
$$R^6$$
  $R^7$   $R^8$ 

AB Nodulisporic acid derivs., such as I [R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl; R2-R4 = (substituted) OH; R1R2 = O; R5 = H, (substituted) OH; R4R5 = O; R6-R8 = H, alkyl, alkenyl, aryl, cycloalkyl, halo, CN acyl, amino, etc.] were prepd. The compds. were acaricidal, antiparasitic, insecticidal and anthelmintic agents. Thus, nodulisporic acid deriv. II was prepd. via a multistep synthetic sequence starting from nodulisporic acid A, N-methylhydroxylamine hydrochloride and N-phenyl-maleimide.

## IT 552836-27-8P

RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of C1 to c4 side-chain modified nodulisporic acid analogs as anthelmintic agents)

RN 552836-27-8 HCAPLUS

CN 1H-Benz[6,7]indeno[1,2-b]pyrano[3',4':4,5]cyclopenta[1,2-f]pyrrolo[3,2,1-

hi]indol-14(15H)-one, 4-[(1E)-2-[5-[(1,1-dimethylethyl)amino]imidazo[1,2-b]thiazol-6-yl]ethenyl]-2,3,4,4a,5,6,6a,7,10,12,12a,13,16b,16c-tetradecahydro-3,13-dihydroxy-4,10,10,12,12,16b,16c-heptamethyl-15-(1-methylethenyl)-, (3S,4S,4aR,6aS,12aR,13S,15S,16bS,16cS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-B

N

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

2003:363790 HCAPLUS

DOCUMENT NUMBER:

139:230677

TITLE:

Microwave-assisted multi-component synthesis of fused

3-aminoimidazoles

AUTHOR(S):

Ireland, Sarah M.; Tye, Heather; Whittaker, Mark Evotec OAI, Abingdon, Oxfordshire, OX14 4SD, UK

CORPORATE SOURCE: SOURCE:

Tetrahedron Letters (2003), 44(23), 4369-4371

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 139:230677

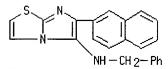
AB A variety of fused 3-aminoimidazoles have been synthesized by a microwave assisted Ugi three-component coupling (3cc) reaction catalyzed by scandium triflate in methanol as solvent. Yields of 33-93% have been achieved after just 10 min of microwave irradn. using a simple one-stage procedure. The methodol. described is suitable for the rapid and efficient synthesis of a range of fused heterocycles of pharmacol. interest.

# IT 593270-92-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of fused 3-aminoimidazoles via microwave assisted Ugi three-component coupling as the key step)

RN 593270-92-9 HCAPLUS

CN Imidazo[2,1-b]thiazol-5-amine, 6-(2-naphthalenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References

ACCESSION NUMBER:

2003:90593 HCAPLUS

DOCUMENT NUMBER:

138:401653

TITLE:

Fused heterocycles: Synthesis of some new

imidazothiazoles

AUTHOR (S):

Cesur, Nesrin; Cesur, Zafer; Guner, Handan;

Kasimogullari, B. Ozden

CORPORATE SOURCE:

Department of Pharmaceutical Chemistry, Faculty of Pharmacy, University of Istanbul, Instanbul, 34452,

Turk.

SOURCE:

Heterocyclic Communications (2002), 8(5), 433-438

CODEN: HCOMEX; ISSN: 0793-0283

PUBLISHER:

Freund Publishing House Ltd.

DOCUMENT TYPE:

Journal English

LANGUAGE: OTHER SOURCE(S):

CASREACT 138:401653

GI

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

AB Reaction of aldehyde-hydrazones or semicarbazones bearing an imidazo[2,1-b][1,3]thiazole ring system with mercaptoalkanoic acids were investigated and found to give thiazolidine and thiazine derivs., e.g. I and II. Antimycobacterial activities of compds. thus obtained were evaluated against Mycobacterium tuberculosis H37Rv using rifampine as std. (no data).

IT 531501-57-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of some new imidazothiazoles via aldehyde hydrazones or semicarbazones)

RN 531501-57-2 HCAPLUS

CN Carbamic acid, (6-methylimidazo[2,1-b]thiazol-5-yl)-, ethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2000:211394 HCAPLUS

DOCUMENT NUMBER: 132:334420

TITLE: Synthesis of new functionalized imidazo[2,1-

b]thiazoles and thiazolo[3,2-a]pyrimidines

AUTHOR(S): Peterlin-Masic, Lucija; Malesic, Mateja; Breznik,

Matej; Krbavcic, Ales

CORPORATE SOURCE: Faculty of Pharmacy, University of Ljubljana,

Ljubljana, 1000, Slovenia

SOURCE: Journal of Heterocyclic Chemistry (2000), 37(1),

95-101

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal LANGUAGE: English

AB 5-0xo-5H-[1,3]thiazolo[3,2-a]pyrimidine-6-carboxylic acid and

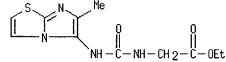
6-methylimidazo[2,1-b]thiazole-5-carboxylic acid were reacted with amines via reaction with oxalyl chloride and use of N,N-dimethylformamide as a catalyst to give primary and secondary amide derivs. N,N'-disubstituted ureas and perhydroimidazo[1,5-c]thiazole derivs. of imidazo[2,1-b]thiazole were also prepd. By NMR anal. of one of the compds. prepd., existence of two stereoisomers resulting from both optical and conformational isomerism was obsd.

IT 267897-75-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of imidazo[2,1-b]thiazoles and thiazolo[3,2-a]pyrimidines)

RN 267897-75-6 HCAPLUS



REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1998:624858 HCAPLUS

DOCUMENT NUMBER: 129:302566

TITLE: A new heterocyclic multicomponent reaction for the

combinatorial synthesis of fused 3-aminoimidazoles

AUTHOR(S): Bienayme, Hugues; Bouzid, Kamel

CORPORATE SOURCE: Rhone-Poulenc Technologies, St-Fons, F-69192, Fr. SOURCE: Angewandte Chemie, International Edition (1998),

37(16), 2234-2237

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:302566

GI

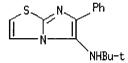
Reaction of heteroarom. amidines, aldehydes, and isonitriles in the AB presence of a catalytic amt. of protic acids gave fused 3-aminoimidazoles. E.g., HCl04-catalyzed reaction of 2-aminopyrimidine, PhCHO, and Me3CNC gave 82% imidazopyrimidine I.

IT 214531-41-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of fused aminoimidazoles by multicomponent reaction of aminoamidines, aldehydes, and isonitriles)

RN214531-41-6 HCAPLUS

Imidazo[2,1-b]thiazol-5-amine, N-(1,1-dimethylethyl)-6-phenyl- (9CI) (CA CN INDEX NAME)



REFERENCE COUNT:

32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN



ACCESSION NUMBER:

1997:169046 HCAPLUS

DOCUMENT NUMBER:

126:238333

TITLE:

Transformations of methyl L-(-)-Thiazolidine-4-

carboxylate, 2-amino-2-thiazoline and 2-aminothiazole

into thiazoloazines and azolothiazoles

AUTHOR(S):

Malesic, Mateja; Krbavcic, Ales; Stanovnik, Branko

CORPORATE SOURCE: Faculty of Pharmacy, University of Ljubljana,

Lujbljana, 1000, Slovenia

SOURCE:

Journal of Heterocyclic Chemistry (1997), 34(1), 49-55

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER:

HeteroCorporation

DOCUMENT TYPE:

Journal

LANGUAGE: English

In the search for potential immunomodulators Me L-(-)-thiazolidine-4carboxylate (I), 2-amino-2-thiazoline (II), and 2-aminothiazole (III) were transformed into derivs. of various bicyclic systems. Thus, from I, derivs. of perhydrothiazolo[3,4-a]pyrazine, perhydrothiazolo[4,3c][1,4]oxazine, and perhydroimidazo[1,5-c]thiazole were prepd. From II, derivs. of 2,3-dihydrothiazolo[2,3-b]pyrimidine were prepd. From III, derivs. of imidazo[2,1-b]thiazoline were prepd. 6-(P-Sulfamoylphenyl)-7oxoperhydroimidazo[1,5-c]thiazole-5-thione was found to exhibit immunorestoration activity.

IT 188561-50-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (transformations of Me thiazolidinecarboxylate, aminothiazoline, and aminothiazole into thiazoloazines and azolothiazoles)

RN 188561-50-4 HCAPLUS CN Urea, (6-methylimidazo[2,1-b]thiazol-5-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

1995:350430 HCAPLUS

DOCUMENT NUMBER:

122:147044

TITLE:

A silver halide color photographic material.

INVENTOR(S):
PATENT ASSIGNEE(S):

Ikesu, Satoru; Kaneko, Yutaka Konica Corporation, Japan

SOURCE:

Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO.           | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------------|--------|----------|-----------------|----------|
|                      |        |          |                 |          |
| EP 608133            | A1     | 19940727 | EP 1994-300429  | 19940120 |
| EP 608133            | B1     | 19990707 | •               |          |
| R: DE, FR,           | GB, NL |          |                 |          |
| JP 06222526          | A2     | 19940812 | JP 1993-8572    | 19930121 |
| JP 06242569          | A2     | 19940902 | JP 1993-25720   | 19930215 |
| JP 06242570          | A2     | 19940902 | JP 1993-25721   | 19930215 |
| PRIORITY APPLN. INFO | . :    |          | JP 1993-8572    | 19930121 |
|                      |        |          | JP 1993-25720   | 19930215 |
|                      |        |          | JP 1993-25721   | 19930215 |
|                      |        |          |                 |          |

OTHER SOURCE(S):

MARPAT 122:147044

GI

$$\begin{array}{c|c}
EMG & N & N \\
X & N & R^2 & III
\end{array}$$

AB A Ag halide color photog. material comprises ≥1 of the hydrophilic colloid layers contg. a cyan dye-forming coupler represented by I, II, or III [R1-R3, Y = H, substituent; EWG = electron withdrawing group having Hammet's substituent const. ≥0.3; X = H, group capable of splitting off upon reaction with an oxidized product of a color developing agent]. The formed dye images have improved hue stability against heat, moisture and light.

IT 160877-96-3

RL: DEV (Device component use); TEM (Technical or engineered material use); USES (Uses)

(photog. cyan coupler for improved hue stability)

RN 160877-96-3 HCAPLUS

CN Heneicosanoic acid, 3-[[[6-[(butylamino)sulfonyl]-2-methyl-1H-imidazo[1,2-a]imidazol-3-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L15 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1993:222791 HCAPLUS

DOCUMENT NUMBER: 118:222791

TITLE: Photographic cyan coupler with heat and moisture

resistance

INVENTOR(S):
Kita, Hiroshi; Kaneko, Yutaka; Ikesu, Satoru

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Patent Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.            | KIND | DATE         |     | APPLICATION NO. | DATE     |
|-----------------------|------|--------------|-----|-----------------|----------|
|                       |      |              |     |                 | <b>-</b> |
| JP 04260035           | A2   | 19920916     |     | JP 1991-42345   | 19910215 |
| JP 2849954            | B2   | 19990127     |     |                 |          |
| PRIORITY APPLN. INFO. | :    |              | JP  | 1991-42345      | 19910215 |
| OTHER SOURCE(S):      | MA   | RPAT 118:222 | 791 |                 |          |

GI

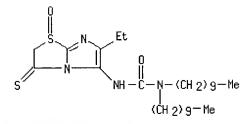
AB Photog. coupler I (R1-2 = H, substituent, R1 and R2 may form a ring; R3 = H, releasing group by the reaction with the oxidized color developing agent; Z = 0, S; n = 1-2). The coupler gives cyan images with heat-, light-, and moisture-resistance.

IT 147034-73-9

RL: TEM (Technical or engineered material use); USES (Uses) (photog. cyan coupler)

RN 147034-73-9 HCAPLUS

CN Urea, N,N-didecyl-N'-(6-ethyl-2,3-dihydro-1-oxido-3-thioxoimidazo[2,1-b]thiazol-5-yl)- (9CI) (CA INDEX NAME)



L15 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing References
ACCESSION NUMBER:

1987:102158 HCAPLUS

DOCUMENT NUMBER: 106:102158

TITLE: Novel syntheses of fused imidazoles. III. Simplified

construction of the imidazo[2,1-b]thiazoline system

AUTHOR(S): Lantos, Ivan; McGuire, Michael

CORPORATE SOURCE: Chem. Res. Dev., Smith Kline and French Lab.,

Philadelphia, PA, 19101, USA

SOURCE: Heterocycles (1986), 24(4), 991-6

CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:102158

GΙ

$$R^2$$
  $R^2$   $R^2$ 

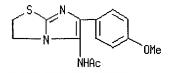
AB Aminothiazoline I reacted with 4-RC6H4CHO (R = OMe, F, H, Me) in the presence of NaCN at room temp. to give imidazothiazolines II (R1 = 4-RC6H4; R2 = R1CH:N) in 20-80% yields. Acid hydrolysis of the latter gave II (R2 = NH2).

IT 106726-46-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 106726-46-9 HCAPLUS

CN Acetamide, N-[2,3-dihydro-6-(4-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl](9CI) (CA INDEX NAME)



L15 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1974:505382 HCAPLUS

DOCUMENT NUMBER: 81:105382

TITLE: Cyclization of  $\omega$ -chloro- $\omega$ -acylamido

acetophenones

AUTHOR(S): Drach, B. S.; Dolgushina, I. Yu.; Sinitsa, A. D.

CORPORATE SOURCE:

Inst. Org. Khim., Kiev, USSR

SOURCE:

Khimiya Geterotsiklicheskikh Soedinenii (1974), (7),

928-31

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

GI For diagram(s), see printed CA Issue.

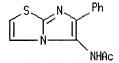
AB Acylamidothiazoles (I; R = Me, MeO, Ph, PhCH2O; R1 = H, Ph, MeS, NH2, Me) were obtained in 60-94% yields by cyclization of RCONHCHClCOPh (II) with R1CSNH2 1 hr in boiling THF. Analogously obtained were 60-86% benzothiazines (III; R = Me, Ph, MeO) from o-aminobenzenethiol, 55-62% imidazothiazoles (IV; R = Me, MeO) from 2-aminothiazole, and 60-8% imidazopyridines (V; R = Me, MeO) from 2-aminopyridine.

IT 54167-97-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN <u>54167-97-4</u> HCAPLUS

CN Acetamide, N-(6-phenylimidazo[2,1-b]thiazol-5-yl)- (9CI) (CA INDEX NAME)



# L15 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1973:159516 HCAPLUS

DOCUMENT NUMBER:

78:159516

TITLE:

1H-Imidazo[1,2-a]imidazoles. II. Chemistry of

1,6-dimethyl-1H-imidazo[1,2-a]imidazole Miller, Laird F.; Bambury, Ronald E.

AUTHOR(S):

CORPORATE SOURCE:

Merrell-Natl. Lab. Div., Richardson-Merrell, Inc.,

Cincinnati, OH, USA

SOURCE:

Journal of Organic Chemistry (1973), 38(10), 1955-7

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE:

LANGUAGE:

Journal English

OTHER SOURCE(S):

CASREACT 78:159516

GI For diagram(s), see printed CA Issue.

AB Electrophilic substitutions of 1,6-dimethyl-1H-imidazo [1,2-a]imidazole (I) occurred initially at the 5-position. Nitration of I also gave a dinitrated product whose structure was not conclusively established. A series of Hueckel MO calcns. were made in order to det. the site of substitution.

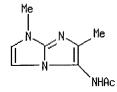
IT 38739-98-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 38739-98-9 HCAPLUS

CN Acetamide, N-(1,6-dimethyl-1H-imidazo[1,2-a]imidazol-5-yl)- (9CI) (CA INDEX NAME)



L15 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

68:95754

Citing References Full

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

AUTHOR(S):

DOCUMENT TYPE:

SOURCE:

CORPORATE SOURCE:

Pentimalli, Luciano; Guerra, Anna Maria Univ. Bologna, Bologna, Italy

Gazzetta Chimica Italiana (1967), 97(8), 1286-93 CODEN: GCITA9; ISSN: 0016-5603

Substitution and addition reactions of

2-phenylimidazo[2,1-b]benzothiazole

1968:95754 HCAPLUS

LANGUAGE: Italian For diagram(s), see printed CA Issue. GΙ

Compds. of the general formulas I and II are prepd. A mixt. of 3.3 g. 2-amino-4-methylthiazole, 6 g. BrCH2COPh, and 30 ml. EtOH is refluxed 3 hrs. to give 68% 3-methyl-6-phenylimidazo[2,1-b]thiazole (III), m. 113° (ligroine). Similarly prepd. are (m.p. given): 2-phenylimidazo[2,1-b]-benzothiazole (IV), 97-9° (HCl salt m.  $224-6^{\circ}$ ); I (Y = H, X = NO2),  $257-8^{\circ}$  (pyridine); II (Y = H, X = NO2), 284-6°. A mixt. of 1 g. IV, 0.8 g. EtO2CN:NCO2Et, and 15 ml. C6H6 is refluxed 3 hrs. to give 90% II [X = H, Y = N(CO2Et)NHCO2Et], m. 172-3° (C6H6-ligroine). Similarly prepd. is I [X = H, Y = N(CO2Et)NHCO2Et], m. 143° (C6H6-ligroine). A mixt. of 1 g. III, 0.45 g. maleic anhydride, and 45 ml. C6H6 is refluxed to give 91% I [X =H, Y = CH(CO2H)CH2CO2H, m. 179-80° (EtOH). Similarly prepd. is II [X = H, Y = CH(CO2H)CH2CO2H], m. 173-4° (xylene). A mixt. of 1 g.IV, diazonium salt (prepd. from 0.6 g. p-O2NC6H4NH2), and 20 ml. pyridine is kept overnight to give II (X = H, Y = p-02NC6H4N:N), m. 240-1° (HOAc). Similarly prepd. is I (X = H, Y = p-O2NC6H4N:N), m. 171-2° (ligroine). A soln. of 1 g. IV in 10 ml. HOAc is treated with an aq. soln. of 0.5 g. NaNO2, the mixt. agitated 30 min., and neutralized with 10% NaOH to give 52% II (X = H, Y = NO), m. 179-80° (ligroin). A soln. of 2 g. IV in 20 ml. concd. H2SO4 is cooled, treated with 0.8 ml. HNO3 (d. 1.40), and agitated 90 min. to give II (X = Y = NO2), m.  $327-9^{\circ}$ , and II (X = NO2, Y = H), m.  $282-5^{\circ}$  (pyridine). Similarly prepd. is I (X = Y = NO2), m. 289-90° (pyridine).

IT 17833-09-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 17833-09-9 HCAPLUS

Bicarbamic acid, (3-methyl-6-phenylimidazo[2,1-b]thiazol-5-yl)-, diethyl CN ester (8CI) (CA INDEX NAME)

L15 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1963:14863 HCAPLUS

DOCUMENT NUMBER: 58:14863

ORIGINAL REFERENCE NO.: 58:2443e-h,2444a-e

TITLE: Bicyclic heterocyclic compounds with a common nitrogen

Total and the state of the stat

atom. IV. Aminoimidazo[2,1-b]thiazoles

AUTHOR(S): Pyl, Theodor; Wuensch, Karl Heinz; Buelling, Lothar;

Beyer, Hans

CORPORATE SOURCE: Univ. Greifswald, Germany

SOURCE: Ann. (1962), 657, 113-20

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

5-Nitro- (I) and 5-nitrosoimidazo[2,1-b]thiazoles (II) were reduced with ΔR Zn in AcOH to give the corresponding 5-NH2 derivs. (III), which were relatively stable and behaved chem. as aromatic amines. I were dissolved or suspended in AcOH, treated portionwise with Zn dust with gentle heating, filtered, and the filtrate treated with Et2O-HCl or a few drops concd. H2SO4 [in the latter case the initially formed ppt. (ZnSO4) was discarded; the product crystd. on standing] gave III HCl or H2SO4 salts. Treatment of III salts in H2O with satd. aq. NaOAc or aq. picric acid (IV) gave free III and III picrates, resp. The following III were prepd. in this manner [R, R', R''', m.p. (decompn.), recrystn. solvent, % yield given] (R'' = H in all cases): H, H, Br (V), 183° dil. EtOH, 50; Me, H, Br (VI), 217°, MeOH, 20; H, Me, Br (VII), 200°, MeOH, 50; Me, Me, Br (VIII), 220° MeOH, 20; H, H, Cl (IX), 206°, dil. EtOH, 50; H, H, Me (as picrate), 250° (unsharp), aq. IV, 30; H, H, NH2 (as tri-HCl salt), above 300°, dil. HCl, 70; Me, H, NH2 (as dipicrate), 223°, --, 75; H, Me, NH2 (as dipicrate), 196°, alc.-IV, 65. II dissolved or suspended in AcOH cooled until the greater part of the AcOH solidified, treated portionwise with Zn dust with stirring, when decolorized the soln. filtered, the filtrate treated with a few drops concd. H2SO4 [the initial ppt. (ZnSO4) was discarded], and kept several hrs. gave III sulfate, converted to the free base or picrate as above. Thus were prepd. the following III (same data as above given) (R'' = H in all cases): H, H, Br, 183°, --, --; H, H, H (as picrate), 234°, aq. IV, 40; H, Me, H (as picrate), 213°, --, The bases V-IX were stable; the other bases were unstable and were isolated only as picrates. 5-Nitro-6-(p-bromophenyl)imidazo[2,1b]thiazole (1.6 g.) in 10 cc. AcOH and 5 cc. Ac2O treated with Zn dust and dild. with H2O gave 1.3 g. III (R'' = Ac, R = R' = H, R''' = Br), m. 211° (decompn.) (dil. EtOH). V (1 g.), 0.9 g. 4-EtO2CNHC6H4SO2Cl, and 0.3 g. pyridine in 100 cc. MeOH heated 2 hrs. and cooled gave 1.1 g. III (R = R' = H, R'' = 4-EtO2CNHC6H4SO2, RH''' = Br) (X) hydrate, m. 195° (H2O); X.HO2 dried in vacuo at 110° gave anhyd. X, m. 214-15°. X (1 g.) and 2 cc. 2N EtOH-NaOH in 50 cc. EtOH heated 6 hrs. at 60°, concd., poured into 1 l. H2O, and kept several hrs. gave 0.6 g. III (R = R' = H, R'' = 4-H2NC6H4SO2, R''' = Br), m.210-11°. V (1.5 g.) in 75 cc. Me2CO treated with 2 g. PhNCO, kept 1 hr., and concd. gave 1.7 g. III (R = R' = H, R'' = PhNHCO, R''' = Br), m. 238° (decompn.) (EtOH). V (1.5 g.) and 0.7 g. PhNCS treated with 1 drop pyridine, heated (exothermic reaction), the melt taken up in EtOH, and the soln. treated with H2O gave 1.3 g. III (R = R' = H, R'' = PhNHCS, R''' = Br), m. 202° (decompn.) (dil. EtOH). V (1.5 g.) and 5 cc. BzH heated 5 min., the product dissolved in EtOH, and the soln. treated with H2O gave 1.2 g. benzylidene deriv. of V, m. 195° (decompn.) (EtOH). V (1.5 g.) and 3 cc. 2-HOC6H4CHO treated similarly gave 1.1 g. salicylidene deriv. of V, m. 215° (decompn.) (EtOH with C). V (2.9

g.) in 10 cc. concd. HCl and 100 cc. H2O treated with 0.8 q. NaNO2 at 0-5° and the ppt. filtered off rapidly gave moist III (R = R' = R''= ON, R''' = Br) (XI). Freshly prepd. moist XI suspended in 20 cc. AcOH treated with Zn dust, the resulting light yellow soln. heated 5 min. with 1 cc. BzH, dild. with EtOH, treated with H2O, and kept overnight gave 0.1 g. III (R = R' = R'' = PhCH:N, R''' = Br), m. 210-11° (decompn.) (dil. EtOH). V (1.5 g.) in 15 cc. 50% HBr treated with 0.4 g. NaNO2 at 0-5° and the resulting diazonium soln. coupled with 2-naphthol gave XII. 2,4-Diaminothiazole and 4 g. BzCH2Br (XIII) in 250 cc. EtOH kept 1 hr. deposited 2.5 g. XIV (R = NH2), m. 244 $^{\circ}$  (decompn.) (H2O with C). XIV (R = NH2) (1.5 g.) heated 2 hrs. with concd. HBr and cooled deposited 0.7 g. XIV (R = OH), m. 212° (decompn.) (EtOH). XIV (R = OH) NH2) (3.1 g.) dissolved in 200 cc. boiling H2O, the soln. treated with satd. aq. NaOAc, the resinous product dissolved in EtOH, and the soln. treated with 1 cc. concd. HNO3 gave 2.5 g. 3-hydroxy-6-phenylimidazo [2,1-b]thiazole, m. 183° (decompn.). 2-Amino-4-methyl-5carbethoxythiazole (3.7 g.) and 4 g. XIII in 50 cc. EtOH heated 30 hrs., cooled, the ppt. filtered off, suspended in H2O, and the suspension heated with NaOAc and cooled gave 4.7 g. XV (R = OEt), m. 144-5° (EtOH). XV (R = OEt) (1.4 g.) and 1 cc. 100% N2H4.H2O in 10 cc. EtOH heated 10 hrs. at 70° and cooled gave 0.9 g. XV (R = NHNH2) (XVI), m. 235° (EtOH). XVI (1.4 g.) in 8 cc. AcOH treated with 0.4 g. NaNO2 and dild. with 100 cc. H2O gave 1 g. XV (R = N3), decompd. when heated. XV (R = N3) (1.4 g.) in 15 cc. AcOH and 15 cc. Ac2O heated until N evolution ceased, poured into 400 cc. H2O, and treated dropwise with 2N NaOH until a flocculent ppt. sepd. gave 0.7 g. 2-acetamido-3-methyl-6phenylimidazo [2, 1-b] thiazole, m. 225° (decompn.) (EtOH with C).

IT <u>92905-61-8</u>, Imidazo[2,1-b]thiazole, 5-acetamido-6-(p-bromophenyl)-(prepn. of)

RN 92905-61-8 HCAPLUS

CN Imidazo[2,1-b]thiazole, 5-acetamido-6-(p-bromophenyl)- (7CI) (CA INDEX NAME)

=> file caold COST IN U.S. DOLLARS SINCE FILE TOTAL. ENTRY SESSION FULL ESTIMATED COST 80.82 403.57 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -11.09 -11.09

FILE 'CAOLD' ENTERED AT 18:23:05 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent

assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter <u>HELP FIRST</u> for more information.

### => d his

```
(FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004)
     FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004
L1
                 STRUCTURE UPLOADED
              26 S L1
L2
L3
                 STRUCTURE UPLOADED
L4
              20 S L3
             397 S L3 FULL
L5
     FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004
L6
              75 S L5
                 STRUCTURE UPLOADED
L7
                 S L7
     FILE 'REGISTRY' ENTERED AT 18:21:18 ON 14 MAY 2004
L8
               6 S L7
     FILE 'HCAPLUS' ENTERED AT 18:21:19 ON 14 MAY 2004
L9
               2 S L8
     FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004
                 STRUCTURE UPLOADED
L10
L11
               6 S L10
              87 S L10 FULL
L12
     FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004
L13
             16 S L12
L14
              3 S L13 AND GERLACH, M?/AU
L15
             13 S L13 NOT L14
L16
               0 S L15 AND MAUL, C?/AU
     FILE 'CAOLD' ENTERED AT 18:23:05 ON 14 MAY 2004
=> s 112
L17
              2 L12
=> d 117, all, 1-1
L17 ANSWER 1 OF 2 CAOLD COPYRIGHT 2004 ACS on STN
AN
     CA58:2443e CAOLD
ΤI
     bicyclic heterocyclic compds with a common N atom - (IV)
     aminoimidazo[2,1-b]thiazoles
     Pyl, Theodor; Wuensch, K. H.; Buelling, L.; Beyer, H.
ΑU
   <u>74416-91-4</u> <u>88855-97-4</u> <u>88855-99-6</u> <u>91183-08-3</u> <u>91394-83-1</u> <u>91394-84-2</u>
     <u>91635-13-1</u> <u>92286-32-3</u> <u>92545-85-2</u> <u>93327-30-1</u> <u>93819-53-5</u> <u>93869-37-5</u>
     <u>94463-22-6</u> 94574-43-3 94622-88-5 <u>94802-80-9</u> 94802-82-1 94994-64-6
```

### => d 117, all, 1-2

L17 ANSWER 1 OF 2 CAOLD COPYRIGHT 2004 ACS on STN

AN CA58:2443e CAOLD

TI bicyclic heterocyclic compds with a common N atom - (IV) aminoimidazo[2,1-b]thiazoles

AU Pyl, Theodor; Wuensch, K. H.; Buelling, L.; Beyer, H.

L17 ANSWER 2 OF 2 CAOLD COPYRIGHT 2004 ACS on STN

AN CA56:2442g CAOLD

TI phenoxazines - (V) syntheses of 7-amino-2-phenoxazones

AU Musso, Hans; Wager, P.

=> fil reg; d acc 95315-23-4; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:23:34 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

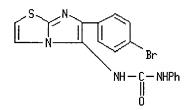
RN 95315-23-4 REGISTRY

CN Urea, 1-[6-(p-bromophenyl)imidazo[2,1-b]thiazol-5-yl]-3-phenyl- (7CI) (CA INDEX NAME)

FS 3D CONCORD

MF C18 H13 Br N4 O S

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS (\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:23:35 ON 14 MAY 2004

=> fil reg; d acc 95315-26-7; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:23:45 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 95315-26-7 REGISTRY

CN Urea, 1-[6-(p-bromophenyl)imidazo[2,1-b]thiazol-5-yl]-3-phenyl-2-thio-(7CI) (CA INDEX NAME)

FS 3D CONCORD

MF C18 H13 Br N4 S2

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS
(\*File contains numerically searchable property data)

NH-C-NHPh

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:23:45 ON 14 MAY 2004

=> fil reg; d acc 92905-61-8; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:23:51 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 92905-61-8 REGISTRY

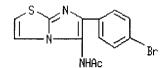
CN Imidazo[2,1-b]thiazole, 5-acetamido-6-(p-bromophenyl)- (7CI) (CA INDEX NAME)

FS 3D CONCORD

MF C13 H10 Br N3 O S

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS

(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:23:52 ON 14 MAY 2004

=> file reg

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.42 413.68

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

CA SUBSCRIBER PRICE ENTRY SESSION 0.00 -11.09

FILE 'REGISTRY' ENTERED AT 18:23:56 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7 DICTIONARY FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter <u>HELP PROP</u> at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> L18 STRUCTURE UPLOADED

=> d 118 L18 HAS NO ANSWERS L18 STR

=> s 118
SAMPLE SEARCH INITIATED 18:29:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 494 TO ITERATE

100.0% PROCESSED 494 ITERATIONS 20 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 8547 TO 11213 PROJECTED ANSWERS: 132 TO 668

L19 20 SEA SSS SAM L18

=> s 118 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 18:29:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10474 TO ITERATE

100.0% PROCESSED 10474 ITERATIONS

409 ANSWERS

SEARCH TIME: 00.00.01

L20

409 SEA SSS FUL L18

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 158.78 572.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

0.00 -11.09

FILE 'HCAPLUS' ENTERED AT 18:29:30 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21 FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 120/thu

81 L20 592681 THU/RL

L21

9 L20/THU

(L20 (L) THU/RL)

=> s 121 and gerlach, m?/au

233 GERLACH, M?/AU

L22 3 L21 AND GERLACH, M?/AU

=> d 122, ibib abs fhitstr, 1-3

L22 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN



NUMBER:

2001:798222 HCAPLUS

DOCUMENT NUMBER:

135:344484

TITLE:

Preparation of N-acylimidazopyridineamine chlorides

and analogs as μ-opiate receptor ligands

INVENTOR(S):

Gerlach, Matthias; Maul, Corinna

PATENT ASSIGNEE(S):

Gruenenthal G.m.b.H., Germany

SOURCE:

PCT Int. Appl., 83 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE A1 WO 2001-EP3772 20010403 20011101 WO 2001081344 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ; CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG DE 10019714 A1 20020110 <u>DE 2000-10019714</u> 20000420 EP 2001-931560 20010403 Α1 20030115 EP 1274709 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR T2 20031021 JP 2001-578434 20010403 JP 2003531208 NO 2002-4838 20021007 20021007 NO 2002004838 Α A1 20030626 US 2002-273344 20021018 US 2003119842 DE 2000-10019714 A 20000420 PRIORITY APPLN. INFO.: WO 2001-EP3772 W 20010403

OTHER SOURCE(S): MARPAT 135:344484

GΙ

$$\begin{array}{c}
R^4 \\
R^8 \\
R^8 \\
R^3
\end{array}$$

$$\begin{array}{c}
R^5 \\
NR 1R^2 \\
R^3$$

Title compds. (ICl-)[II; R1 = CMe3, cyclohexyl, CH2CO2Me, (un)substituted AΒ Ph, etc.; R2 = H or alkanoyl; R3 = Me, Ph, 2-furyl, 2-pyridinyl, etc.; R4R5 = (un)substituted CH:CHCH:CH, CH:NCH:CH, N:CHCH:CH, etc.; R8 = (cyclo)alkyl] were prepd. Thus, 2-aminopyridine was cyclocondensed with Me3CNC and PhCHO to give, after N-acylation, II (R1 = CMe3, R2 = H, R3 = Ph, R4R5 = CH:CHCH:CH, R8 = Me). Data for biol. activity of II were given.

IT 370858-36-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N-acylimidazopyridineamine chlorides and analogs as

μ-opiate receptor ligands)

370858-36-9 HCAPLUS RN

CN Imidazo[2,1-b]thiazolium, 7-acetyl-5-[(1,1-dimethylethyl)amino]-6-phenyl-, chloride (9CI) (CA INDEX NAME)

S NHBu-t Ph

# Cl -

REFERENCE COUNT:

7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

PATENT ASSIGNEE(S):

ACCESSION NUMBER:

2001:283961 HCAPLUS

DOCUMENT NUMBER:

134:295826

TITLE:

Preparation of imidazopyridineamines and analogs as

analgesics

INVENTOR(S):

Gerlach, Matthias; Maul, Corinna

Gruenenthal G.m.b.H., Germany

SOURCE:

PCT Int. Appl., 30 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

5

PATENT INFORMATION:

| PATENT NO.   | KIND     | DATE        | API                     | PLICATION  | DATE     |           |         |  |  |
|--|----------|-------------|-------------------------|------------|----------|-----------|---------|--|--|
|  |          |             |                         |            |          |           |         |  |  |
| WO 2001027119                                      | A2       | A2 20010419 |                         | 2000-EP90  | 20000918 |           |         |  |  |
| WO 2001027119                                      | A3       | A3 20011011 |                         |            |          |           |         |  |  |
| W: AE, Al  | , AM, AT | , AU, AZ,   | BA, BB, E               | BG, BR, BY | , CA     | , CH, CN, | CR, CU, |  |  |
| CZ, D  | , DM, EE | , ES, FI,   | GB, GD, C               | GE, GH, GM | , HR     | , HU, ID, | IL, IN, |  |  |
| IS, J  | , KE, KG | , KP, KR,   | KZ, LC, I               | LK, LR, LS | , LT     | , LU, LV, | MA, MD, |  |  |
| MG, M)   | , MN, MW | , MX, NO,   | NZ, PL, I               | PT, RO, RU | , SD     | , SE, SG, | SI, SK, |  |  |
| SL, T  | , TM, TR | , TT, TZ,   | JA, UG, U               | JS, UZ, VN | , YU     | , ZA, ZW, | AM, AZ, |  |  |
| BY, K  | , KZ, MD | , RU, TJ,   | ГM                      |            |          |           |         |  |  |
| RW: GH, Gi   | , KE, LS | , MW, MZ,   | SD, SL, S               | SZ, TZ, UG | , ZW     | , AT, BE, | CH, CY, |  |  |
| DE, D  | , ES, FI | , FR, GB,   | GR, IE, I               | IT, LU, MC | , NL     | , PT, SE, | BF, BJ, |  |  |
| CF, CC   | , CI, CM | , GA, GN,   | GW, ML, N               | MR, NE, SN | , TD     | , TG      |         |  |  |
| DE 19948434 A1 20010607 DE 1999-19948434 19991008  |          |             |                         |            |          |           |         |  |  |
| PT 1218378   | T        | 20030930    | PT 2000-969439 20001006 |            |          |           |         |  |  |
| ES 2198355   |          |             |                         |            |          | 20001006  |         |  |  |
| ZA 2002003579                                      |          |             |                         |            |          | 20020506  |         |  |  |
| PRIORITY APPLN. INFO.: DE 1999-19948434 A 19991008 |          |             |                         |            |          |           |         |  |  |
| OTHER SOURCE(S): MARPAT 134:295826                 |          |             |                         |            |          |           |         |  |  |

GI

AB Substance libraries comprising, e.g., I [R1 = CMe3, cycloalkyl, (un)substituted Ph, etc.; R2 = H, cycloalkyl, alkanoyl, etc.; R3 = (cyclo)alkyl, (un)substituted (hetero)aryl, etc.; R5,R6 = H, halo, alkyl, alkoxy, etc.; Z = N or CR10; Z1 = N or CR9; R9,R10 = groups cited for R5; Z = N ≠ Z1; Z1 = N ≠ Z] were prepd. Thus, pyridine-2-amine was cyclocondensed with cyclohexanecarboxaldehyde and tert-Bu isocyanide to give I (R1 = CMe3, R2 = R5 = R6 = H, R3 = cyclohexyl, Z = Z1 = CH). Data for biol. activity of I were given.

IT 214531-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of imidazopyridineamines and analogs as analgesics)

RN 214531-41-6 HCAPLUS

CN Imidazo[2,1-b]thiazol-5-amine, N-(1,1-dimethylethyl)-6-phenyl- (9CI) (CA INDEX NAME)

L22 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

2001:283960 HCAPLUS

DOCUMENT NUMBER:

134:295829

TITLE:

Preparation of aminoimidazo[2,1-b]thiazoles,

-pyrazoles, and -triazoles as analgesics

INVENTOR(S):

PATENT ASSIGNEE(S):

Gerlach, Matthias; Maul, Corinna Gruenenthal G.m.b.H., Germany

SOURCE:

PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

LANGUAGE:

Patent German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. |            |      |     | KI          | ND :        | DATE |      |               | APPLICATION NO. DATE |      |      |          |     |      |      |     |     |
|------------|------------|------|-----|-------------|-------------|------|------|---------------|----------------------|------|------|----------|-----|------|------|-----|-----|
|            |            |      |     |             |             |      |      |               |                      |      |      |          |     |      |      |     |     |
| WO :       | 2001       | 0271 | 18  | A2 20010419 |             |      | M    | 20            | 00-E                 | P909 | 7    | 20000918 |     |      |      |     |     |
| WO         | 2001       | 0271 | 18  | A3 20010920 |             |      |      |               |                      |      |      |          |     |      |      |     |     |
|            | W:         | ΑE,  | AL, | AM,         | ΑT,         | AU,  | AZ,  | BA,           | BB,                  | BG,  | BR,  | BY,      | CA, | CH,  | CN,  | CR, | CU, |
|            |            | CZ,  | DK, | DM,         | EE,         | ES,  | FI,  | GB,           | GD,                  | GE,  | GH,  | GM,      | HR, | HU,  | ID,  | IL, | IN, |
|            |            | IS,  | JP, | KE,         | KG,         | ΚP,  | KR,  | KZ,           | LC,                  | LK,  | LR,  | LS,      | LT, | LU,  | LV,  | MA, | MD, |
|            |            | MG,  | MK, | MN,         | MW,         | MX,  | NO,  | NZ,           | PL,                  | PT,  | RO,  | RU,      | SD, | SE,  | SG,  | SI, | SK, |
|            |            | SL,  | ТJ, | TM,         | TR,         | TT,  | TZ,  | UA,           | UG,                  | US,  | UŻ,  | VN,      | YU, | ZA,  | ZW,  | AM, | AZ, |
|            |            | BY,  | KG, | KΖ,         | MD,         | RU,  | ТJ,  | $\mathbf{TM}$ |                      |      |      |          |     |      |      |     |     |
|            | RW:        | GH,  | GM, | KE,         | LS,         | MW,  | ΜZ,  | SD,           | SL,                  | SZ,  | TZ,  | ŪĠ,      | ZW, | AT,  | BE,  | CH, | CY, |
|            |            | DE,  | DK, | ES,         | FI,         | FR,  | GB,  | GR,           | ΙE,                  | IT,  | LU,  | MC,      | NL, | PT,  | SE,  | BF, | ВJ, |
|            |            | CF,  | CG, | CI,         | CM,         | GA,  | GN,  | GW,           | ML,                  | MR,  | NE,  | SN,      | TD, | TG   |      |     |     |
| DE         | 1994       | 8434 |     | A           | 1           | 2001 | 0607 |               | D                    | E 19 | 99-1 | 9948     | 434 | 1999 | 1008 |     |     |
|            |            |      |     |             |             |      |      |               |                      |      |      |          |     | 1999 |      |     |     |
| BR         | 2000       | 0148 | 17  | Α           |             | 2002 | 0618 |               | В                    | R 20 | 00-1 | 4817     |     | 2000 | 0918 |     |     |
| EP         | EP 1218383 |      |     |             | A2 20020703 |      |      |               | E                    | P 20 | 00-9 | 6769     | 3   | 2000 | 0918 |     |     |
|            | R:         | AT,  | BE, | CH,         | DE,         | DK,  | ES,  | FR,           | GB,                  | GR,  | IT,  | LI,      | LU, | NL,  | SE,  | MC, | PT, |
|            |            |      |     |             |             | FI,  |      |               |                      |      |      |          |     |      |      |     |     |

| JP 2003511456          | T2 | 20030325 |    | JP 2001-53033 | 6  | 20000918 |
|------------------------|----|----------|----|---------------|----|----------|
| NZ 518390              | Α  | 20031031 |    | NZ 2000-51839 | 0  | 20000918 |
| NO 2002001566          | Α  | 20020527 |    | NO 2002-1566  |    | 20020403 |
| US 2002183320          | A1 | 20021205 |    | US 2002-11733 | 5  | 20020408 |
| US 6657064             | B2 | 20031202 |    |               |    |          |
| US 2004023927          | A1 | 20040205 |    | US 2003-63357 | 9  | 20030805 |
| PRIORITY APPLN. INFO.: |    |          | DE | 1999-19948434 | A  | 19991008 |
|                        |    |          | DE | 1999-19948436 | Α  | 19991008 |
|                        |    |          | DE | 1999-19948438 | Α  | 19991008 |
|                        |    |          | WO | 2000-EP9097   | W  | 20000918 |
|                        |    |          | US | 2002-117335   | А3 | 20020408 |
|                        |    |          |    |               |    |          |

OTHER SOURCE(S):

MARPAT 134:295829

GΙ

Title compds. [I; R1 = CMe3, cyanohexyl, (substituted) Ph, cycloalkyl, etc.; R2 = H, (branched) (substituted) alkylcarbonyl, Ph, naphthyl, pyridyl, thiazolyl, furoyl, etc.; R3 = (branched) alkylcycloalkyl, (substituted) Ph, naphthyl, quinolinyl, anthracenyl, phenanthrenyl, etc.; X = CR5, N, S; Y = N, but when X = S, Y = CR6, N; R4, R5, R6 = H, (branched) alkyl, halo, CF3, cyano, NO2, amino, etc.], were prepd. Using a Zymark robotic synthesis system, 3-amino-1,2,4-triazole and HClO4 in CH2Cl2, furfural in CH2Cl2, and tert-butylisonitrile in CH2Cl2 were added successively to a reactor tube at 15° followed by 11 h stirring at 15° to give tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)amine. Several I at 10 μM showed 34-77% α2 adrenoceptor affinity.

IT 334771-60-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aminoimidazothiazoles, -pyrazoles, and -triazoles as analgesics)

RN 334771-60-7 HCAPLUS

1H-Imidazo[1,2-b] [1,2,4]triazol-6-amine, N-(1,1-dimethylethyl)-5-(2-furanyl)- (9CI) (CA INDEX NAME)

=> d his

L1

CN

(FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004)

FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004 STRUCTURE UPLOADED

L2 26 S L1

```
STRUCTURE UPLOADED
L3
            20 S L3
L4
           397 S L3 FULL
L5
     FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004
L6
            75 S L5
                STRUCTURE UPLOADED
L7
                S L7
     FILE 'REGISTRY' ENTERED AT 18:21:18 ON 14 MAY 2004
L8
             6 S L7
     FILE 'HCAPLUS' ENTERED AT 18:21:19 ON 14 MAY 2004
L9
              2 S L8
    FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004
L10
               STRUCTURE UPLOADED
             6 S L10
L11
            87 S L10 FULL
L12
    FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004
L13
            16 S L12
L14
             3 S L13 AND GERLACH, M?/AU
L15
            13 S L13 NOT L14
            0 S L15 AND MAUL, C?/AU
     FILE 'CAOLD' ENTERED AT 18:23:05 ON 14 MAY 2004
L17
             2 S L12
     FILE 'REGISTRY' ENTERED AT 18:23:34 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:35 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:45 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:45 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:51 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:52 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:56 ON 14 MAY 2004
L18
               STRUCTURE UPLOADED
L19
            20 S L18
L20
           409 S L18 FULL
    FILE 'HCAPLUS' ENTERED AT 18:29:30 ON 14 MAY 2004
L21
          9 S L20/THU
L22
             3 S L21 AND GERLACH, M?/AU
=> s 121 not 122
L23
            6 L21 NOT L22
=> s 123 and maul, c?/au
           93 MAUL, C?/AU
L24
            0 L23 AND MAUL, C?/AU
=> d 123, ibib abs fhitstr, 1-6
L23 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
```

ACCESSION NUMBER:

2003:971725 HCAPLUS

DOCUMENT NUMBER:

140:35893

TITLE:

Transcription factor modulating compounds and methods

of use thereof

INVENTOR(S):

Levy, Stuart B.; Alekshun, Michael N.; Podlogar, Brent

L.; Ohemeng, Kwasi; Verma, Atul K.; Warchol, Tadeusz;

Bhatia, Beena

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 301 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ----------US 2003229065 A1 20031211 US 2002-139591 20020814 WO 2002-US14255 20020506 WO 2004001058 A2 20031231 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 2001-288660P P 20010504

OTHER SOURCE(S):

MARPAT 140:35893

Methods for identifying compd. useful as anti-infectives that decrease resistance, virulence, or growth of microbes are provided. In one embodiment, the method comprises contacting a microbial cell comprising: (1) a selectable marker under the control of a transcription factor responsive element and (2) a transcription factor, with a compd. under conditions which allow interaction of the compd. with the microbial cell; and measuring the ability of the compd. to affect the growth or survival of the microbial cell as an indication of whether the test compd. modulates the activity of a transcription factor.

## IT 106726-42-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(transcription factor modulating compds. as anti-infectives agents that decrease resistance and virulence and growth identified by detg. marker under control of responsive element)

106726-42-5 HCAPLUS RN

Imidazo[2,1-b]thiazol-5-amine, 2,3-dihydro-6-phenyl-N-(phenylmethylene)-CN (CA INDEX NAME) (9CI)

L23 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

2003:507684 HCAPLUS ACCESSION NUMBER:

139:85530 DOCUMENT NUMBER:

TITLE: Preparation of C1 to c4 side-chain modified

nodulisporic acid analogs as anthelmintic agents

INVENTOR (S): Shih, Thomas; Colletti, Steven L.; Fisher, Michael H.;

> Meinke, Peter T.; Kuo, Howard C. H.; Chakravarty, Prasun K.; Wyvratt, Matthew J.; Tyagarajan, Sriram;

> > Ι

Π

Berger, Richard

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: U.S., 57 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

OTHER SOURCE(S):

PATENT NO. KIND DATE APPLICATION NO. DATE 20010709 US 6586452 20030701 US 2001-901266 В1 US 2000-218398P P 20000714

PRIORITY APPLN. INFO.:

MARPAT 139:85530

GΙ

$$\begin{array}{c} \text{Me} & \text{Me} \\ \text{Me} & \text{Me} \\ \text{Me} & \text{Re} \\ \text{Me} & \text{Re} \\ \text{Re} & \text{Re} \\ \text{Re} & \text{Re} \\ \end{array}$$

Nodulisporic acid derivs., such as I [R1 = H, alkyl, alkenyl, alkynyl, ΑB cycloalkyl, aryl, heterocyclyl; R2-R4 = (substituted) OH; R1R2 = O; R5 = H, (substituted) OH; R4R5 = O; R6-R8 = H, alkyl, alkenyl, aryl, cycloalkyl, halo, CN acyl, amino, etc.] were prepd. The compds. were acaricidal, antiparasitic, insecticidal and anthelmintic agents. Thus, nodulisporic acid deriv. II was prepd. via a multistep synthetic sequence starting from nodulisporic acid A, N-methylhydroxylamine hydrochloride and N-phenyl-maleimide.

## IT 552836-27-8P

RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of C1 to c4 side-chain modified nodulisporic acid analogs as anthelmintic agents)

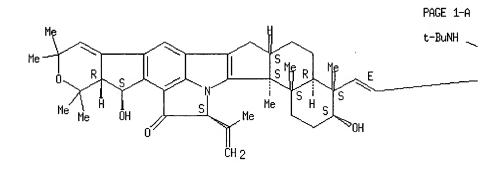
552836-27-8 HCAPLUS RN

1H-Benz[6,7] indeno[1,2-b] pyrano[3',4':4,5] cyclopenta[1,2-f] pyrrolo[3,2,1-CM

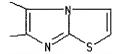
hi]indol-14(15H)-one, 4-[(1E)-2-[5-[(1,1-dimethylethyl)amino]imidazo[1,2-b]thiazol-6-yl]ethenyl]-2,3,4,4a,5,6,6a,7,10,12,12a,13,16b,16c-tetradecahydro-3,13-dihydroxy-4,10,10,12,12,16b,16c-heptamethyl-15-(1-methylethenyl)-, (3S,4S,4aR,6aS,12aR,13S,15S,16bS,16cS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



PAGE 1-B



REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

6

Full Citing:
Text References
ACCESSION NUMBER:

CCESSION NUMBER: 2002:488374 HCAPLUS

DOCUMENT NUMBER: 137:179390

TITLE: Cardiovascular Characterization of

[1,4]Thiazino[3,4-c][1,2,4]oxadiazol-1-one

Derivatives: Selective Myocardial Calcium Channel

Modulators

AUTHOR(S): Budriesi, Roberta; Cosimelli, Barbara; Ioan,

Pierfranco; Lanza, Camilla Zaira; Spinelli, Domenico;

Chiarini, Alberto

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di

Bologna, Bologna, 40126, Spain

SOURCE: Journal of Medicinal Chemistry (2002), 45(16),

3475-3481

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

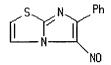
As an extension of previous investigations (Tetrahedron 1999, 55, 5433-5440; J. Heterocycl. Chem. 2000, 37, 875-878), a series of 21 [1,4]thiazino[3,4-c][1,2,4]oxadiazolones, which has already been synthesized (except for tree compds.), was evaluated as calcium entry blockers by functional studies, namely, in isolated guinea-pig left and right atria and K+-depolarized aortic strips. With the aim of investigating the effect of a condensed benzene ring on the mol. structure. The results obtained show that many of the compds. studied are potent and selective neg. inotropic agents; in particular, two compds. are about 3- and 2-fold more potent than diltiazem, resp.

## IT 16311-34-5P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (thiazinooxadiazolone derivs. inotropic calcium channel modulating-structure in relation to drug design)

RN 16311-34-5 HCAPLUS

CN Imidazo[2,1-b]thiazole, 5-nitroso-6-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## L23 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

2002:270662 HCAPLUS

DOCUMENT NUMBER:

136:294827

TITLE:

Preparation of imidazothiazole derivatives as ligands

for metabotropic glutamate receptor

INVENTOR(S):

Hayashibe, Satoshi; Itahana, Hirotsune; Okada, Shoji;

Ohara, Atsuyuki; Negoro, Kenji; Nozawa, Shigenori;

Kamikubo, Takashi; Sakamoto, Shuichi

PATENT ASSIGNEE(S):

Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 23 pp. CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

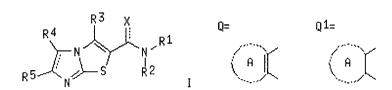
PATENT INFORMATION:

| PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------------|------|----------|-----------------|----------|
|                   |      |          | +               |          |
| JP 2002105085     | A2   | 20020410 | JP 2000-296124  | 20000928 |
| RITY APPLN. INFO. | :    |          | JP 2000-296124  | 20000928 |

PRIORITY APPLN. INFO.: OTHER SOURCE(S):

MARPAT 136:294827

GΙ



The title compds. [I; R1, R2 = H, lower alkyl, cycloalkyl; R3 = H, lower alkyl; R4, R5 = H, halo, NO2, (un)substituted lower alkyl, aryl, heteroaryl, COR9, NHCO-O-lower alkyl, CR8:CR6R7, CR8R5aC(:CH2)R7; or R4 and R5 together represent Q, Q1; ring A = (un)substituted carbocyclic or arom. heterocyclic ring optionally possessing 1 or 2 double bond(s), wherein the ring atoms are carbon atoms or may contain 1-3 heteroatoms; R6, R7 = H, (un)substituted lower alkyl, aryl, or heteroaryl, lower alkoxycarbonyl, COR9, or R6 and R7 are combined together to represent cycloalkyl or (un)satd. heterocyclic ring; R6a = NR10R11; wherein R10, R11

= H, (un)substituted lower alkyl or R10 and R11 together form (un)substituted heteroaryl or satd. heterocyclic ring; X = 0, H] or pharmacol. acceptable salts thereof are prepd. These compds. are useful as agonists and/or antagonists for metabotropic glutamate receptor (mGluR1), in particular in the prevention or treatment of cerebral infarction (no data). Thus, a soln. of 2.5 g Et imidazo[2,1-b]thiazole-2-carboxylate in 100 mL methanol was treated with 30 mL 1 M aq. NaOH, stirred at room temp. for 2 h, refluxed for 15 min, cooled to room temp., and treated with 1 M aq. HCl followed by distg. off the solvent under reduced pressure, to give crude imidazo[2,1-b]thiazole-2-carboxylic acid hydrochloride (II). II was dissolved in 30 mL DMF, treated with 3.3 mL N-methylmorpholine and 1.43 mL Et chloroformate at -10°, and stirred at the same temp. for 3 h to give, after workup and conversion into the HCl salt, N-cyclohexyl-N-methylimidazo[2,1-b]thiazole-2-carboxamide hydrochloride.

## IT 409061-96-7P

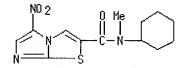
RN

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazothiazole derivs. as ligands for metabotropic glutamate receptor in prevention or treatment of cerebral infarction) 409061-96-7 HCAPLUS

Imidazo[2,1-b]thiazole-2-carboxamide, N-cyclohexyl-N-methyl-5-nitro- (9CI)
 (CA INDEX NAME)



L23 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2000:619076 HCAPLUS

DOCUMENT NUMBER: 134:256

TITLE: Potential antitumor agents. part 29: synthesis and

potential coanthracyclinic activity of Imidazo[2,1-b]thiazole guanylhydrazones

AUTHOR(S): Andreani, A.; Leoni, A.; Locatelli, A.; Moriqi, R.;

Rambaldi, M.; Recanatini, M.; Garaliene, V.

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di

Bologna, Bologna, 40126, Italy

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(9),

2359-2366

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:256

AB This paper reports the synthesis of new imidazo[2,1-b]thiazole guanylhydrazones which were tested as potential antitumor agents. Three of these derivs. (those bearing a 3- or 4-nitrophenyl group) were the most potent and one of these showed a mild effect as cyclin-dependent kinase 1 (CDK1) inhibitor. These same three derivs. were also tested as pos. inotropic agents and two of them were more potent than amrinone at 10-5 M. These two guanylhydrazones could be useful coanthracyclinic agents.

### IT 308121-59-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (synthesis and potential coanthracyclinic activity of
 Imidazo[b]thiazole guanylhydrazones as potential antitumor agents with
 pos. inotropic activity in relation to cyclin-dependent kinase 1
 inhibition)

RN 308121-59-7 HCAPLUS

CN Hydrazinecarboximidamide, 2-[(5-nitroimidazo[2,1-b]thiazol-6-yl)methylene]-, tetrahydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & N & CH = N - NH - C - NH 2 \\ \hline & NO 2 \end{array}$$

# 4 HC1

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

16

Full Citing Text References

ACCESSION NUMBER:

CORPORATE SOURCE:

1984:603875 HCAPLUS

DOCUMENT NUMBER:

101:203875

TITLE:

Nitroimidazoles: part XIX - structure-activity

relationships

AUTHOR (S):

SOURCE:

Nagarajan, K.; Arya, V. P.; George, T.; Nair, M. D.;

Sudarsanam, V.; Ray, D. K.; Shrivastava, V. B. Res. Cent., CIBA-GEIGY, Bombay, 400 063, India Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (1984), 23B(4), 342-62

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE:

LANGUAGE:

Journal English

GI

AB A variety of nitroimidazoles, mostly 1,2-disubstituted-5-nitro derivs. were examd. for in vitro activity against Entamoeba histolytica and for effectiveness in treating early hepatic infection in golden hamsters. Many compds. carried a functionalized N atom at position 2. In vivo activity was obsd. with 1-alkyl-5-nitroimidazoles carrying a substituted imidazolidinone or imidazole. Among these derivs., 1-methylsulfonyl-3-(1-methyl-5-nitro-2-imidazolyl)-2-imidazolidinone (I) [56302-13-7] was the most potent against hepatic and caecal infections of E. histolytica in the golden hamster and Trichomonas foetus infections in mice. It was developed as a drug for treatment of amoebiasis, giardiasis, and trichomoniasis. The structure-antiamebic activity relationships of the

nitroimidazoles are discussed.

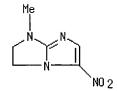
### IT 65092-06-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amebicidal activity of, structure in relation to)

65092-06-0 HCAPLUS RN

1H-Imidazo[1,2-a]imidazole, 2,3-dihydro-1-methyl-5-nitro- (9CI) (CA INDEX CN NAME)



=> file caold

CA SUBSCRIBER PRICE

COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 49.89 622.35 SINCE FILE TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION

-6.24

-17.33

FILE 'CAOLD' ENTERED AT 18:31:00 ON 14 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

## => d his

L1

(FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004)

FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004 STRUCTURE UPLOADED

26 S L1 L2

L3STRUCTURE UPLOADED

20 S L3

L5397 S L3 FULL

> FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004 75 S L5

1.6

```
STRUCTURE UPLOADED
L7
                S L7
     FILE 'REGISTRY' ENTERED AT 18:21:18 ON 14 MAY 2004
L8
              6 S L7
     FILE 'HCAPLUS' ENTERED AT 18:21:19 ON 14 MAY 2004
L9
              2 S L8
     FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004
L10
                STRUCTURE UPLOADED
              6 S L10
L11
             87 S L10 FULL
L12
     FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004
             16 S L12
L13
L14
             3 S L13 AND GERLACH, M?/AU
            13 S L13 NOT L14
L15
             0 S L15 AND MAUL, C?/AU
L16
     FILE 'CAOLD' ENTERED AT 18:23:05 ON 14 MAY 2004
L17
              2 S L12
     FILE 'REGISTRY' ENTERED AT 18:23:34 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:35 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:45 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:45 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:51 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:52 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:56 ON 14 MAY 2004
L18
                STRUCTURE UPLOADED
             20 S L18
L19
L20
            409 S L18 FULL
     FILE 'HCAPLUS' ENTERED AT 18:29:30 ON 14 MAY 2004
L21
             9 S L20/THU
L22
              3 S L21 AND GERLACH, M?/AU
L23
             6 S L21 NOT L22
L24
              0 S L23 AND MAUL, C?/AU
     FILE 'CAOLD' ENTERED AT 18:31:00 ON 14 MAY 2004
=> s 120
L25
           6 L20
=> d 125, all, 1-6
L25 ANSWER 1 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
   Full
   Text
     CA64:2093g CAOLD
AN
     1-substituted-2-acyl-5-nitroimidazoles
TI
    Henry, David W.; Hoff, D. R.
ΑU
```

DT

Patent

```
2-acyl-5-nitroimidazoles (1-substituted)
TI
PA
     Merck & Co., Inc.
DT
      Patent
      PATENT NO.
                                   DATE
                     KIND
      _____
                     _ _ _ _ _ _ _ _ _
ΡI
      BE 661262
     NL 6503442
                  4224-56-0
IT
      1563-99-1
                                 4750-32-7
                                               4750-33-8
                                                             4750-34-9
                                                                           4750-35-0
                                               4750-39-4
                                                             4750-54-3
     4750-36-1
                  4750-37-2
                                 4750-38-3
                                                                           4750-55-4
      <u>4750-56-5</u> <u>4750-57-6</u> <u>4750-58-7</u>
                                               <u>4750-59-8</u> <u>4812-30-0</u> <u>4812-31-1</u>
      4812-32-2 4812-33-3
                                 4812-34-4
                                               4812-35-5
                                                             4812-36-6
      4812-37-7 4812-39-9
                                 4819-25-4
                                               4827-75-2
                                                             4859-05-6 4994-21-2
      <u>4994-22-3</u>
                   5605-52-7
                                 7760-43-2 10213-26-0 13489-37-7 21741-90-2
L25 ANSWER 2 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
AN
     CA58:2443e CAOLD
TI
     bicyclic heterocyclic compds with a common N atom - (IV)
     aminoimidazo[2,1-b]thiazoles
ΑU
     Pyl, Theodor; Wuensch, K. H.; Buelling, L.; Beyer, H.
IT 74416-91-4 88855-97-4 88855-99-6 91183-08-3 91394-83-1
      <u>91394-84-2</u> <u>91635-13-1</u> <u>92286-32-3</u> <u>92545-85-2</u> <u>93327-30-1</u>
     96984-80-4 96986-17-3 97026-49-8 111164-78-4
L25 ANSWER 3 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
AN
     CA58:2442q CAOLD
ΤI
     bicyclic heterocyclic compds. with a common N atom - (III) nitrosation and
      azo coupling of 6-phenylimidazo[2,1-b]thiazoles
ΑU
      Pyl, Theodor; Wuensch, K. H.; Beyer, H.
\mathbf{IT}
    \underline{14954\text{-}66\text{-}6} \quad \underline{14956\text{-}60\text{-}6} \quad \underline{14956\text{-}61\text{-}7} \quad \underline{16311\text{-}34\text{-}5} \quad \underline{27129\text{-}49\text{-}3}
      <u>91065-26-8</u> <u>91330-92-6</u> <u>91493-98-0</u> <u>91493-99-1</u> <u>91494-00-7</u>
      91902-04-4 92697-08-0 92905-62-9 93191-39-0 93329-14-7 95024-60-5
L25 ANSWER 4 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
AN
     CA56:2442g CAOLD
ΤI
     phenoxazines - (V) syntheses of 7-amino-2-phenoxazones
ΑU
     Musso, Hans; Wager, P.
IT
      493-42-5 1916-58-1
                                 2835-97-4
                                               3950-31-0 26103-30-0 26103-31-1
      <u>53669-94-6</u> <u>53669-95-7</u> <u>53669-97-9</u> <u>67862-51-5</u> <u>92060-74-7</u> <u>92102-80-2</u>
      92149-10-5 92149-30-9 92149-31-0 92437-82-6 92873-56-8 92905-61-8
      93014-15-4 93431-78-8 93986-16-4
                                               94538-61-1 94709-90-7 94906-40-8
      95019-65-1 98016-21-8 98396-82-8
L25 ANSWER 5 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
ΆN
      CA55:27354d CAOLD
TI
      condensed polymethylene derivs. of heterocycles based on lactams - (V)
      synthesis of 8,9-tri- and tetramethylenepurines
ΑU
     Glushkov, R. G.; Magidson, O. Yu.
                   <u>5654-82-0</u> <u>98490-26-7</u> <u>108106-76-9</u> <u>108128-97-8</u> <u>108249-28-1</u>
      \underline{108480\text{-}63\text{-}3} \ \ \underline{109442\text{-}37\text{-}7} \ \ \underline{109497\text{-}99\text{-}6} \ \ \underline{109498\text{-}00\text{-}2} \ \ \underline{109510\text{-}96\text{-}5} \ \ \underline{109817\text{-}54\text{-}1}
      109848-37-5 109868-78-2 117888-87-6 118802-01-0 118950-56-4
      118950-57-5 130936-42-4
L25 ANSWER 6 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
AN
     CA55:24726d CAOLD
ΤI
     bicyclic heterocyclic compds. with a common N atom - (I)
      imidazo[2,1-b]-thiazoles
ΑU
     Pyl, Theodor; Giebelmann, R.; Beyer, H.
```

=> fil reg; d acc 4812-34-4; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:31:23 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4812-34-4 REGISTRY

CN 5H-Pyrrolo[1,2-a]imidazole, 6,7-dihydro-3-nitro- (7CI, 8CI, 9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C6 H7 N3 O2

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:31:23 ON 14 MAY 2004

=> fil reg; d acc 4812-35-5; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:31:43 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4812-35-5 REGISTRY

CN 7H-Pyrrolo[1,2-a]imidazol-7-one, 5,6-dihydro-3-nitro-, oxime (7CI, 8CI) (CA INDEX NAME)

FS 3D CONCORD

MF C6 H6 N4 O3

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:31:43 ON 14 MAY 2004

=> fil reg; d acc 4812-36-6; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:31:50 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4812-36-6 REGISTRY

CN 7H-Pyrrolo[1,2-a]imidazol-7-one, 5,6-dihydro-3-nitro-, semicarbazone (7CI, 8CI) (CA INDEX NAME)

FS 3D CONCORD

MF C7 H8 N6 O3

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:31:51 ON 14 MAY 2004

=> fil reg; d acc 4812-37-7; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:31:57 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4812-37-7 REGISTRY

CN 7H-Pyrrolo[1,2-a]imidazol-7-one, 5,6-dihydro-3-nitro- (7CI, 8CI) (CA INDEX NAME)

FS 3D CONCORD

MF C6 H5 N3 O3

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:31:58 ON 14 MAY 2004

=> fil reg; d acc 4994-22-3; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:32:04 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4994-22-3 REGISTRY

CN 5H-Pyrrolo[1,2-a]imidazole, 7-benzylidine-6,7-dihydro-3-nitro- (8CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 5H-Pyrrolo[1,2-a]imidazole, 7-benzylidene-6,7-dihydro-3-nitro- (7CI)

FS 3D CONCORD

MF C13 H11 N3 O2

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:32:04 ON 14 MAY 2004

=> fil reg; d acc 88855-97-4; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:32:23 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 88855-97-4 REGISTRY

CN Imidazo[2,1-b]thiazole, 5-amino-6-(p-aminophenyl)-2-methyl-, dipicrate

(7CI) (CA INDEX NAME)

MF C12 H12 N4 S . 2 C6 H3 N3 O7

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS

(\*File contains numerically searchable property data)

CM 1

CRN 88855-96-3 CMF C12 H12 N4 S

CM 2

CRN 88-89-1 . CMF C6 H3 N3 O7

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:32:24 ON 14 MAY 2004

=> file reg

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.42 642.15 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -17.33

FILE 'REGISTRY' ENTERED AT 18:32:49 ON 14 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7 DICTIONARY FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See  $\underline{\mathtt{HELP}}$   $\underline{\mathtt{CROSSOVER}}$  for details.

Experimental and calculated property data are now available. For more information enter <a href="HELP PROP">HELP PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

=> L26

STRUCTURE UPLOADED

=> d 126

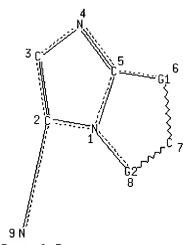
L26 HAS NO ANSWERS

L26 STR

N 14 C 15

# C 11 S 12 N 13

Page 1-A



Page 1-B

C 10

Page 3-A

VAR G1=11/12/13

VAR G2=14/15

NODE ATTRIBUTES:

| NSPEC   | IS  | R       | AT    | 1    |    |
|---------|-----|---------|-------|------|----|
| NSPEC   | IS  | R       | AT    | 2    |    |
| NSPEC   | IS  | R       | AT    | 3    |    |
| NSPEC   | IS  | R       | AT    | 4    |    |
| NSPEC   | IS  | R       | AΤ    | 5    |    |
| NSPEC   | IS  | R       | AT    | 6    |    |
| NSPEC   | IS  | R       | AT    | 7    |    |
| NSPEC   | IS  | R       | AT    | 8    |    |
| NSPEC   | IS  | C       | AT    | 9    |    |
| NSPEC   | IS  | C       | AT    | 10   |    |
| DEFAULT | MLE | EVEL IS | ATO   | 1    |    |
| MLEVEL  | IS  | CLASS   | AT    | 9    | 10 |
| DEFAULT | ECI | SEVEL I | S LIN | /ITE | ED |

## GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

=> s 126

SAMPLE SEARCH INITIATED 18:33:49 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 492 TO ITERATE

100.0% PROCESSED 492 ITERATIONS

20 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

8510 TO 11170

PROJECTED ANSWERS:

132 TO 668

L27 20 SEA SSS SAM L26

=> s 126 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 18:33:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10461 TO ITERATE

100.0% PROCESSED 10461 ITERATIONS

379 ANSWERS

SEARCH TIME: 00.00.01

L28 379 SEA SSS FUL L26

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 155.84 797.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

0.00 -17.33

FILE 'HCAPLUS' ENTERED AT 18:34:03 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21 FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 128/thu

78 L28 592681 THU/RL

L29 9 L28/THU

(L28 (L) THU/RL)

=> s 129 and pain?

119464 PAIN?

L30 0 L29 AND PAIN?

=> s 129 and analg?

55467 ANALG?

L31 3 L29 AND ANALG?

=> d 131, ibib abs fhitstr, 1-3

L31 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2001:798222 HCAPLUS

DOCUMENT NUMBER: 135:344484

TITLE: Preparation of N-acylimidazopyridineamine chlorides

and analogs as  $\mu$ -opiate receptor ligands

INVENTOR(S): Gerlach, Matthias; Maul, Corinna PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

|       | PATENT NO. |       |       |      |             | ND : | DATE    |      |                | A.           | PPLI | CATI | ٥.    | DATE     |          |          |     |     |  |  |
|-------|------------|-------|-------|------|-------------|------|---------|------|----------------|--------------|------|------|-------|----------|----------|----------|-----|-----|--|--|
|       |            |       |       |      |             |      |         |      |                | -            |      |      |       |          |          |          |     |     |  |  |
| 1     | WO         | 2001  | 0813  | 44   | A1 20011101 |      |         |      |                | W            | 20   | 01-E | P377: | 2        | 20010403 |          |     |     |  |  |
|       |            | W:    | ΑE,   | AG,  | AL,         | AM,  | AT,     | AU,  | AZ,            | BA,          | BB,  | BG,  | BR,   | BY,      | BZ,      | CA,      | CH, | CN, |  |  |
|       |            |       | CO,   | CR,  | CU,         | CZ,  | DK,     | DM,  | DZ,            | EE,          | ES,  | FI,  | GB,   | GD,      | GE,      | GH,      | GM, | HR, |  |  |
|       |            |       | ΗU,   | ID,  | IL,         | IN,  | IS,     | JP,  | ΚE,            | KG,          | ΚP,  | KR,  | KZ,   | LC,      | LK,      | LR,      | LS, | LT, |  |  |
|       |            |       | LU,   | LV,  | MA,         | MD,  | MG,     | MK,  | MN,            | MW,          | MX,  | MZ,  | NO,   | NZ,      | PL,      | PT,      | RO, | RU, |  |  |
|       |            |       | SD,   | SE,  | SG,         | SI,  | SK,     | SL,  | TJ,            | TM,          | TR,  | TT,  | TZ,   | UA,      | UG,      | US,      | UZ, | VN, |  |  |
|       |            |       | YU,   | ZA,  | ZW,         | AM,  | ΑZ,     | BY,  | KG,            | KΖ,          | MD,  | RU,  | ТJ,   | TM       |          |          |     |     |  |  |
|       |            | RW:   | GH,   | GM,  | KE,         | LS,  | MW,     | MZ,  | SD,            | SL,          | SZ,  | TZ,  | ŪĠ,   | ZW,      | ΑT,      | BE,      | CH, | CY, |  |  |
|       |            |       | DE,   | DK,  | ES,         | FI,  | FR,     | GB,  | GR,            | ΙE,          | IT,  | LU,  | MC,   | NL,      | PT,      | SE,      | TR, | BF, |  |  |
|       |            |       | ВJ,   | CF,  | CG,         | CI,  | CM,     | GA,  | GN,            | GW,          | ML,  | MR,  | NE,   | SN,      | TD,      | TG       |     |     |  |  |
| ]     | DE         | 1001  | 9714  |      | Α           | 1 :  | 2002    | 0110 |                | D.           | E 20 | 00-1 | 0019  | 714      | 2000     | 0420     |     |     |  |  |
| -     | ΕP         | 1274  | 709   |      | Α           | 1 :  | 2003    | 0115 |                | E            | P 20 | 01-9 | 3156  | 0        | 2001     | 0403     |     |     |  |  |
| -     |            | R:    | AT,   | BE,  | CH,         | DE,  | DK,     | ES,  | FR,            | GB,          | GR,  | IT,  | LI,   | LU,      | NL,      | SE,      | MC, | PT, |  |  |
|       |            |       | ΙE,   | SI,  | LT,         | LV,  | FI,     | RO,  | MK,            | CY,          | AL,  | TR   |       |          |          |          |     |     |  |  |
| 9     | JP         | 2003  | 5312  | 08   | T           | 2    | 2003    | 1021 | JP 2001-578434 |              |      |      |       |          | 20010403 |          |     |     |  |  |
| j     | NO         | 2002  | 0048  | 38   | A           |      | 2002    | 1007 |                | NO 2002-4838 |      |      |       |          |          | 20021007 |     |     |  |  |
| j     | US         | 2003  | 1198  | 42   | A1 20030626 |      |         |      | U              | 5 20         | 02-2 | 7334 | 4     | 20021018 |          |          |     |     |  |  |
| PRIOR | ITY        | APP   | LN.   | INFO | . :         |      |         |      |                | DE 2         | 000- | 1001 | 9714  | Α        | 2000     | 0420     |     |     |  |  |
|       |            |       |       |      |             |      |         |      | 1              | WO 2         | 001- | EP37 | 72    | W        | 2001     | 0403     |     |     |  |  |
| OTHER | 00         | TIDCE | /c) . |      |             | MAD  | יים עכו | 125. | 211191         |              |      |      |       |          |          |          |     |     |  |  |

OTHER SOURCE(S): MARPAT 135:344484

GΙ

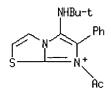
Title compds. (ICl-)[II; R1 = CMe3, cyclohexyl, CH2CO2Me, (un)substituted Ph, etc.; R2 = H or alkanoyl; R3 = Me, Ph, 2-furyl, 2-pyridinyl, etc.; R4R5 = (un)substituted CH:CHCH:CH, CH:NCH:CH, N:CHCH:CH, etc.; R8 = (cyclo)alkyl] were prepd. Thus, 2-aminopyridine was cyclocondensed with Me3CNC and PhCHO to give, after N-acylation, II (R1 = CMe3, R2 = H, R3 = Ph, R4R5 = CH:CHCH:CH, R8 = Me). Data for biol. activity of II were given.

IT 370858-36-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N-acylimidazopyridineamine chlorides and analogs as μ-opiate receptor ligands)

RN 370858-36-9 HCAPLUS

CN Imidazo[2,1-b]thiazolium, 7-acetyl-5-[(1,1-dimethylethyl)amino]-6-phenyl-, chloride (9CI) (CA INDEX NAME)



# C1 -

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

7

Full Citing Text References

ACCESSION NUMBER: 2001:283961 HCAPLUS

DOCUMENT NUMBER: 134:295826

TITLE: Preparation of imidazopyridineamines and analogs as

analgesics

INVENTOR(S): Gerlach, Matthias; Maul, Corinna
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PA' | LENI. | NO.  |     | KI  | ND  | DATE |      |     | A   | P. P. P. P. | CATI | ON N | o   | DATE |      |     |     |
|-----|-------|------|-----|-----|-----|------|------|-----|-----|-------------|------|------|-----|------|------|-----|-----|
|     |       |      |     |     |     |      |      |     | ~   |             |      |      |     |      |      |     |     |
| WO  | 2001  | 0271 | 19  | A.  | 2   | 2001 | 0419 |     | W   | 0 20        | 00-E | P909 | 8   | 2000 | 0918 |     |     |
| WO  | 2001  | 0271 | 19  | A   | 3   | 2001 | 1011 |     |     |             |      |      |     |      |      |     |     |
|     | W:    | ΑE,  | ΑL, | AM, | ΑT, | AU,  | ΑZ,  | BA, | BB, | BG,         | BR,  | BY,  | CA, | CH,  | CN,  | CR, | CU, |
|     |       | CZ.  | DK. | DM  | EE  | ES   | FT.  | GB. | GD. | GE.         | GH.  | GM.  | HR. | HU.  | ID.  | TL. | IN. |

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG DE 1999-19948434 19991008 DE 19948434 A1 20010607 PT 1218378 T 20030930 PT 2000-969439 20001006 ES 2198355 Т3 20040201 ES 2000-969439 20001006 Α ZA 2002003579 20030806 ZA 2002-3579 20020506 DE 1999-19948434 A 19991008 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 134:295826 GΙ

$$R^{5}$$
 $R^{5}$ 
 $R^{6}$ 
 $R^{1}R^{2}$ 
 $R^{3}$ 

AB Substance libraries comprising, e.g., I [R1 = CMe3, cycloalkyl, (un)substituted Ph, etc.; R2 = H, cycloalkyl, alkanoyl, etc.; R3 = (cyclo)alkyl, (un)substituted (hetero)aryl, etc.; R5,R6 = H, halo, alkyl, alkoxy, etc.; Z = N or CR10; Z1 = N or CR9; R9,R10 = groups cited for R5; Z = N ≠ Z1; Z1 = N ≠ Z] were prepd. Thus, pyridine-2-amine was cyclocondensed with cyclohexanecarboxaldehyde and tert-Bu isocyanide to give I (R1 = CMe3, R2 = R5 = R6 = H, R3 = cyclohexyl, Z = Z1 = CH). Data for biol. activity of I were given.

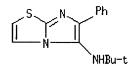
IT 214531-41-6P

RN

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of imidazopyridineamines and analogs as analgesics) 214531-41-6 HCAPLUS

Imidazo[2,1-b]thiazol-5-amine, N-(1,1-dimethylethyl)-6-phenyl- (9CI) (CA INDEX NAME)



L31 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2001:283960 HCAPLUS

DOCUMENT NUMBER: 134:295829

TITLE: Preparation of aminoimidazo[2,1-b]thiazoles,

-pyrazoles, and -triazoles as analgesics

INVENTOR(S): Gerlach, Matthias; Maul, Corinna PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 5

### PATENT INFORMATION:

```
PATENT NO.
                  KIND DATE
                                        APPLICATION NO. DATE
    -----
                          _____
                                        -----
                    A2
    WO 2001027118
                          20010419
                                         WO 2000-EP9097
                                                         20000918
    WO 2001027118
                    A3
                          20010920
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,
            IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
            MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
            SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                       DE 1999-19948434 19991008
    DE 19948434
                    A1 20010607
    DE 19948436
                     A1
                          20010607
                                         DE 1999-19948436 19991008
    BR 2000014817
                     Α
                          20020618
                                         BR 2000-14817
                                                         20000918
                                         EP 2000-967693
                                                         20000918
    EP 1218383
                     A2
                          20020703
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL
    JP 2003511456
                    T2 20030325
                                        <u>JP 2001-530336</u>
                                                         20000918
                                         NZ 2000-518390
    NZ 518390
                     Α
                          20031031
                                                         20000918
                         20020527
    NO 2002001566
                     Α
                                        NO 2002-1566
                                                         20020403
                     A1 20021205
    US 2002183320
                                        US 2002-117335
                                                         20020408
                     B2
    US 6657064
                          20031202
                                         <u>US 2003-633579</u> 20030805
    US 2004023927
                    A1
                          20040205
PRIORITY APPLN. INFO.:
                                      DE 1999-19948434 A 19991008
                                      DE 1999-19948436 A 19991008
                                      DE 1999-19948438 A 19991008
                                      WO 2000-EP9097 W 20000918
                                      US 2002-117335 A3 20020408
```

OTHER SOURCE(S):

MARPAT 134:295829

GΙ

$$R3$$
 $N$ 
 $N$ 
 $R4$ 
 $R4$ 

Title compds. [I; R1 = CMe3, cyanohexyl, (substituted) Ph, cycloalkyl, etc.; R2 = H, (branched) (substituted) alkylcarbonyl, Ph, naphthyl, pyridyl, thiazolyl, furoyl, etc.; R3 = (branched) alkylcycloalkyl, (substituted) Ph, naphthyl, quinolinyl, anthracenyl, phenanthrenyl, etc.; X = CR5, N, S; Y = N, but when X = S, Y = CR6, N; R4, R5, R6 = H, (branched) alkyl, halo, CF3, cyano, NO2, amino, etc.], were prepd. Using a Zymark robotic synthesis system, 3-amino-1,2,4-triazole and HClO4 in CH2Cl2, furfural in CH2Cl2, and tert-butylisonitrile in CH2Cl2 were added successively to a reactor tube at 15° followed by 11 h stirring at 15° to give tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)amine. Several I at 10 μM showed 34-77% α2 adrenoceptor affinity.

## IT 334771-60-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminoimidazothiazoles, -pyrazoles, and -triazoles as analgesics)

RN <u>334771-60-7</u> HCAPLUS

1H-Imidazo[1,2-b][1,2,4]triazol-6-amine, N-(1,1-dimethylethyl)-5-(2-furanyl)- (9CI) (CA INDEX NAME)

=>

CN

Web Page URLs for STN Seminar Schedule - N. America NEWS "Ask CAS" for self-help around the clock NEWS 2 Source of Registration (SR) information in REGISTRY updated NEWS JAN 27 and searchable NEWS JAN 27 A new search aid, the Company Name Thesaurus, available in CA/CAplus NEWS FEB 05 German (DE) application and patent publication number format changes MEDLINE and LMEDLINE reloaded NEWS 6 MAR 03 NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded NEWS 8 MAR 03 FRANCEPAT now available on STN NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN NEWS 10 MAR 29 WPIFV now available on STN NEWS 11 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA NEWS 12 APR 26 PROMT: New display field available IFIPAT/IFIUDB/IFICDB: New super search and display field NEWS 13 APR 26 available NEWS 14 APR 26 LITALERT now available on STN NEWS 15 APR 27 NLDB: New search and display fields available NEWS 16 May 10 PROUSDDR now available on STN NEWS 17 May 19 PROUSDDR: One FREE connect hour, per account, in both May and June 2004 NEWS 18 May 12 EXTEND option available in structure searching NEWS 19 May 12 Polymer links for the POLYLINK command completed in REGISTRY NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP). AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004 NEWS HOURS STN Operating Hours Plus Help Desk Availability NEWS INTER General Internet Information Welcome Banner and News Items NEWS LOGIN NEWS PHONE Direct Dial and Telecommunication Network Access to STN NEWS WWW CAS World Wide Web Site (general information)

Welcome to STN International

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file

provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7 DICTIONARY FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

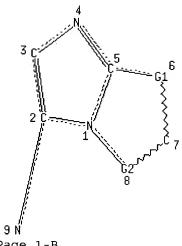
Experimental and calculated property data are now available. For more information enter <a href="HELP PROP">HELP PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

=> L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

N 13 C 14

C 10 S 11 N 12 Page 1-A



Page 1-B VAR G1=10/11/12 VAR G2=13/14

NODE ATTRIBUTES:

NSPEC IS R ATNSPEC IS R ATNSPEC IS R AT3 NSPEC IS R AΤ 4 NSPEC IS R ATNSPEC IS R NSPEC IS R AT 7 NSPEC IS R AT8 NSPEC IS C AT DEFAULT MLEVEL IS ATOM MLEVEL IS CLASS AT

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

=> s 11

SAMPLE SEARCH INITIATED 18:17:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 494 TO ITERATE

100.0% PROCESSED 494 ITERATIONS

26 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

8547 TO 11213

PROJECTED ANSWERS: 215 TO 825

L2 26 SEA SSS SAM L1

=>

L3 STRUCTURE UPLOADED

=> d 13

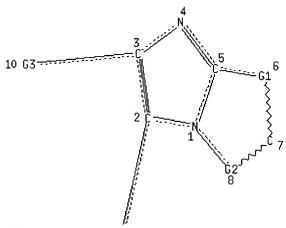
L3 HAS NO ANSWERS

L3 STI

Cy 16Ak 17

N 14 C 15

C 11 S 12 N 13 Page 1-A



Page 1-B

9 Ñ

Page 2-B

VAR G1=11/12/13

VAR G2=14/15

VAR G3=16/17

NODE ATTRIBUTES:

NSPEC IS R AT 1

NSPEC IS R ATNSPEC IS R AT3 NSPEC IS R AT 4 NSPEC IS R AT 5 NSPEC IS R AT 6 NSPEC IS R AT 7 NSPEC IS R AT 8 NSPEC IS C AΤ 9 NSPEC IS C AT 10 DEFAULT MLEVEL IS ATOM MLEVEL IS CLASS AT 9 16 17 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

=> s 13

SAMPLE SEARCH INITIATED 18:19:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 494 TO ITERATE

100.0% PROCESSED 494 ITERATIONS 20 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 8547 TO 11213

PROJECTED TIERATIONS: 854 / TO 11213
PROJECTED ANSWERS: 132 TO 668

L4 20 SEA SSS SAM L3

=> s 13 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 18:19:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10474 TO ITERATE

100.0% PROCESSED 10474 ITERATIONS 397 ANSWERS

SEARCH TIME: 00.00.01

L5 397 SEA SSS FUL L3

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 159.62 159.83

FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21 FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

75 L5 L6

Ь7 STRUCTURE UPLOADED

=> s 17

### REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 18:21:18 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 301 TO ITERATE

100.0% PROCESSED 301 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 4980 TO 7060

PROJECTED ANSWERS: 6 TO 266

L86 SEA SSS SAM L7

L9 2 L8

=> file reg

SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION

FULL ESTIMATED COST 2.36 167.33

FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7 DICTIONARY FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See <a href="HELP CROSSOVER">HELP CROSSOVER</a> for details.

Experimental and calculated property data are now available. For more information enter <a href="HELP PROP">HELP PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

=> L10

STRUCTURE UPLOADED

=> d 110

L10 HAS NO ANSWERS

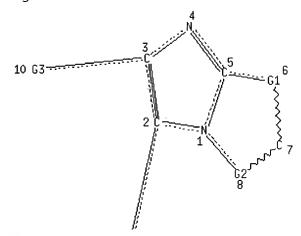
L10

STR

Cy 17Ak 18

N 15 C 16

C 12 S 13 N 14 Page 1-A



Page 1-B



VAR G1=12/13/14 VAR G2=15/16 VAR G3=17/18 NODE ATTRIBUTES:

IS R

NSPEC

AT 1

NSPEC IS R NSPEC IS R NSPEC IS R ATNSPEC IS R AT 5 NSPEC IS R AT NSPEC IS R ATNSPEC IS R ATNSPEC IS C AΤ NSPEC IS C ATNSPEC IS C AT 11 DEFAULT MLEVEL IS ATOM MLEVEL IS CLASS AT 9 11 17 18 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

=> s 110

SAMPLE SEARCH INITIATED 18:21:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 301 TO ITERATE

100.0% PROCESSED 301 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 4980 TO 7060

PROJECTED ANSWERS: 6 TO 266

L11 6 SEA SSS SAM L10

=> s 110 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 18:21:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6308 TO ITERATE

100.0% PROCESSED 6308 ITERATIONS 87 ANSWERS

SEARCH TIME: 00.00.01

L12 87 SEA SSS FUL L10

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 155.42 322.75

FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December

26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21 FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 112

L13 16 L12

=> s 113 and gerlach, m?/au 233 GERLACH, M?/AU

L14 3 L13 AND GERLACH, M?/AU

=> d l14, ibib abs fhitstr, 1-3

L14 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2001:798222 HCAPLUS

DOCUMENT NUMBER: 135:344484

TITLE: Preparation of N-acylimidazopyridineamine chlorides

and analogs as  $\mu$ -opiate receptor ligands

INVENTOR(S): Gerlach, Matthias; Maul, Corinna PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

<u>PATENT</u> INFORMATION:

|        | PA'                | TENT  | NO.  |      | KIND DATE   |     |      |      |                | A                              | PPLI | CATI  | ο.  | DATE |          |          |     |     |  |  |
|--------|--------------------|-------|------|------|-------------|-----|------|------|----------------|--------------------------------|------|-------|-----|------|----------|----------|-----|-----|--|--|
|        |                    |       |      |      |             |     |      |      |                | -                              |      |       |     |      |          |          |     |     |  |  |
|        | WO                 | 2001  | 0813 | 44   | A1 20011101 |     |      |      | WO 2001-EP3772 |                                |      |       |     |      | 20010403 |          |     |     |  |  |
|        |                    | W:    | ΑE,  | AG,  | AL,         | AM, | ΑT,  | AU,  | AZ,            | BA,                            | BB,  | BG,   | BR, | BY,  | ΒZ,      | CA,      | CH, | CN, |  |  |
|        |                    |       | CO,  | CR,  | CU,         | CZ, | DK,  | DM,  | DZ,            | EE,                            | ES,  | FI,   | GB, | GD,  | GE,      | GH,      | GM, | HR, |  |  |
|        |                    |       | HU,  | ID,  | IL,         | IN, | IS,  | JP,  | KE,            | KG,                            | ΚP,  | KR,   | KZ, | LC,  | LK,      | LR,      | LS, | LT, |  |  |
|        |                    |       | LU,  | LV,  | MA,         | MD, | MG,  | MK,  | MN,            | MW,                            | MX,  | MZ,   | NO, | NZ,  | PL,      | PT,      | RO, | RU, |  |  |
|        | SD, SE,<br>YU, ZA, |       |      |      |             |     |      |      |                |                                |      |       |     |      |          |          |     |     |  |  |
|        |                    |       |      |      |             | AM, | AZ,  | BY,  | KG,            | KZ,                            | MD,  | RU,   | ТJ, | TM   |          |          |     |     |  |  |
|        |                    | RW:   | GH,  | GM,  | KE,         | LS, | MW,  | MZ,  | SD,            | SL,                            | SZ,  | TZ,   | UG, | ZW,  | AT,      | BE,      | CH, | CY, |  |  |
|        |                    |       | DE,  | DK,  | ES,         | FI, | FR,  | GB,  | GR,            | IE,                            | IT,  | LU,   | MC, | NL,  | PT,      | SE,      | TR, | BF, |  |  |
|        |                    |       | •    |      |             | -   |      |      | -              |                                |      |       |     |      | TD,      |          | •   | •   |  |  |
|        | DE                 | 1001  | •    |      | •           | •   | •    | •    | •              | ,                              | •    | •     | •   | •    |          |          |     |     |  |  |
|        |                    |       |      |      |             |     |      |      |                | EP 2001-931560 200104          |      |       |     |      |          |          |     |     |  |  |
|        |                    |       |      |      |             |     |      |      |                |                                |      |       |     |      | NL,      |          | MC. | PT. |  |  |
|        |                    |       |      |      |             |     |      |      |                |                                |      |       | ,   | ,    | ,        | - '      |     | ,   |  |  |
|        | JΡ                 | 2003  |      |      |             |     |      | •    | •              | MK, CY, AL, TR  JP 2001-578434 |      |       |     |      |          | 20010403 |     |     |  |  |
|        |                    |       |      |      |             |     |      |      |                |                                |      |       |     |      | 20010403 |          |     |     |  |  |
|        |                    |       |      |      | A1 20030626 |     |      |      | ~              |                                |      |       |     | 2002 |          |          |     |     |  |  |
| PRIO   |                    | Y APP |      |      |             | _   | 2005 | 0020 |                | -                              | _    | 1001  |     |      | 2000     |          |     |     |  |  |
| 11(10) |                    |       | ·    | 1111 | • •         |     |      |      |                |                                |      | EP37  |     | • -  | 2001     |          |     |     |  |  |
|        |                    |       |      |      |             |     |      |      |                | 10 2                           | 001  | .,, , |     | ••   | 2001     | 0 1 0 3  |     |     |  |  |

OTHER SOURCE(S):

MARPAT 135:344484

GI

Title compds. (ICl-)[II; R1 = CMe3, cyclohexyl, CH2CO2Me, (un)substituted Ph, etc.; R2 = H or alkanoyl; R3 = Me, Ph, 2-furyl, 2-pyridinyl, etc.; R4R5 = (un)substituted CH:CHCH:CH, CH:NCH:CH, N:CHCH:CH, etc.; R8 = (cyclo)alkyl] were prepd. Thus, 2-aminopyridine was cyclocondensed with Me3CNC and PhCHO to give, after N-acylation, II (R1 = CMe3, R2 = H, R3 = Ph, R4R5 = CH:CHCH:CH, R8 = Me). Data for biol. activity of II were given.

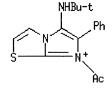
IT 370858-36-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-acylimidazopyridineamine chlorides and analogs as  $\mu$ -opiate receptor ligands)

RN <u>370858-36-9</u> HCAPLUS

CN Imidazo[2,1-b]thiazolium, 7-acetyl-5-[(1,1-dimethylethyl)amino]-6-phenyl-, chloride (9CI) (CA INDEX NAME)



# C1 -

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN



ACCESSION NUMBER: 2001:283961 HCAPLUS

DOCUMENT NUMBER: 134:295826

TITLE: Preparation of imidazopyridineamines and analogs as

analgesics

INVENTOR(S): Gerlach, Matthias; Maul, Corinna PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

```
WO 2001027119
                      A2
                           20010419
                                          WO 2000-EP9098
                                                           20000918
    WO 2001027119
                      Α3
                           20011011
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,
            IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
            MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
            SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    DE 19948434
                      A1
                           20010607
                                        DE 1999-19948434 19991008
    PT 1218378
                      Т
                           20030930
                                         PT 2000-969439
                                                           20001006
                      Т3
    ES 2198355
                           20040201
                                          ES 2000-969439
                                                           20001006
                                          ZA 2002-3579
    ZA 2002003579
                    - A
                           20030806
                                                           20020506
PRIORITY APPLN. INFO.:
                                       DE_1999-19948434 A 19991008
OTHER SOURCE(S):
                        MARPAT 134:295826
```

AB Substance libraries comprising, e.g., I [R1 = CMe3, cycloalkyl, (un)substituted Ph, etc.; R2 = H, cycloalkyl, alkanoyl, etc.; R3 = (cyclo)alkyl, (un)substituted (hetero)aryl, etc.; R5,R6 = H, halo, alkyl, alkoxy, etc.; Z = N or CR10; Z1 = N or CR9; R9,R10 = groups cited for R5;  $Z = N \neq Z1$ ;  $Z1 = N \neq Z$ ] were prepd. Thus, pyridine-2-amine was cyclocondensed with cyclohexanecarboxaldehyde and tert-Bu isocyanide to give I (R1 = CMe3, R2 = R5 = R6 = H, R3 = cyclohexyl, Z = Z1 = CH). Data for biol. activity of I were given.

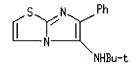
IT 214531-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazopyridineamines and analogs as analgesics)

RN 214531-41-6 HCAPLUS

CN Imidazo[2,1-b]thiazol-5-amine, N-(1,1-dimethylethyl)-6-phenyl- (9CI) (CA INDEX NAME)



L14 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References
ACCESSION NUMBER:

2001:283960 HCAPLUS

DOCUMENT NUMBER: 134:29582

TITLE: Preparation of aminoimidazo[2,1-b]thiazoles,

-pyrazoles, and -triazoles as analgesics

INVENTOR(S): Gerlach, Matthias; Maul, Corinna PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

|              |               | KIND DATE |     |             |     |                |      |                  |      |      | DATE  |              |      |          |      |     |     |
|--------------|---------------|-----------|-----|-------------|-----|----------------|------|------------------|------|------|-------|--------------|------|----------|------|-----|-----|
| WO 20        |               |           |     |             |     |                |      |                  |      |      |       |              |      | 2000     | 0918 |     |     |
| WO 20        | 0102          | 711       | . 8 | A.          | 3   | 2001           | 0920 |                  | _    |      |       |              | -    |          |      |     |     |
| W            | V: A          | Ε,        | AL, | AM,         | AT, | AU,            | ΑZ,  | BA,              | BB,  | BG,  | BR,   | BY,          | CA,  | CH,      | CN,  | CR, | CU, |
|              | C             | Ζ,        | DK, | DM,         | EE, | ES,            | FI,  | GB,              | GD,  | GE,  | GH,   | GM,          | HR,  | HU,      | ID,  | IL, | IN, |
|              | I             | s,        | JP, | KE,         | KG, | KP,            | KR,  | KZ,              | LC,  | LK,  | LR,   | LS,          | LT,  | LU,      | LV,  | MA, | MD, |
|              | M             | G,        | MK, | MN,         | MW, | MX,            | NO,  | NZ,              | PL,  | PT,  | RO,   | RU,          | SD,  | SE,      | SG,  | SI, | SK, |
|              | S             | L,        | TJ, | TM,         | TR, | TT,            | TZ,  | UA,              | UG,  | US,  | UZ,   | VN,          | YU,  | ZA,      | ZW,  | AM, | ΑZ, |
| BY, KG,      |               |           |     | KZ,         | MD, | RU,            | TJ,  | TM               |      |      |       |              |      |          |      |     |     |
| RW: GH, GM,  |               |           |     | KE,         | LS, | MW,            | MZ,  | SD,              | SL,  | SZ,  | TZ,   | ŪĠ,          | ZW,  | ΑT,      | BE,  | CH, | CY, |
|              | DE, DK,       |           |     |             | FI, | FR,            | GB,  | GR,              | ΙE,  | IT,  | LU,   | MC,          | NL,  | PT,      | SE,  | BF, | ВJ, |
|              | C             | F,        | CG, | CI,         | CM, | GΑ,            | GN,  | GW,              | ΜL,  | MR,  | NE,   | SN,          | TD,  | TG       |      |     |     |
| DE 19948434  |               |           |     | A:          | 1   | 2001           | 0607 |                  | Ε    | E 19 | 99-1  | 134          | 1999 | 1008     |      |     |     |
| DE 19        |               |           |     |             | 1   | 2001           | 0607 | DE 1999-19948436 |      |      |       |              |      | 1999     | 1008 |     |     |
| BR 20        | BR 2000014817 |           |     | Α           |     | 2002           | 0618 |                  | E    | R 20 | 00-14 | <u> 4817</u> |      | 2000     | 0918 |     |     |
| EP 12        | 21838         | 3         |     | A:          | 2   | 2002           | 0703 |                  | E    | P 20 | 00-9  | 6769:        | 3_   | 2000     | 0918 |     |     |
| R            | R: A          | Т,        | BE, | CH,         | DE, | DK,            | ES,  | FR,              | GB,  | GR,  | IT,   | LI,          | LU,  | NL,      | SE,  | MC, | PT, |
|              |               | •         | •   | •           | -   | FI,            | -    |                  |      |      |       |              |      |          |      |     |     |
| JP 20        | 00351         |           |     | T2 20030325 |     |                |      | JP 2001-530336   |      |      |       |              |      | 20000918 |      |     |     |
| NZ 51        |               |           |     |             |     |                |      | NZ 2000-518390   |      |      |       |              |      |          |      |     |     |
| NO 20        | 00200         | 156       | 6   | Α           |     | 2002           | 0527 | NO 2002-1566     |      |      |       |              |      | 2002     | 0403 |     |     |
| US 20        | 00218         | 332       | 0.0 | A.          | 1   | 2002           | 1205 |                  | Ţ    | S 20 | 02-1  | 1733         | 2    | 2002     | 0408 |     |     |
| <u>US 66</u> | 55706         | 4         |     | B           | 2   | 2003           | 1202 |                  |      |      |       |              |      |          |      |     |     |
| <u>US 20</u> | 00402         | 392       | 27  | A:          | 1   | 2004           | 0205 | US 2003-633579   |      |      |       |              | €    | 20030805 |      |     |     |
| IORITY A     | APPLN         | . I       | NFO | .:          |     |                |      |                  | DE 1 | 999- | 1994  | 8434         | Α    | 1999     | 1008 |     |     |
|              |               |           |     |             |     |                |      |                  | DE 1 | 999- | 1994  | <u>8436</u>  | Α    | 1999     | 1008 |     |     |
|              |               |           |     |             |     |                |      | :                | DE 1 | 999- | 1994  | 8438         | Α    | 1999     | 1008 |     |     |
|              |               |           |     |             |     |                |      |                  | WO 2 | 000- | EP90: | 97           | W    | 2000     | 0918 |     |     |
|              |               |           |     |             |     |                |      |                  | US 2 | 002- | 1173  | 35           | A3   | 2002     | 0408 |     |     |
| THER SOUR    | RCE (S        | ):        |     |             | MAR | PAT 134:295829 |      |                  |      |      |       |              |      |          |      |     |     |

GΙ

$$R3$$
 $N$ 
 $R4$ 
 $R1R2N$ 

Title compds. [I; R1 = CMe3, cyanohexyl, (substituted) Ph, cycloalkyl, AB etc.; R2 = H, (branched) (substituted) alkylcarbonyl, Ph, naphthyl, pyridyl, thiazolyl, furoyl, etc.; R3 = (branched) alkylcycloalkyl, (substituted) Ph, naphthyl, quinolinyl, anthracenyl, phenanthrenyl, etc.; X = CR5, N, S; Y = N, but when X = S, Y = CR6, N; R4, R5, R6 = H, (branched) alkyl, halo, CF3, cyano, NO2, amino, etc.], were prepd. Using a Zymark robotic synthesis system, 3-amino-1,2,4-triazole and HClO4 in CH2Cl2, furfural in CH2Cl2, and tert-butylisonitrile in CH2Cl2 were added successively to a reactor tube at 15° followed by 11 h stirring at 15° to give tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6yl)amine. Several I at 10 μM showed 34-77% α2 adrenoceptor affinity.

```
IT 334771-60-7P
```

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aminoimidazothiazoles, -pyrazoles, and -triazoles as

(prepn. of aminoimidazothiazoles, -pyrazoles, and -triazoles as analgesics)

RN 334771-60-7 HCAPLUS

CN 1H-Imidazo[1,2-b][1,2,4]triazol-6-amine, N-(1,1-dimethylethyl)-5-(2-furanyl)- (9CI) (CA INDEX NAME)

#### => d his

(FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004)

FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004

L1 STRUCTURE UPLOADED

L2 26 S L1

L3 STRUCTURE UPLOADED

L4 20 S L3

L5 397 S L3 FULL

FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004

L6 75 S L5

L7 STRUCTURE UPLOADED

S L7

FILE 'REGISTRY' ENTERED AT 18:21:18 ON 14 MAY 2004

L8 6 S L7

FILE 'HCAPLUS' ENTERED AT 18:21:19 ON 14 MAY 2004

L9 2 S L8

FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004

L10 STRUCTURE UPLOADED

L11 6 S L10

L12 87 S L10 FULL

FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004

L13 16 S L12

L14 3 S L13 AND GERLACH, M?/AU

=> s 113 not 114

L15 13 L13 NOT L14

=> s 115 and maul,c?/au

93 MAUL, C?/AU

L16 0 L15 AND MAUL, C?/AU

=> d 115, ibib abs fhitstr, 1-13

L15 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2003:507684 HCAPLUS

DOCUMENT NUMBER: 139:85530

TITLE: Preparation of C1 to c4 side-chain modified

nodulisporic acid analogs as anthelmintic agents

INVENTOR(S): Shih, Thomas; Colletti, Steven L.; Fisher, Michael H.;

Meinke, Peter T.; Kuo, Howard C. H.; Chakravarty, Prasun K.; Wyvratt, Matthew J.; Tyagarajan, Sriram;

Π

Berger, Richard

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE:

U.S., 57 pp. CODEN: USXXAM

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 6586452 B1 20030701 US 2001-901266 20010709
RITY APPLN. INFO.: US 2000-218398P P 20000714

PRIORITY APPLN. INFO.:
OTHER SOURCE(S):

MARPAT 139:85530

GI

Me Me Me Me Me Me Me 
$$R^{5}$$
  $R^{7}$   $R^{8}$ 

AB Nodulisporic acid derivs., such as I [R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl; R2-R4 = (substituted) OH; R1R2 = O; R5 = H, (substituted) OH; R4R5 = O; R6-R8 = H, alkyl, alkenyl, aryl, cycloalkyl, halo, CN acyl, amino, etc.] were prepd. The compds. were acaricidal, antiparasitic, insecticidal and anthelmintic agents. Thus, nodulisporic acid deriv. II was prepd. via a multistep synthetic sequence starting from nodulisporic acid A, N-methylhydroxylamine hydrochloride and N-phenyl-maleimide.

## IT 552836-27-8P

RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of C1 to C4 side-chain modified nodulisporic acid analogs as anthelmintic agents)

RN <u>552836-27-8</u> HCAPLUS

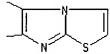
CN 1H-Benz[6,7]indeno[1,2-b]pyrano[3',4':4,5]cyclopenta[1,2-f]pyrrolo[3,2,1-

hi]indol-14(15H)-one, 4-[(1E)-2-[5-[(1,1-dimethylethyl)amino]imidazo[1,2-b]thiazol-6-yl]ethenyl]-2,3,4,4a,5,6,6a,7,10,12,12a,13,16b,16c-tetradecahydro-3,13-dihydroxy-4,10,10,12,12,16b,16c-heptamethyl-15-(1-methylethenyl)-, (3S,4S,4aR,6aS,12aR,13S,15S,16bS,16cS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-B



REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

6

Full Citing
Text References

ACCESSION NUMBER: 2003:363790 HCAPLUS

DOCUMENT NUMBER: 139:230677

TITLE: Microwave-assisted multi-component synthesis of fused

3-aminoimidazoles

AUTHOR(S): Ireland, Sarah M.; Tye, Heather; Whittaker, Mark

CORPORATE SOURCE: Evotec OAI, Abingdon, Oxfordshire, OX14 4SD, UK SOURCE: Tetrahedron Letters (2003), 44(23), 4369-4371

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:230677

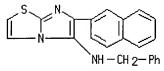
AB A variety of fused 3-aminoimidazoles have been synthesized by a microwave assisted Ugi three-component coupling (3cc) reaction catalyzed by scandium triflate in methanol as solvent. Yields of 33-93% have been achieved after just 10 min of microwave irradn. using a simple one-stage procedure. The methodol. described is suitable for the rapid and efficient synthesis of a range of fused heterocycles of pharmacol. interest.

## IT <u>593270-92-9</u>P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of fused 3-aminoimidazoles via microwave assisted Ugi
three-component coupling as the key step)

RN 593270-92-9 HCAPLUS

CN Imidazo[2,1-b]thiazol-5-amine, 6-(2-naphthalenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References

ACCESSION NUMBER: 2003:90593 HCAPLUS

DOCUMENT NUMBER: 138:401653

TITLE: Fused heterocycles: Synthesis of some new

imidazothiazoles

AUTHOR(S): Cesur, Nesrin; Cesur, Zafer; Guner, Handan;

Kasimogullari, B. Ozden

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of

Pharmacy, University of Istanbul, Instanbul, 34452,

Turk.

SOURCE: Heterocyclic Communications (2002), 8(5), 433-438

CODEN: HCOMEX; ISSN: 0793-0283

PUBLISHER: Freund Publishing House Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:401653

GΙ

AB Reaction of aldehyde-hydrazones or semicarbazones bearing an imidazo[2,1-b][1,3]thiazole ring system with mercaptoalkanoic acids were investigated and found to give thiazolidine and thiazine derivs., e.g. I and II. Antimycobacterial activities of compds. thus obtained were evaluated against Mycobacterium tuberculosis H37Rv using rifampine as std. (no data).

#### IT 531501-57-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of some new imidazothiazoles via aldehyde hydrazones or semicarbazones)

RN 531501-57-2 HCAPLUS

CN Carbamic acid, (6-methylimidazo[2,1-b]thiazol-5-yl)-, ethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References

ACCESSION NUMBER: 2000:211394 HCAPLUS

DOCUMENT NUMBER: 132:334420

TITLE: Synthesis of new functionalized imidazo[2,1-

b] thiazoles and thiazolo[3,2-a] pyrimidines

AUTHOR(S): Peterlin-Masic, Lucija; Malesic, Mateja; Breznik,

Matej; Krbavcic, Ales

CORPORATE SOURCE: Faculty of Pharmacy, University of Ljubljana,

Ljubljana, 1000, Slovenia

SOURCE: Journal of Heterocyclic Chemistry (2000), 37(1),

95-101

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal LANGUAGE: English

AB 5-Oxo-5H-[1,3]thiazolo[3,2-a]pyrimidine-6-carboxylic acid and 6-methylimidazo[2,1-b]thiazole-5-carboxylic acid were reacted with amines via reaction with oxalyl chloride and use of N,N-dimethylformamide as a catalyst to give primary and secondary amide derivs. N,N'-disubstituted ureas and perhydroimidazo[1,5-c]thiazole derivs. of imidazo[2,1-b]thiazole were also prepd. By NMR anal. of one of the compds. prepd., existence of two stereoisomers resulting from both optical and conformational isomerism

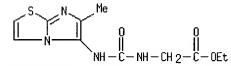
IT 267897-75-6P

was obsd.

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of imidazo[2,1-b]thiazoles and thiazolo[3,2-a]pyrimidines)

RN 267897-75-6 HCAPLUS

CN Glycine, N-[[(6-methylimidazo[2,1-b]thiazol-5-yl)amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

21

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

1998:624858 HCAPLUS

DOCUMENT NUMBER: 129:302566

TITLE: A new het

A new heterocyclic multicomponent reaction for the combinatorial synthesis of fused 3-aminoimidazoles

AUTHOR(S): Bienayme, Huques; Bouzid, Kamel

CORPORATE SOURCE: Rhone-Poulenc Technologies, St-Fons, F-69192, Fr. SOURCE: Angewandte Chemie, International Edition (1998),

37(16), 2234-2237

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:302566

GΤ

AB Reaction of heteroarom. amidines, aldehydes, and isonitriles in the presence of a catalytic amt. of protic acids gave fused 3-aminoimidazoles. E.g., HClO4-catalyzed reaction of 2-aminopyrimidine, PhCHO, and Me3CNC gave 82% imidazopyrimidine I.

IT 214531-41-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of fused aminoimidazoles by multicomponent reaction of aminoamidines, aldehydes, and isonitriles)

RN 214531-41-6 HCAPLUS

CN Imidazo[2,1-b]thiazol-5-amine, N-(1,1-dimethylethyl)-6-phenyl- (9CI) (CA INDEX NAME)

NHBu-t

REFERENCE COUNT:

32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

CORPORATE SOURCE:

1997:169046 HCAPLUS

DOCUMENT NUMBER:

126:238333

TITLE:

Transformations of methyl L-(-)-Thiazolidine-4-

carboxylate, 2-amino-2-thiazoline and 2-aminothiazole

into thiazoloazines and azolothiazoles

AUTHOR(S):

Malesic, Mateja; Krbavcic, Ales; Stanovnik, Branko

Faculty of Pharmacy, University of Ljubljana,

Lujbljana, 1000, Slovenia

SOURCE:

Journal of Heterocyclic Chemistry (1997), 34(1), 49-55

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER:

HeteroCorporation

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB In the search for potential immunomodulators Me L-(-)-thiazolidine-4-carboxylate (I), 2-amino-2-thiazoline (II), and 2-aminothiazole (III) were transformed into derivs. of various bicyclic systems. Thus, from I, derivs. of perhydrothiazolo[3,4-a]pyrazine, perhydrothiazolo[4,3-c][1,4]oxazine, and perhydroimidazo[1,5-c]thiazole were prepd. From II, derivs. of 2,3-dihydrothiazolo[2,3-b]pyrimidine were prepd. From III, derivs. of imidazo[2,1-b]thiazoline were prepd. 6-(P-Sulfamoylphenyl)-7-oxoperhydroimidazo[1,5-c]thiazole-5-thione was found to exhibit immunorestoration activity.

IT 188561-50-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (transformations of Me thiazolidinecarboxylate, aminothiazoline, and aminothiazole into thiazoloazines and azolothiazoles)

RN 188561-50-4 HCAPLUS

CN Urea, (6-methylimidazo[2,1-b]thiazol-5-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Text References

ACCESSION NUMBER:

1995:350430 HCAPLUS

DOCUMENT NUMBER:

122:147044

TITLE:

A silver halide color photographic material.

INVENTOR(S):

Ikesu, Satoru; Kaneko, Yutaka

PATENT ASSIGNEE(S):

Konica Corporation, Japan Eur. Pat. Appl., 37 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.           | KIND   | DATE     | APPLICATION NO. | DATE     |
|----------------------|--------|----------|-----------------|----------|
|                      |        |          |                 |          |
| EP 608133            | Al     | 19940727 | EP 1994-300429  | 19940120 |
| EP 608133            | B1     | 19990707 |                 |          |
| R: DE, FR,           | GB, NL |          |                 |          |
| JP 06222526          | A2     | 19940812 | JP 1993-8572    | 19930121 |
| JP 06242569          | A2     | 19940902 | JP 1993-25720   | 19930215 |
| JP 06242570          | A2     | 19940902 | JP 1993-25721   | 19930215 |
| PRIORITY APPLN. INFO | . :    |          | JP 1993-8572    | 19930121 |
|                      |        |          | JP 1993-25720   | 19930215 |
|                      |        |          | JP 1993-25721   | 19930215 |

OTHER SOURCE(S):

MARPAT 122:147044

GΙ

 $\begin{array}{c|c} EMG & N & N & R^1 \\ X & N & R^2 & III \end{array}$ 

AB A Ag halide color photog. material comprises ≥1 of the hydrophilic colloid layers contg. a cyan dye-forming coupler represented by I, II, or III [R1-R3, Y = H, substituent; EWG = electron withdrawing group having Hammet's substituent const. ≥0.3; X = H, group capable of splitting off upon reaction with an oxidized product of a color developing agent]. The formed dye images have improved hue stability against heat, moisture and light.

IT 160877-96-3

RL: DEV (Device component use); TEM (Technical or engineered material use); USES (Uses)

(photog. cyan coupler for improved hue stability)

RN 160877-96-3 HCAPLUS

CN Heneicosanoic acid, 3-[[[6-[(butylamino)sulfonyl]-2-methyl-1H-imidazo[1,2-a]imidazol-3-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L15 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1993:222791 HCAPLUS

DOCUMENT NUMBER: 118:222791

TITLE: Photographic cyan coupler with heat and moisture

resistance

INVENTOR(S): Kita, Hiroshi; Kaneko, Yutaka; Ikesu, Satoru

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------|------|----------|-----------------|----------|
|             |      |          |                 | <b></b>  |
| JP 04260035 | A2   | 19920916 | JP 1991-42345   | 19910215 |
| JP 2849954  | B2   | 19990127 |                 |          |

<u>PRIORITY</u> APPLN. INFO.: <u>JP 1991-42345</u> 19910215

OTHER SOURCE(S): MARPAT 118:222791

GΙ

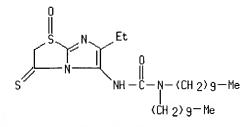
AB Photog. coupler I (R1-2 = H, substituent, R1 and R2 may form a ring; R3 = H, releasing group by the reaction with the oxidized color developing agent; Z = 0, S; n = 1-2). The coupler gives cyan images with heat-, light-, and moisture-resistance.

IT 147034-73-9

RL: TEM (Technical or engineered material use); USES (Uses) (photog. cyan coupler)

RN 147034-73-9 HCAPLUS

CN Urea, N,N-didecyl-N'-(6-ethyl-2,3-dihydro-1-oxido-3-thioxoimidazo[2,1-b]thiazol-5-yl)- (9CI) (CA INDEX NAME)



L15 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1987:102158 HCAPLUS

DOCUMENT NUMBER: 106:102158

TITLE: Novel syntheses of fused imidazoles. III. Simplified

construction of the imidazo[2,1-b]thiazoline system

AUTHOR(S): Lantos, Ivan; McGuire, Michael

CORPORATE SOURCE: Chem. Res. Dev., Smith Kline and French Lab.,

Philadelphia, PA, 19101, USA

SOURCE: Heterocycles (1986), 24(4), 991-6

CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:102158

GΙ

 $R^2$ 

AB Aminothiazoline I reacted with 4-RC6H4CHO (R = OMe, F, H, Me) in the presence of NaCN at room temp. to give imidazothiazolines II (R1 = 4-RC6H4; R2 = R1CH:N) in 20-80% yields. Acid hydrolysis of the latter gave II (R2 = NH2).

IT 106726-46-9P

RN 106726-46-9 HCAPLUS

CN Acetamide, N-[2,3-dihydro-6-(4-methoxyphenyl)imidazo[2,1-b]thiazol-5-yl]-(9CI) (CA INDEX NAME)

S N N OMe

L15 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing. Text References

ACCESSION NUMBER: 1974:505382 HCAPLUS

DOCUMENT NUMBER: 81:105382

TITLE: Cyclization of  $\omega$ -chloro- $\omega$ -acylamido

acetophenones

AUTHOR(S): Drach, B. S.; Dolqushina, I. Yu.; Sinitsa, A. D.

CORPORATE SOURCE:

Inst. Org. Khim., Kiev, USSR

SOURCE:

Khimiya Geterotsiklicheskikh Soedinenii (1974), (7),

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

GΙ For diagram(s), see printed CA Issue.

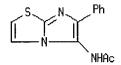
Acylamidothiazoles (I; R = Me, MeO, Ph, PhCH2O; R1 = H, Ph, MeS, NH2, Me) AB were obtained in 60-94% yields by cyclization of RCONHCHClCOPh (II) with R1CSNH2 1 hr in boiling THF. Analogously obtained were 60-86% benzothiazines (III; R = Me, Ph, MeO) from o-aminobenzenethiol, 55-62% imidazothiazoles (IV; R = Me, MeO) from 2-aminothiazole, and 60-8% imidazopyridines (V; R = Me, MeO) from 2-aminopyridine.

IT 54167-97-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) RN54167-97-4 HCAPLUS

Acetamide, N-(6-phenylimidazo[2,1-b]thiazol-5-yl)- (9CI) (CA INDEX NAME) CN



L15 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full References Text

ACCESSION NUMBER:

1973:159516 HCAPLUS

DOCUMENT NUMBER:

78:159516

TITLE:

1H-Imidazo[1,2-a]imidazoles. II. Chemistry of

1,6-dimethyl-1H-imidazo[1,2-a]imidazole

AUTHOR (S):

Miller, Laird F.; Bambury, Ronald E.

CORPORATE SOURCE:

Merrell-Natl. Lab. Div., Richardson-Merrell, Inc.,

Cincinnati, OH, USA

SOURCE:

Journal of Organic Chemistry (1973), 38(10), 1955-7

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 78:159516

For diagram(s), see printed CA Issue.

Electrophilic substitutions of 1,6-dimethyl-1H-imidazo [1,2-a]imidazole AB (I) occurred initially at the 5-position. Nitration of I also gave a dinitrated product whose structure was not conclusively established. A series of Hueckel MO calcns. were made in order to det. the site of substitution.

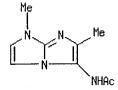
IT 38739-98-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

38739-98-9 HCAPLUS RN

CNAcetamide, N-(1,6-dimethyl-1H-imidazo[1,2-a]imidazol-5-yl)- (9CI) INDEX NAME)



L15 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 1968:95754 HCAPLUS

DOCUMENT NUMBER: 68:95754

TITLE: Substitution and addition reactions of 2-phenylimidazo[2,1-b]benzothiazole

AUTHOR(S): Pentimalli, Luciano; Guerra, Anna Maria

CORPORATE SOURCE: Univ. Bologna, Bologna, Italy

SOURCE: Gazzetta Chimica Italiana (1967), 97(8), 1286-93

CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

Compds. of the general formulas I and II are prepd. A mixt. of 3.3 g. 2-amino-4-methylthiazole, 6 g. BrCH2COPh, and 30 ml. EtOH is refluxed 3 hrs. to give 68% 3-methyl-6-phenylimidazo[2,1-b]thiazole (III), m. 113° (ligroine). Similarly prepd. are (m.p. given): 2-phenylimidazo[2,1-b]-benzothiazole (IV), 97-9° (HCl salt m.  $224-6^{\circ}$ ); I (Y = H, X = NO2),  $257-8^{\circ}$  (pyridine); II (Y = H, X = NO2), 284-6°. A mixt. of 1 g. IV, 0.8 g. EtO2CN:NCO2Et, and 15 ml. C6H6 is refluxed 3 hrs. to give 90% II [X = H, Y = N(CO2Et)NHCO2Et], m. 172-3° (C6H6-ligroine). Similarly prepd. is I [X = H, Y = N(CO2Et)NHCO2Et], m. 143° (C6H6-ligroine). A mixt. of 1 g. III, 0.45 g. maleic anhydride, and 45 ml. C6H6 is refluxed to give 91% I [X = H, Y = CH(CO2H)CH2CO2H, m. 179-80° (EtOH). Similarly prepd. is II [X = H, Y = CH(CO2H)CH2CO2H], m. 173-4° (xylene). A mixt. of 1 g.IV, diazonium salt (prepd. from 0.6 g. p-O2NC6H4NH2), and 20 ml. pyridine is kept overnight to give II (X = H, Y = p-O2NC6H4N:N), m. 240-1° (HOAc). Similarly prepd. is I (X = H, Y = p-O2NC6H4N:N), m. 171-2° (ligroine). A soln. of 1 g. IV in 10 ml. HOAc is treated with an aq. soln. of 0.5 g. NaNO2, the mixt. agitated 30 min., and neutralized with 10% NaOH to give 52% II (X = H, Y = NO), m. 179-80° (ligroin). A soln. of 2 g. IV in 20 ml. concd. H2SO4 is cooled, treated with 0.8 ml. HNO3 (d. 1.40), and agitated 90 min. to give II (X = Y = NO2), m.  $327-9^{\circ}$ , and II (X = NO2, Y = H), m.  $282-5^{\circ}$  (pyridine). Similarly prepd. is I (X = Y = NO2), m. 289-90° (pyridine).

IT 17833-09-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 17833-09-9 HCAPLUS

CN Bicarbamic acid, (3-methyl-6-phenylimidazo[2,1-b]thiazol-5-yl)-, diethyl ester (8CI) (CA INDEX NAME)

L15 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing. Text References

ACCESSION NUMBER: 1963:14863 HCAPLUS

DOCUMENT NUMBER: 58:14863

ORIGINAL REFERENCE NO.: 58:2443e-h,2444a-e

TITLE: Bicyclic heterocyclic compounds with a common nitrogen

atom. IV. Aminoimidazo[2,1-b]thiazoles

AUTHOR(S): Pyl, Theodor; Wuensch, Karl Heinz; Buelling, Lothar;

Beyer, Hans

CORPORATE SOURCE: Univ. Greifswald, Germany SOURCE: Ann. (1962), 657, 113-20

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

5-Nitro- (I) and 5-nitrosoimidazo[2,1-b]thiazoles (II) were reduced with Zn in AcOH to give the corresponding 5-NH2 derivs. (III), which were relatively stable and behaved chem. as aromatic amines. I were dissolved or suspended in AcOH, treated portionwise with Zn dust with gentle heating, filtered, and the filtrate treated with Et20-HCl or a few drops concd. H2SO4 [in the latter case the initially formed ppt. (ZnSO4) was discarded; the product crystd. on standing] gave III HCl or H2SO4 salts. Treatment of III salts in H2O with satd. aq. NaOAc or aq. picric acid (IV) gave free III and III picrates, resp. The following III were prepd. in this manner [R, R', R''', m.p. (decompn.), recrystn. solvent, % yield given] (R'' = H in all cases): H, H, Br (V), 183° dil. EtOH, 50; Me, H, Br (VI), 217°, MeOH, 20; H, Me, Br (VII), 200°, MeOH, 50; Me, Me, Br (VIII), 220° MeOH, 20; H, H, Cl (IX), 206°, dil. EtOH, 50; H, H, Me (as picrate), 250° (unsharp), aq. IV, 30; H, H, NH2 (as tri-HCl salt), above 300°, dil. HCl, 70; Me, H, NH2 (as dipicrate), 223°, --, 75; H, Me, NH2 (as dipicrate), 196°, alc.-IV, 65. II dissolved or suspended in AcOH cooled until the greater part of the AcOH solidified, treated portionwise with Zn dust with stirring, when decolorized the soln. filtered, the filtrate treated with a few drops concd. H2SO4 [the initial ppt. (ZnSO4) was discarded], and kept several hrs. gave III sulfate, converted to the free base or picrate as above. Thus were prepd. the following III (same data as above given) (R'' = H in all cases): H, H, Br, 183°, --, --; H, H, H (as picrate), 234°, aq. IV, 40; H, Me, H (as picrate), 213°, --, The bases V-IX were stable; the other bases were unstable and were isolated only as picrates. 5-Nitro-6-(p-bromophenyl)imidazo[2,1b]thiazole (1.6 g.) in 10 cc. AcOH and 5 cc. Ac2O treated with Zn dust and dild. with H2O gave 1.3 g. III (R'' = Ac, R = R' = H, R''' = Br), m. 211° (decompn.) (dil. EtOH). V (1 g.), 0.9 g. 4-EtO2CNHC6H4SO2Cl, and 0.3 g. pyridine in 100 cc. MeOH heated 2 hrs. and cooled gave 1.1 g. III (R = R' = H, R'' = 4-EtO2CNHC6H4SO2, RH''' = Br) (X) hydrate, m. 195° (H2O); X.HO2 dried in vacuo at 110° gave anhyd. X, m. 214-15°. X (1 g.) and 2 cc. 2N EtOH-NaOH in 50 cc. EtOH heated 6 hrs. at 60°, concd., poured into 1 l. H2O, and kept several hrs. gave 0.6 g. III (R = R' = H, R'' = 4-H2NC6H4SO2, R''' = Br), m.210-11°. V (1.5 g.) in 75 cc. Me2CO treated with 2 g. PhNCO, kept 1 hr., and concd. gave 1.7 g. III (R = R' = H, R'' = PhNHCO, R''' = Br), m. 238° (decompn.) (EtOH). V (1.5 g.) and 0.7 g. PhNCS treated with 1 drop pyridine, heated (exothermic reaction), the melt taken up in EtOH, and the soln. treated with H2O gave 1.3 g. III (R = R' = H, R'' = PhNHCS, R''' = Br), m. 202° (decompn.) (dil. EtOH). V (1.5 g.) and 5 cc. BzH heated 5 min., the product dissolved in EtOH, and the soln. treated with H2O gave 1.2 g. benzylidene deriv. of V, m. 195° (decompn.) (EtOH). V (1.5 g.) and 3 cc. 2-HOC6H4CHO treated similarly gave 1.1 g. salicylidene deriv. of V, m. 215° (decompn.) (EtOH with C). V (2.9  $\,$ 

q.) in 10 cc. concd. HCl and 100 cc. H2O treated with 0.8 g. NaNO2 at  $0-5^{\circ}$  and the ppt. filtered off rapidly gave moist III (R = R' = R'' = ON, R''' = Br) (XI). Freshly prepd. moist XI suspended in 20 cc. AcOH treated with Zn dust, the resulting light yellow soln. heated 5 min. with 1 cc. BzH, dild. with EtOH, treated with H2O, and kept overnight gave 0.1 q. III (R = R' = R'' = PhCH:N, R''' = Br), m. 210-11° (decompn.)(dil. EtOH). V (1.5 q.) in 15 cc. 50% HBr treated with 0.4 g. NaNO2 at 0-5° and the resulting diazonium soln. coupled with 2-naphthol gave XII. 2,4-Diaminothiazole and 4 g. BzCH2Br (XIII) in 250 cc. EtOH kept 1 hr. deposited 2.5 g. XIV (R = NH2), m. 244° (decompn.) (H2O with C). XIV (R = NH2) (1.5 g.) heated 2 hrs. with concd. HBr and cooled deposited 0.7 g. XIV (R = OH), m. 212° (decompn.) (EtOH). XIV (R = NH2) (3.1 g.) dissolved in 200 cc. boiling H2O, the soln. treated with satd. aq. NaOAc, the resinous product dissolved in EtOH, and the soln. treated with 1 cc. concd. HNO3 gave 2.5 g. 3-hydroxy-6-phenylimidazo [2,1-b]thiazole, m. 183° (decompn.). 2-Amino-4-methyl-5carbethoxythiazole (3.7 g.) and 4 g. XIII in 50 cc. EtOH heated 30 hrs., cooled, the ppt. filtered off, suspended in H2O, and the suspension heated with NaOAc and cooled gave 4.7 g. XV (R = OEt), m. 144-5° (EtOH). XV (R = OEt) (1.4 g.) and 1 cc. 100% N2H4.H2O in 10 cc. EtOH heated 10 hrs. at 70° and cooled gave 0.9 g. XV (R = NHNH2) (XVI), m. 235° (EtOH). XVI (1.4 q.) in 8 cc. AcOH treated with 0.4 q. NaNO2 and dild. with 100 cc. H2O gave 1 g. XV (R = N3), decompd. when heated. XV (R = N3) (1.4 q.) in 15 cc. AcOH and 15 cc. Ac2O heated until N evolution ceased, poured into 400 cc. H2O, and treated dropwise with 2N NaOH until a flocculent ppt. sepd. gave 0.7 g. 2-acetamido-3-methyl-6phenylimidazo [2, 1-b] thiazole, m. 225° (decompn.) (EtOH with C).

IT <u>92905-61-8</u>, Imidazo[2,1-b]thiazole, 5-acetamido-6-(p-bromophenyl)-(prepn. of)

RN 92905-61-8 HCAPLUS

CN Imidazo[2,1-b]thiazole, 5-acetamido-6-(p-bromophenyl)- (7CI) (CA INDEX NAME)

=> file caold COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 80.82 403.57 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -11.09 -11.09

FILE 'CAOLD' ENTERED AT 18:23:05 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent

assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter <u>HELP FIRST</u> for more information.

#### => d his

(FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004) FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004 STRUCTURE UPLOADED L126 S L1 L2STRUCTURE UPLOADED L3 20 S L3 L4397 S L3 FULL L5FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004 75 S L5 L6 STRUCTURE UPLOADED L7S L7 FILE 'REGISTRY' ENTERED AT 18:21:18 ON 14 MAY 2004 6 S L7 L8 FILE 'HCAPLUS' ENTERED AT 18:21:19 ON 14 MAY 2004 2 S L8 L9 FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004 STRUCTURE UPLOADED L10 L116 S L10 87 S L10 FULL L12 FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004 16 S L12 L13 3 S L13 AND GERLACH, M?/AU L14 13 S L13 NOT L14 L15 0 S L15 AND MAUL, C?/AU L16 FILE 'CAOLD' ENTERED AT 18:23:05 ON 14 MAY 2004 => s 112L17 2 L12 => d 117, all, 1-1 L17 ANSWER 1 OF 2 CAOLD COPYRIGHT 2004 ACS on STN CA58:2443e CAOLD ANbicyclic heterocyclic compds with a common N atom - (IV) aminoimidazo[2,1-b]thiazoles Pyl, Theodor; Wuensch, K. H.; Buelling, L.; Beyer, H. ΑU IT 74416-91-4 88855-97-4 88855-99-6 91183-08-3 91394-83-1 91394-84-2<u>91635-13-1</u> <u>92286-32-3</u> <u>92545-85-2</u> <u>93327-30-1</u> <u>93819-53-5</u> <u>93869-37-5</u>

#### => d 117, all, 1-2

L17 ANSWER 1 OF 2 CAOLD COPYRIGHT 2004 ACS on STN

AN CA58:2443e CAOLD

TI bicyclic heterocyclic compds with a common N atom - (IV) aminoimidazo[2,1-b]thiazoles

AU Pyl, Theodor; Wuensch, K. H.; Buelling, L.; Beyer, H.

 IT
 74416-91-4
 88855-97-4
 88855-99-6
 91183-08-3
 91394-83-1
 91394-84-2

 91635-13-1
 92286-32-3
 92545-85-2
 93327-30-1
 93819-53-5
 93869-37-5

 94463-22-6
 94574-43-3
 94622-88-5
 94802-80-9
 94802-82-1
 94994-64-6

 95315-23-4
 95315-26-7
 96984-80-4
 96986-17-3
 97026-49-8
 111164-78-4

L17 ANSWER 2 OF 2 CAOLD COPYRIGHT 2004 ACS on STN

AN CA56:2442g CAOLD

TI phenoxazines - (V) syntheses of 7-amino-2-phenoxazones

AU Musso, Hans; Wager, P.

#### => fil reg; d acc 95315-23-4; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:23:34 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

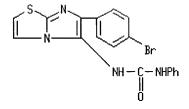
RN 95315-23-4 REGISTRY

CN Urea, 1-[6-(p-bromophenyl)imidazo[2,1-b]thiazol-5-yl]-3-phenyl- (7CI) (CA INDEX NAME)

FS 3D CONCORD

MF C18 H13 Br N4 O S

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS
(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:23:35 ON 14 MAY 2004

=> fil reg; d acc 95315-26-7; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:23:45 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 95315-26-7 REGISTRY

CN Urea, 1-[6-(p-bromophenyl)imidazo[2,1-b]thiazol-5-yl]-3-phenyl-2-thio-(7CI) (CA INDEX NAME)

FS 3D CONCORD

MF C18 H13 Br N4 S2

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS

(\*File contains numerically searchable property data)

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:23:45 ON 14 MAY 2004

=> fil reg; d acc 92905-61-8; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:23:51 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 92905-61-8 REGISTRY

CN Imidazo[2,1-b]thiazole, 5-acetamido-6-(p-bromophenyl)- (7CI) (CA INDEX NAME)

FS 3D CONCORD

MF C13 H10 Br N3 O S

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS

(\*File contains numerically searchable property data)

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:23:52 ON 14 MAY 2004

=> file req

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.42 413.68

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
CA SUBSCRIBER PRICE

0.00
-11.09

FILE 'REGISTRY' ENTERED AT 18:23:56 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7 DICTIONARY FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter <a href="http://example.com/HELP\_PROP">HELP\_PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

=> L18 STRUCTURE UPLOADED

=> d 118 L18 HAS NO ANSWERS L18 ST

=> s 118

SAMPLE SEARCH INITIATED 18:29:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 494 TO ITERATE

100.0% PROCESSED 494 ITERATIONS 20 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 8547 TO 11213 PROJECTED ANSWERS: 132 TO 668

L19 20 SEA SSS SAM L18

=> s 118 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 18:29:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10474 TO ITERATE

100.0% PROCESSED 10474 ITERATIONS

409 ANSWERS

SEARCH TIME: 00.00.01

T.20

409 SEA SSS FUL L18

=> file hcaplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
158.78
572.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE 0.00 -11.09

FILE 'HCAPLUS' ENTERED AT 18:29:30 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21 FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 120/thu

81 L20

592681 THU/RL

L21

9 L20/THU

(L20 (L) THU/RL)

=> s 121 and gerlach, m?/au

233 GERLACH, M?/AU

L22 3 L21 AND GERLACH, M?/AU

=> d 122, ibib abs fhitstr, 1-3

L22 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References
ACCESSION NUMBER:

2001:798222 HCAPLUS

DOCUMENT NUMBER:

135:344484

TITLE:

Preparation of N-acylimidazopyridineamine chlorides

and analogs as  $\mu$ -opiate receptor ligands

INVENTOR(S):

Gerlach, Matthias; Maul, Corinna

PATENT ASSIGNEE(S):

Gruenenthal G.m.b.H., Germany

SOURCE:

PCT Int. Appl., 83 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT       | KIND   | DATE    | APPLICATION NO. DATE |      |        |                                       |   |          |       |     |       |       |     |      |
|--------------|--------|---------|----------------------|------|--------|---------------------------------------|---|----------|-------|-----|-------|-------|-----|------|
|              |        |         |                      |      |        |                                       |   |          |       |     |       |       |     |      |
| WO 2001      | 081344 | A1      | 20011                | 101  |        | W                                     | 20                                      | 01-E     | P377: | 2   | 2001  | 0403  |     |      |
| W:           | AE, AG | , AL, A | M, AT,               | AU,  | AZ,    | BA,                                   | BB,                                     | BG,      | BR,   | BY, | BZ,   | CA,   | CH, | CN,  |
|              |        | , CU, C |                      |      |        |                                       |   |          |       |     |       |       | -   |      |
|              |        | , IL, I |                      |      |        |                                       |   |          |       |     |       |       |     |      |
|              |        | , MA, M |                      |      |        |                                       |   |          |       |     |       | -     | -   |      |
|              |        | , SG, S |                      |      |        |                                       |   |          |       |     |       |       |     |      |
|              |        | , ZW, A |                      |      |        |                                       |   |          |       |     | 00,   | 00,   | 04, | VIV, |
| ₽W•          |        | , KE, L |                      |      |        |                                       |   |          | -     |     | λ·ሞ   | סם    | CII | CV   |
| ****         |        | , KB, E |                      |      |        |                                       |   |          |       |     |       |       |     |      |
|              |        |         |                      |      |        |                                       |   |          |       |     |       | -     | IK, | Br,  |
| DE 1001      |        | , CG, C |                      |      |        |                                       |   |          |       |     |       |       |     |      |
| DE 1001      |        |         |                      |      |        | _                                     |   |          |       |     |       |       |     |      |
| EP 1274      |        |         |                      |      |        |                                       |   |          |       | _   |       |       |     |      |
| R:           | AT, BE | , CH, D | E, DK,               | ES,  | FR,    | GB,                                   | GR,                                     | IT,      | LI,   | LU, | NL,   | SE,   | MC, | PT,  |
|              | IE, SI | , LT, L | V, FI,               | RO,  | MK,    | CY,                                   | AL,                                     | TR       |       |     |       |       |     |      |
| JP 2003      | 531208 | T2      | 20031                | .021 |        | J                                     | P 20                                    | 01-5     | 78434 | 4   | 2001  | 0403  |     |      |
| NO 2002      | 004838 | Α       | 20021                | .007 |        | NO                                    | 20                                      | 02-48    | 338   | _   | 2002  | 1007  |     |      |
| US 2003      |        |         |                      |      |        |                                       |   |          |       |     | 2002  |       |     |      |
| PRIORITY APP |        |         |                      |      |        |                                       |   |          |       | _   | 20000 |       |     |      |
|              |        |         |                      |      | _      | · · · · · · · · · · · · · · · · · · · | *************************************** |          |       |     | 2001  |       |     |      |
| OTHER SOURCE | (s):   | М       | аррат 1              | 35.3 | -      |                                       |   | <u> </u> |       | **  | 2001  | 7.103 |     |      |
| Janes Booken | · · ·  | • • •   |                      |      | , 1110 |                                       |   |          |       |     |       |       |     |      |

Ι

GΙ

AB Title compds. (ICl-)[II; R1 = CMe3, cyclohexyl, CH2CO2Me, (un)substituted Ph, etc.; R2 = H or alkanoyl; R3 = Me, Ph, 2-furyl, 2-pyridinyl, etc.; R4R5 = (un) substituted CH:CHCH:CH, CH:NCH:CH, N:CHCH:CH, etc.; R8 = (cyclo)alkyl] were prepd. Thus, 2-aminopyridine was cyclocondensed with Me3CNC and PhCHO to give, after N-acylation, II (R1 = CMe3, R2 = H, R3 = Ph, R4R5 = CH:CHCH:CH, R8 = Me). Data for biol. activity of II were given.

## IT 370858-36-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N-acylimidazopyridineamine chlorides and analogs as μ-opiate receptor ligands)

RN 370858-36-9 HCAPLUS

Imidazo[2,1-b]thiazolium, 7-acetyl-5-[(1,1-dimethylethyl)amino]-6-phenyl-, CNchloride (9CI) (CA INDEX NAME)

NHBu-t

# C1 -

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

7

Citing References Full

ACCESSION NUMBER:

2001:283961 HCAPLUS

DOCUMENT NUMBER:

134:295826

TITLE:

Preparation of imidazopyridineamines and analogs as

analgesics

INVENTOR(S):

Gerlach, Matthias; Maul, Corinna Gruenenthal G.m.b.H., Germany

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT       | NO.   |     | KIND DATE |     |       |       |       | A.  | APPLICATION NO. |      |       |     | DATE  |      |     |     |
|--------------|-------|-----|-----------|-----|-------|-------|-------|-----|-----------------|------|-------|-----|-------|------|-----|-----|
|              |       |     |           | ~ - |       |       |       | -   |                 |      |       |     |       |      |     |     |
| WO 200       | 10271 | 19  | A:        | 2   | 2001  | 0419  |       | W   | 0 20            | 00-E | P909  | 8   | 2000  | 0918 |     |     |
| WO 200       | 10271 | 19  | A:        | 3   | 2001  | 1011  |       |     |                 |      |       | _   |       |      |     |     |
| W:           | ΑE,   | AL, | AM,       | ΑT, | AU,   | AZ,   | BA,   | BB, | BG,             | BR,  | BY,   | CA, | CH,   | CN,  | CR, | CU, |
|              |       |     |           |     |       |       |       |     |                 |      |       |     | нU,   |      |     |     |
|              |       |     |           |     |       |       |       |     |                 |      |       |     | LU,   |      |     |     |
|              |       |     |           |     |       |       |       |     |                 |      |       |     | SE,   |      |     |     |
|              | SL,   | ТJ, | TM,       | TR, | TT,   | TZ,   | UA,   | UG, | US,             | UZ,  | VN,   | YU, | ZA,   | ZW,  | AM, | AZ, |
|              | BY,   | KG, | ΚZ,       | MD, | RU,   | ТJ,   | TM    |     |                 |      |       |     |       |      |     | •   |
| RW           | : GH, | GM, | KE,       | LS, | MW,   | MZ,   | SD,   | SL, | SZ,             | TZ,  | UG,   | ZW, | AT,   | BE,  | CH, | CY, |
|              |       |     |           |     |       |       |       |     |                 |      |       |     | PT,   |      |     |     |
|              |       |     |           |     | GA,   |       |       |     |                 |      |       |     |       | •    |     | •   |
| DE 1994      | 18434 |     | A:        | 1   | 2001  | 0607  |       | Dl  | E 19            | 99-1 | 99484 | 434 | 1999: | 1008 |     |     |
| PT 1218      | 3378  |     | T         |     | 2003  | 0930  |       | P.  | Г 20            | 00-9 | 5943  | 9   | 2000  | 1006 |     |     |
| ES 2198      | 3355  |     | T         | 3   | 2004  | 0201  |       | ES  | S 20            | 00-9 | 5943  | 9   | 2000  | 1006 |     |     |
| ZA 2002      | 20035 | 79  | Α         |     | 2003  | 0806  |       | Z   | A 200           | 02-3 | 579   | -   | 20020 | 0506 |     |     |
| PRIORITY API |       |     |           |     |       |       |       |     |                 |      |       |     | 1999: |      |     |     |
| OTHER SOURCE | E(S): |     |           | MAR | PAT : | 134:2 | 29582 | 26  |                 |      |       |     |       |      |     |     |
| GI           |       |     |           |     |       |       |       |     |                 |      |       |     |       |      |     |     |

$$R^{5}$$
 $R^{5}$ 
 $R^{6}$ 
 $R^{1}R^{2}$ 
 $R^{3}$ 

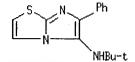
Substance libraries comprising, e.g., I [R1 = CMe3, cycloalkyl, AB (un) substituted Ph, etc.; R2 = H, cycloalkyl, alkanoyl, etc.; R3 = (cyclo)alkyl, (un)substituted (hetero)aryl, etc.; R5,R6 = H, halo, alkyl, alkoxy, etc.; Z = N or CR10; Z1 = N or CR9; R9,R10 = groups cited for R5;  $Z = N \neq Z1$ ;  $Z1 = N \neq Z$ ] were prepd. Thus, pyridine-2-amine was cyclocondensed with cyclohexanecarboxaldehyde and tert-Bu isocyanide to give I (R1 = CMe3, R2 = R5 = R6 = H, R3 = cyclohexyl, Z = Z1 = CH). Data for biol. activity of I were given.

IT 214531-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of imidazopyridineamines and analogs as analgesics)

RN 214531-41-6 HCAPLUS

Imidazo[2,1-b]thiazol-5-amine, N-(1,1-dimethylethyl)-6-phenyl- (9CI) CN (CA INDEX NAME)



### L22 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

References Text

ACCESSION NUMBER: 2001:283960 HCAPLUS

DOCUMENT NUMBER: 134:295829

TITLE: Preparation of aminoimidazo[2,1-b]thiazoles,

-pyrazoles, and -triazoles as analgesics

INVENTOR (S): Gerlach, Matthias; Maul, Corinna

PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO.    | KIND DATE       | APPLICATION NO. DATE                    |
|---------------|-----------------|---|
|               |                 |   |
| WO 2001027118 | A2 20010419     | WO 2000-EP9097 20000918                 |
| WO 2001027118 | A3 20010920     |   |
| W: AE, AL,    | AM, AT, AU, AZ, | BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, |
|               |                 | GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, |
|               |                 | KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, |
|               |                 | NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, |
|               |                 |   |
| SL, TJ,       | TM, TR, TT, TZ, | UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, |
| BY, KG,       | KZ, MD, RU, TJ, | TM                                      |
| RW: GH, GM,   | KE, LS, MW, MZ, | SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, |
|               |                 | GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, |
|               |                 | GW, ML, MR, NE, SN, TD, TG              |
|               |                 | DE 1999-19948434 19991008               |
|               |                 | DE 1999-19948436 19991008               |
|               |                 | BR 2000-14817 20000918                  |
|               |                 | EP 2000-967693 20000918                 |
|               |                 | FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, |
|               | LT, LV, FI, RO, |   |

| JP 2003511456          | T2 | 20030325 | JP 2001-530336     | 20000918 |
|------------------------|----|----------|--------------------|----------|
| NZ 518390              | A  | 20031031 | NZ 2000-518390     | 20000918 |
| NO 2002001566          | A  | 20020527 | NO 2002-1566       | 20020403 |
| US 2002183320          | A1 | 20021205 | US 2002-117335     | 20020408 |
| <u>US 6657064</u>      | B2 | 20031202 |                    |          |
| US 2004023927          | A1 | 20040205 | US 2003-633579     | 20030805 |
| PRIORITY APPLN. INFO.: |    |          | DE 1999-19948434 A | 19991008 |
|                        |    |          | DE 1999-19948436 A | 19991008 |
|                        |    |          | DE 1999-19948438 A | 19991008 |
|                        |    | ,        | WO 2000-EP9097 W   | 20000918 |
|                        |    |          | US 2002-117335 A3  | 20020408 |
|                        |    |          |                    |          |

OTHER SOURCE(S):

MARPAT 134:295829

GΙ

$$R3$$
 $N$ 
 $N$ 
 $R4$ 
 $R1R2N$ 

AB Title compds. [I; R1 = CMe3, cyanohexyl, (substituted) Ph, cycloalkyl, etc.; R2 = H, (branched) (substituted) alkylcarbonyl, Ph, naphthyl, pyridyl, thiazolyl, furoyl, etc.; R3 = (branched) alkylcycloalkyl, (substituted) Ph, naphthyl, quinolinyl, anthracenyl, phenanthrenyl, etc.; X = CR5, N, S; Y = N, but when X = S, Y = CR6, N; R4, R5, R6 = H, (branched) alkyl, halo, CF3, cyano, NO2, amino, etc.], were prepd. Using a Zymark robotic synthesis system, 3-amino-1,2,4-triazole and HClO4 in CH2Cl2, furfural in CH2Cl2, and tert-butylisonitrile in CH2Cl2 were added successively to a reactor tube at 15° followed by 11 h stirring at 15° to give tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)amine. Several I at 10 μM showed 34-77% α2 adrenoceptor affinity.

#### IT 334771-60-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aminoimidazothiazoles, -pyrazoles, and -triazoles as analgesics)

RN 334771-60-7 HCAPLUS

CN 1H-Imidazo[1,2-b][1,2,4]triazol-6-amine, N-(1,1-dimethylethyl)-5-(2-furanyl)- (9CI) (CA INDEX NAME)

=> d his

(FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004)

FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004

L1 STRUCTURE UPLOADED

L2 26 S L1

```
L3
                STRUCTURE UPLOADED
L4
            20 S L3
           397 S L3 FULL
L5
     FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004
             75 S L5
L6
L7
                STRUCTURE UPLOADED
                S L7
     FILE 'REGISTRY' ENTERED AT 18:21:18 ON 14 MAY 2004
L8
              6 S L7
     FILE 'HCAPLUS' ENTERED AT 18:21:19 ON 14 MAY 2004
L9
              2 S L8
    FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004
L10
              STRUCTURE UPLOADED
L11
             6 S L10
L12
             87 S L10 FULL
    FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004
L13
            16 S L12
L14
             3 S L13 AND GERLACH, M?/AU
L15
            13 S L13 NOT L14
L16
             0 S L15 AND MAUL, C?/AU
     FILE 'CAOLD' ENTERED AT 18:23:05 ON 14 MAY 2004
L17
            2 S L12
     FILE 'REGISTRY' ENTERED AT 18:23:34 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:35 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:45 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:45 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:51 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:52 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:56 ON 14 MAY 2004
L18
               STRUCTURE UPLOADED
L19
            20 S L18
L20
           409 S L18 FULL
    FILE 'HCAPLUS' ENTERED AT 18:29:30 ON 14 MAY 2004
L21
          9 S L20/THU
L22
             3 S L21 AND GERLACH, M?/AU
=> s 121 not 122
L23
    6 L21 NOT L22
=> s 123 and maul, c?/au
           93 MAUL, C?/AU
L24
             0 L23 AND MAUL, C?/AU
=> d 123, ibib abs fhitstr, 1-6
L23 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
```



ACCESSION NUMBER: 2003:971725 HCAPLUS

DOCUMENT NUMBER: 140:35893

TITLE: Transcription factor modulating compounds and methods

of use thereof

INVENTOR(S): Levy, Stuart B.; Alekshun, Michael N.; Podlogar, Brent

L.; Ohemeng, Kwasi; Verma, Atul K.; Warchol, Tadeusz;

Bhatia, Beena

PATENT ASSIGNEE(S): USA

SOURCE:

U.S. Pat. Appl. Publ., 301 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATI | ENT ! | NO.   |            | KII | ND !                      | DATE |      |     | A.    | PPLI  | CATI | ON No | o. :       | DATE |      |     |     |  |  |
|------|-------|-------|------------|-----|---------------------------|------|------|-----|-------|-------|------|-------|------------|------|------|-----|-----|--|--|
|      |       |       |            |     |                           |      |      |     | _     |       |      |       |            |      |      |     |     |  |  |
| US 2 | 2003  | 22900 | 65         | A.  | A1 20031211 US 2002-13959 |      |      |     |       |       |      | 3959  | 1 20020814 |      |      |     |     |  |  |
| WO 2 | 2004  | 0010! | <u> 58</u> | A.  | 2 :                       | 2003 | 1231 |     | W     | 2 2 O | 02-U | S142  | 55         | 2002 | 0506 |     |     |  |  |
|      | W:    | AE,   | AG,        | AL, | AM,                       | ΑT,  | AU,  | ΑZ, | BA,   | BB,   | BG,  | BR,   | BY,        | BZ,  | CA,  | CH, | CN, |  |  |
|      |       | CO,   | CR,        | CU, | CZ,                       | DE,  | DK,  | DM, | DZ,   | EC,   | EE,  | ES,   | FI,        | GB,  | GD,  | GE, | GH, |  |  |
|      |       | GM,   | HR,        | HU, | ID,                       | IL,  | IN,  | IS, | JP,   | KE,   | KG,  | ΚP,   | KR,        | ΚZ,  | LC,  | LK, | LR, |  |  |
|      |       | LS,   | LT,        | LU, | LV,                       | MA,  | MD,  | MG, | MK,   | MN,   | MW,  | MX,   | MZ,        | NO,  | NZ,  | OM, | PH, |  |  |
|      |       | PL,   | PT,        | RO, | RU,                       | SD,  | SE,  | SG, | SI,   | SK,   | SL,  | TJ,   | TM,        | TN,  | TR,  | TT, | TZ, |  |  |
|      |       | UA,   | UG,        | US, | UZ,                       | VN,  | YU,  | ZA, | ZM,   | ZW,   | AM,  | ΑZ,   | BY,        | KG,  | KZ,  | MD, | RU, |  |  |
|      |       | ТJ,   | TM         |     |                           |      |      |     |       |       |      |       |            |      |      |     |     |  |  |
|      | RW:   | GH,   | GM,        | KE, | LS,                       | MW,  | MZ,  | SD, | SL,   | SZ,   | TZ,  | UG,   | ZM,        | ZW,  | AT,  | BE, | CH, |  |  |
|      |       | CY,   | DE,        | DK, | ES,                       | FI,  | FR,  | GB, | GR,   | ΙE,   | IT,  | LU,   | MC,        | NL,  | PT,  | SE, | TR, |  |  |
|      |       | BF,   | ВJ,        | CF, | CG,                       | CI,  | CM,  | GA, | GN,   | GQ,   | GW,  | ML,   | MR,        | NE,  | SN,  | TD, | TG  |  |  |
| RITY | APP!  | LN.   | INFO       | .:  |                           |      |      | Ţ   | JS 20 | 001-2 | 2886 | 60P   | P :        | 2001 | 0504 |     |     |  |  |
|      |       |       |            |     |                           |      |      |     |       |       |      |       |            |      |      |     |     |  |  |

PRIOR OTHER SOURCE(S):

MARPAT 140:35893 Methods for identifying compd. useful as anti-infectives that decrease resistance, virulence, or growth of microbes are provided. In one embodiment, the method comprises contacting a microbial cell comprising: (1) a selectable marker under the control of a transcription factor responsive element and (2) a transcription factor, with a compd. under conditions which allow interaction of the compd. with the microbial cell; and measuring the ability of the compd. to affect the growth or survival of the microbial cell as an indication of whether the test compd. modulates the activity of a transcription factor.

# IT 106726-42-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(transcription factor modulating compds. as anti-infectives agents that decrease resistance and virulence and growth identified by detg. marker under control of responsive element)

RN106726-42-5 HCAPLUS

Imidazo[2,1-b]thiazol-5-amine, 2,3-dihydro-6-phenyl-N-(phenylmethylene)-CN (9CI) (CA INDEX NAME)

L23 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

Dolf Geo

Full Citing Text References

ACCESSION NUMBER: 2003:507684 HCAPLUS

DOCUMENT NUMBER: 139:85530

TITLE: Preparation of C1 to c4 side-chain modified

nodulisporic acid analogs as anthelmintic agents

INVENTOR(S): Shih, Thomas; Colletti, Steven L.; Fisher, Michael H.; Meinke, Peter T.; Kuo, Howard C. H.; Chakravarty,

Prasun K.; Wyvratt, Matthew J.; Tyagarajan, Sriram;

Η

Berger, Richard

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE:

U.S., 57 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 6586452 B1 20030701 US 2001-901266 20010709

RITY APPLN. INFO.: US 2000-218398P P 20000714

PRIORITY APPLN. INFO.:
OTHER SOURCE(S):

MARPAT 139:85530

GΙ

AB Nodulisporic acid derivs., such as I [R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl; R2-R4 = (substituted) OH; R1R2 = O; R5 = H, (substituted) OH; R4R5 = O; R6-R8 = H, alkyl, alkenyl, aryl, cycloalkyl, halo, CN acyl, amino, etc.] were prepd. The compds. were acaricidal, antiparasitic, insecticidal and anthelmintic agents. Thus, nodulisporic acid deriv. II was prepd. via a multistep synthetic sequence starting from nodulisporic acid A, N-methylhydroxylamine hydrochloride and N-phenyl-maleimide.

#### IT 552836-27-8P

RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of C1 to C4 side-chain modified nodulisporic acid analogs as anthelmintic agents)

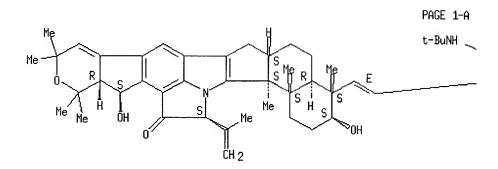
RN 552836-27-8 HCAPLUS

CN 1H-Benz[6,7]indeno[1,2-b]pyrano[3',4':4,5]cyclopenta[1,2-f]pyrrolo[3,2,1-

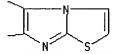
hi]indol-14(15H)-one, 4-[(1E)-2-[5-[(1,1-dimethylethyl)amino]imidazo[1,2-b]thiazol-6-yl]ethenyl]-2,3,4,4a,5,6,6a,7,10,12,12a,13,16b,16c-tetradecahydro-3,13-dihydroxy-4,10,10,12,12,16b,16c-heptamethyl-15-(1-methylethenyl)-, (3S,4S,4aR,6aS,12aR,13S,15S,16bS,16cS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



PAGE 1-B



REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2002:488374 HCAPLUS

DOCUMENT NUMBER: 137:179390

TITLE: Cardiovascular Characterization of

[1,4] Thiazino [3,4-c] [1,2,4] oxadiazol-1-one

Derivatives: Selective Myocardial Calcium Channel

Modulators

AUTHOR(S): Budriesi, Roberta; Cosimelli, Barbara; Ioan,

Pierfranco; Lanza, Camilla Zaira; Spinelli, Domenico;

Chiarini, Alberto

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di

Bologna, Bologna, 40126, Spain

SOURCE: Journal of Medicinal Chemistry (2002), 45(16),

3475-3481

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

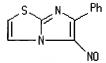
As an extension of previous investigations (Tetrahedron 1999, 55, 5433-5440; J. Heterocycl. Chem. 2000, 37, 875-878), a series of 21 [1,4]thiazino[3,4-c][1,2,4]oxadiazolones, which has already been synthesized (except for tree compds.), was evaluated as calcium entry blockers by functional studies, namely, in isolated guinea-pig left and right atria and K+-depolarized aortic strips. With the aim of investigating the effect of a condensed benzene ring on the mol. structure. The results obtained show that many of the compds. studied are potent and selective neg. inotropic agents; in particular, two compds. are about 3- and 2-fold more potent than diltiazem, resp.

#### IT 16311-34-5P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (thiazinooxadiazolone derivs. inotropic calcium channel
 modulating-structure in relation to drug design)

RN 16311-34-5 HCAPLUS

CN Imidazo[2,1-b]thiazole, 5-nitroso-6-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2002:270662 HCAPLUS

DOCUMENT NUMBER: 136:294827

TITLE: Preparation of imidazothiazole derivatives as ligands

for metabotropic glutamate receptor

INVENTOR(S): Hayashibe, Satoshi; Itahana, Hirotsune; Okada, Shoji;

Ohara, Atsuyuki; Negoro, Kenji; Nozawa, Shiqenori;

Kamikubo, Takashi; Sakamoto, Shuichi

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

Patent

SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE: Japanese

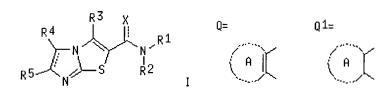
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

OTHER SOURCE(S):

MARPAT 136:294827

GI



AB The title compds. [I; R1, R2 = H, lower alkyl, cycloalkyl; R3 = H, lower alkyl; R4, R5 = H, halo, NO2, (un)substituted lower alkyl, aryl, heteroaryl, COR9, NHCO-O-lower alkyl, CR8:CR6R7, CR8R5aC(:CH2)R7; or R4 and R5 together represent Q, Q1; ring A = (un)substituted carbocyclic or arom. heterocyclic ring optionally possessing 1 or 2 double bond(s), wherein the ring atoms are carbon atoms or may contain 1-3 heteroatoms; R6, R7 = H, (un)substituted lower alkyl, aryl, or heteroaryl, lower alkoxycarbonyl, COR9, or R6 and R7 are combined together to represent cycloalkyl or (un)satd. heterocyclic ring; R6a = NR10R11; wherein R10, R11

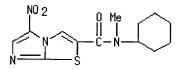
= H, (un) substituted lower alkyl or R10 and R11 together form (un) substituted heteroaryl or satd. heterocyclic ring; X = O, H] or pharmacol. acceptable salts thereof are prepd. These compds. are useful as agonists and/or antagonists for metabotropic glutamate receptor (mGluR1), in particular in the prevention or treatment of cerebral infarction (no data). Thus, a soln. of 2.5 g Et imidazo[2,1-b]thiazole-2-carboxylate in 100 mL methanol was treated with 30 mL 1 M aq. NaOH, stirred at room temp. for 2 h, refluxed for 15 min, cooled to room temp., and treated with 1 M aq. HCl followed by distg. off the solvent under reduced pressure, to give crude imidazo[2,1-b]thiazole-2-carboxylic acid hydrochloride (II). II was dissolved in 30 mL DMF, treated with 3.3 mL N-methylmorpholine and 1.43 mL Et chloroformate at -10°, and stirred at the same temp. for 3 h to give, after workup and conversion into the HCl salt, N-cyclohexyl-N-methylimidazo[2,1-b]thiazole-2-carboxamide hydrochloride.

## IT 409061-96-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazothiazole derivs. as ligands for metabotropic glutamate receptor in prevention or treatment of cerebral infarction) 409061-96-7 HCAPLUS

Imidazo[2,1-b]thiazole-2-carboxamide, N-cyclohexyl-N-methyl-5-nitro- (9CI)
 (CA INDEX NAME)



L23 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References
ACCESSION NUMBER:

2000:619076 HCAPLUS

DOCUMENT NUMBER:

134:256

TITLE:

RN

CN

Potential antitumor agents. part 29: synthesis and

potential coanthracyclinic activity of Imidazo[2,1-b]thiazole guanylhydrazones

AUTHOR (S):

Andreani, A.; Leoni, A.; Locatelli, A.; Morigi, R.;

Rambaldi, M.; Recanatini, M.; Garaliene, V.

CORPORATE SOURCE:

Dipartimento di Scienze Farmaceutiche, Universita di

Bologna, Bologna, 40126, Italy

SOURCE:

Bioorganic & Medicinal Chemistry (2000), 8(9),

2359-2366

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 134:256

AB This paper reports the synthesis of new imidazo[2,1-b]thiazole guanylhydrazones which were tested as potential antitumor agents. Three of these derivs. (those bearing a 3- or 4-nitrophenyl group) were the most potent and one of these showed a mild effect as cyclin-dependent kinase 1 (CDK1) inhibitor. These same three derivs. were also tested as pos. inotropic agents and two of them were more potent than amrinone at 10-5 M. These two guanylhydrazones could be useful coanthracyclinic agents.

IT 308121-59-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (synthesis and potential coanthracyclinic activity of Imidazo[b]thiazole guanylhydrazones as potential antitumor agents with pos. inotropic activity in relation to cyclin-dependent kinase 1 inhibition)

RN308121-59-7 HCAPLUS

> Hydrazinecarboximidamide, 2-[(5-nitroimidazo[2,1-b]thiazol-6-yl)methylene]-, tetrahydrochloride (9CI) (CA INDEX NAME)

S N CH 
$$=$$
 N-NH-C-NH<sub>2</sub>

# 4 HC1

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS 16 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

Citation of Full Text

ACCESSION NUMBER:

1984:603875 HCAPLUS

DOCUMENT NUMBER:

101:203875

TITLE:

CN

Nitroimidazoles: part XIX - structure-activity

relationships

AUTHOR (S):

Nagarajan, K.; Arya, V. P.; George, T.; Nair, M. D.;

CORPORATE SOURCE:

SOURCE:

Sudarsanam, V.; Ray, D. K.; Shrivastava, V. B. Res. Cent., CIBA-GEIGY, Bombay, 400 063, India Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984),

23B(4), 342-62

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE:

LANGUAGE:

Journal

English

GT

AB A variety of nitroimidazoles, mostly 1,2-disubstituted-5-nitro derivs. were examd. for in vitro activity against Entamoeba histolytica and for effectiveness in treating early hepatic infection in golden hamsters. Many compds. carried a functionalized N atom at position 2. In vivo activity was obsd. with 1-alkyl-5-nitroimidazoles carrying a substituted imidazolidinone or imidazole. Among these derivs., 1-methylsulfonyl-3-(1methyl-5-nitro-2-imidazolyl)-2-imidazolidinone (I) [56302-13-7] was the most potent against hepatic and caecal infections of E. histolytica in the golden hamster and Trichomonas foetus infections in mice. It was developed as a drug for treatment of amoebiasis, giardiasis, and trichomoniasis. The structure-antiamebic activity relationships of the

nitroimidazoles are discussed.

# IT 65092-06-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amebicidal activity of, structure in relation to)

RN 65092-06-0 HCAPLUS

CN 1H-Imidazo[1,2-a]imidazole, 2,3-dihydro-1-methyl-5-nitro- (9CI) (CA INDEX NAME)

=> file caold

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
49.89 622.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

-6.24
-17.33

FILE 'CAOLD' ENTERED AT 18:31:00 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter <u>HELP FIRST</u> for more information.

#### => d his

L6

(FILE 'HOME' ENTERED AT 18:13:10 ON 14 MAY 2004)

FILE 'REGISTRY' ENTERED AT 18:13:33 ON 14 MAY 2004

L1 STRUCTURE UPLOADED

L2 26 S L1

L3 STRUCTURE UPLOADED

L4 20 S L3

L5 397 S L3 FULL

FILE 'HCAPLUS' ENTERED AT 18:19:57 ON 14 MAY 2004 75 S L5

```
L7
                STRUCTURE UPLOADED
                S L7
     FILE 'REGISTRY' ENTERED AT 18:21:18 ON 14 MAY 2004
              6 S L7
L8
     FILE 'HCAPLUS' ENTERED AT 18:21:19 ON 14 MAY 2004
L9
              2 S L8
     FILE 'REGISTRY' ENTERED AT 18:21:22 ON 14 MAY 2004
L10
                STRUCTURE UPLOADED
              6 S L10
L11
             87 S L10 FULL
L12
     FILE 'HCAPLUS' ENTERED AT 18:21:47 ON 14 MAY 2004
             16 S L12
L13
             3 S L13 AND GERLACH, M?/AU
L14
             13 S L13 NOT L14
L15
             0 S L15 AND MAUL, C?/AU
L16
     FILE 'CAOLD' ENTERED AT 18:23:05 ON 14 MAY 2004
L17
              2 S L12
     FILE 'REGISTRY' ENTERED AT 18:23:34 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:35 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:45 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:45 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:51 ON 14 MAY 2004
     FILE 'CAOLD' ENTERED AT 18:23:52 ON 14 MAY 2004
     FILE 'REGISTRY' ENTERED AT 18:23:56 ON 14 MAY 2004
                STRUCTURE UPLOADED
L18
L19
             20 S L18
            409 S L18 FULL
L20
     FILE 'HCAPLUS' ENTERED AT 18:29:30 ON 14 MAY 2004
L21
              9 S L20/THU
L22
              3 S L21 AND GERLACH, M?/AU
L23
             6 S L21 NOT L22
L24
              0 S L23 AND MAUL, C?/AU
     FILE 'CAOLD' ENTERED AT 18:31:00 ON 14 MAY 2004
=> s 120
L25
           6 L20
=> d 125, all, 1-6
L25 ANSWER 1 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
   Full
   Text
AN
     CA64:2093g CAOLD
     1-substituted-2-acyl-5-nitroimidazoles
ΤI
AU
    Henry, David W.; Hoff, D. R.
```

DT

Patent

```
2-acyl-5-nitroimidazoles (1-substituted)
TI
PΑ
     Merck & Co., Inc.
DT
     Patent
                                 DATE
     PATENT NO.
                   KIND
     ______
PΙ
     BE 661262
     NL 6503442
                                                         4750-34-9
IT
     1563-99-1
                  4224-56-0 4750-32-7
                                             4750-33-8
                                                                       4750-35-0
                                             4750-39-4
     4750-36-1 4750-37-2
                                                                       4750-55-4
                               4750-38-3
                                                          4750-54-3
                                             4750-59-8 4812-30-0
                                                                       4812-31-1
     <u>4750-56-5</u> <u>4750-57-6</u> <u>4750-58-7</u>
                                                          4812-36-6
     <u>4812-32-2</u> <u>4812-33-3</u> <u>4812-34-4</u>
                                             4812-35-5
                                             4827-75-2 4859-05-6 4994-21-2
     4812-37-7 4812-39-9
                                4819-25-4
     4994-22-3 5605-52-7
                               7760-43-2 10213-26-0 13489-37-7 21741-90-2
L25 ANSWER 2 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
     CA58:2443e CAOLD
AN
ΤI
     bicyclic heterocyclic compds with a common N atom - (IV)
     aminoimidazo[2,1-b]thiazoles
     Pyl, Theodor; Wuensch, K. H.; Buelling, L.; Beyer, H.
ΑU
IT 74416-91-4 88855-97-4 88855-99-6 91183-08-3 91394-83-1
     91394-84-2 91635-13-1 92286-32-3 92545-85-2 93327-30-1

    93819-53-5
    93869-37-5
    94463-22-6
    94574-43-3
    94622-88-5

    94802-80-9
    94802-82-1
    94994-64-6
    95315-23-4
    95315-26-7

     <u>96984-80-4</u> 96986-17-3 97026-49-8 111164-78-4
L25 ANSWER 3 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
     CA58:2442q CAOLD
ΔN
     bicyclic heterocyclic compds. with a common N atom - (III) nitrosation and
ΤI
     azo coupling of 6-phenylimidazo[2,1-b]thiazoles
     Pyl, Theodor; Wuensch, K. H.; Beyer, H.
UΑ
IT <u>14954-66-6</u> <u>14956-60-6</u> <u>14956-61-7</u> <u>16311-34-5</u> <u>27129-49-3</u>
     <u>91065-26-8</u> <u>91330-92-6</u> <u>91493-98-0</u> <u>91493-99-1</u> <u>91494-00-7</u>
     91902-04-4 92697-08-0 92905-62-9 <u>93191-39-0</u> <u>93329-14-7</u> <u>95024-60-5</u>
L25 ANSWER 4 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
     CA56:2442q CAOLD
AN
     phenoxazines - (V) syntheses of 7-amino-2-phenoxazones
ΤI
     Musso, Hans; Wager, P.
ΑU
     493-42-5 1916-58-1
                                2835-97-4
                                             3950-31-0 26103-30-0 26103-31-1
IT
     53669 - 94 - 6 53669 - 95 - 7 53669 - 97 - 9 67862 - 51 - 5 92060 - 74 - 7 92102 - 80 - 2
     92149-10-5 92149-30-9 92149-31-0 92437-82-6 92873-56-8 92905-61-8
     93014-15-4 93431-78-8 93986-16-4
                                             94538-61-1 94709-90-7 94906-40-8
     95019-65-1 98016-21-8 98396-82-8
L25 ANSWER 5 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
AN
     CA55:27354d CAOLD
ΤI
     condensed polymethylene derivs. of heterocycles based on lactams - (V)
     synthesis of 8,9-tri- and tetramethylenepurines
ΑU
     Glushkov, R. G.; Magidson, O. Yu.
ΙT
                  <u>5654-82-0</u> <u>98490-26-7</u> <u>108106-76-9</u> <u>108128-97-8</u> <u>108249-28-1</u>
     4430-74-4
     108480 - 63 - 3 \quad 109442 - 37 - 7 \quad 109497 - 99 - 6 \quad 109498 - 00 - 2 \quad 109510 - 96 - 5 \quad 109817 - 54 - 1
     109848-37-5 109868-78-2 117888-87-6 118802-01-0 118950-56-4
     118950-57-5 130936-42-4
L25 ANSWER 6 OF 6 CAOLD COPYRIGHT 2004 ACS on STN
AN
     CA55:24726d CAOLD
     bicyclic heterocyclic compds. with a common N atom - (I)
TI
     imidazo[2,1-b]-thiazoles
     Pyl, Theodor; Giebelmann, R.; Beyer, H.
ΑU
```

=> fil reg; d acc 4812-34-4; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:31:23 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4812-34-4 REGISTRY

CN 5H-Pyrrolo[1,2-a]imidazole, 6,7-dihydro-3-nitro- (7CI, 8CI, 9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C6 H7 N3 O2

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:31:23 ON 14 MAY 2004

=> fil reg; d acc 4812-35-5; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:31:43 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4812-35-5 REGISTRY

CN 7H-Pyrrolo[1,2-a]imidazol-7-one, 5,6-dihydro-3-nitro-, oxime (7CI, 8CI) (CA INDEX NAME)

FS 3D CONCORD

MF C6 H6 N4 O3

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:31:43 ON 14 MAY 2004

=> fil reg; d acc 4812-36-6; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:31:50 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4812-36-6 REGISTRY

CN 7H-Pyrrolo[1,2-a]imidazol-7-one, 5,6-dihydro-3-nitro-, semicarbazone (7CI, 8CI) (CA INDEX NAME)

FS 3D CONCORD

MF C7 H8 N6 O3

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:31:51 ON 14 MAY 2004

=> fil reg; d acc 4812-37-7; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:31:57 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4812-37-7 REGISTRY

CN 7H-Pyrrolo[1,2-a]imidazol-7-one, 5,6-dihydro-3-nitro- (7CI, 8CI) (CA INDEX NAME)

FS 3D CONCORD

MF C6 H5 N3 O3

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:31:58 ON 14 MAY 2004

=> fil reg; d acc 4994-22-3; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:32:04 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 4994-22-3 REGISTRY

CN 5H-Pyrrolo[1,2-a]imidazole, 7-benzylidine-6,7-dihydro-3-nitro- (8CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 5H-Pyrrolo[1,2-a]imidazole, 7-benzylidene-6,7-dihydro-3-nitro- (7CI)

FS 3D CONCORD

MF C13 H11 N3 O2

LC STN Files: CA, CAOLD, CAPLUS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:32:04 ON 14 MAY 2004

=> fil reg; d acc 88855-97-4; fil CAOLD

FILE 'REGISTRY' ENTERED AT 18:32:23 ON 14 MAY 2004

ANSWER 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 88855-97-4 REGISTRY

CN Imidazo[2,1-b]thiazole, 5-amino-6-(p-aminophenyl)-2-methyl-, dipicrate

(7CI) (CA INDEX NAME)

MF C12 H12 N4 S . 2 C6 H3 N3 O7

LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS

(\*File contains numerically searchable property data)

CM 1

CRN 88855-96-3 CMF C12 H12 N4 S

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

FILE 'CAOLD' ENTERED AT 18:32:24 ON 14 MAY 2004

=> file reg

CA SUBSCRIBER PRICE

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.42 642.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

0.00

-17.33

FILE 'REGISTRY' ENTERED AT 18:32:49 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7 DICTIONARY FILE UPDATES: 13 MAY 2004 HIGHEST RN 681515-11-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter <a href="HELP PROP">HELP PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

=>

L26 STRUCTURE UPLOADED

=> d 126

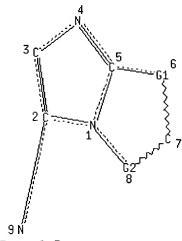
L26 HAS NO ANSWERS

L26

STR

N 14 C 15

## C 11 S 12 N 13 Page 1-A



Page 1-B

C 10

Page 3-A

VAR G1=11/12/13

VAR G2=14/15

NODE ATTRIBUTES:

NSPEC IS R AΤ NSPEC AT IS R 2 NSPEC IS R AT3 NSPEC ATIS R NSPEC IS R ATNSPEC IS R AT 6 NSPEC IS R ATAT8 NSPEC IS R NSPEC IS C ΑT 9 NSPEC IS C AΤ 10 DEFAULT MLEVEL IS ATOM MLEVEL IS CLASS AT

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

=> s 126

SAMPLE SEARCH INITIATED 18:33:49 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 492 TO ITERATE

100.0% PROCESSED 492 ITERATIONS

20 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 8510 TO 11170

PROJECTED TIBRATIONS:

132 TO 668

L27 20 SEA SSS SAM L26

=> s 126 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 18:33:58 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 10461 TO ITERATE

100.0% PROCESSED 10461 ITERATIONS

379 ANSWERS

SEARCH TIME: 00.00.01

L28 379 SEA SSS FUL L26

=> file hcaplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
155.84
797.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

0.00 -17.33

FILE 'HCAPLUS' ENTERED AT 18:34:03 ON 14 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 May 2004 VOL 140 ISS 21 FILE LAST UPDATED: 13 May 2004 (20040513/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
78 L28
       592681 THU/RL
            9 L28/THU
                (L28 (L) THU/RL)
=> s 129 and pain?
       119464 PAIN?
            0 L29 AND PAIN?
L30
=> s 129 and analg?
        55467 ANALG?
L31
            3 L29 AND ANALG?
=> d 131, ibib abs fhitstr, 1-3
L31 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
         e Citien
   Full
         References
   Text
                        2001:798222 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                        135:344484
TITLE:
                        Preparation of N-acylimidazopyridineamine chlorides
                        and analogs as \mu-opiate receptor ligands
                        Gerlach, Matthias; Maul, Corinna
INVENTOR(S):
                        Gruenenthal G.m.b.H., Germany
PATENT ASSIGNEE(S):
                        PCT Int. Appl., 83 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                 KIND DATE
     PATENT NO.
                                        APPLICATION NO. DATE
    WO 2001081344 A1 20011101 WO 2001-EP3772 20010403
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    DE 10019714
                      Α1
                          20020110
                                        DE 2000-10019714 20000420
    EP 1274709
                      A1
                           20030115
                                          EP 2001-931560 20010403
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    JP 2003531208
                    T2 20031021
                                          JP 2001-578434
                                                           20010403
                                          NO 2002-4838
    NO 2002004838
                           20021007
                      Α
                                                           20021007
                   A1
                                          US 2002-273344
    US 2003119842
                           20030626
                                                           20021018
PRIORITY APPLN. INFO.:
                                       DE 2000-10019714 A 20000420
                                       WO 2001-EP3772 W 20010403
```

=> s 128/thu

OTHER SOURCE(S): MARPAT 135:344484

GΙ

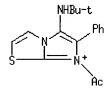
Title compds. (ICl-)[II; R1 = CMe3, cyclohexyl, CH2CO2Me, (un)substituted AB Ph, etc.; R2 = H or alkanoyl; R3 = Me, Ph, 2-furyl, 2-pyridinyl, etc.; R4R5 = (un)substituted CH:CHCH:CH, CH:NCH:CH, N:CHCH:CH, etc.; R8 = (cyclo)alkyl] were prepd. Thus, 2-aminopyridine was cyclocondensed with Me3CNC and PhCHO to give, after N-acylation, II (R1 = CMe3, R2 = H, R3 = Ph, R4R5 = CH:CHCH:CH, R8 = Me). Data for biol. activity of II were given.

IT 370858-36-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N-acylimidazopyridineamine chlorides and analogs as μ-opiate receptor ligands)

370858-36-9 HCAPLUS RN

CN Imidazo[2,1-b]thiazolium, 7-acetyl-5-[(1,1-dimethylethyl)amino]-6-phenyl-, chloride (9CI) (CA INDEX NAME)



# C1'-

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

7

# (Cidle)

2001:283961 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:295826

TITLE: Preparation of imidazopyridineamines and analogs as

analgesics

INVENTOR(S): Gerlach, Matthias; Maul, Corinna PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO.    | KIND    | DATE        | APPLICATION NO.    | DATE            |
|---------------|---------|-------------|--------------------|-----------------|
|               |         |             |                    |                 |
| WO 2001027119 | A2      | 20010419    | WO 2000-EP9098     | 20000918        |
| WO 2001027119 | A3      | 20011011    |                    |                 |
| W: AE, AL,    | AM, AT, | AU, AZ, BA, | BB, BG, BR, BY, CA | CH, CN, CR, CU, |
| CZ, DK,       | DM, EE, | ES, FI, GB, | GD, GE, GH, GM, HR | HU, ID, IL, IN, |

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG DE 1999-19948434 19991008 DE 19948434 20010607 A1  $\mathbf{T}$ PT 1218378 20030930 PT 2000-969439 20001006 ES 2198355 Т3 20040201 ES 2000-969439 20001006 ZA 2002003579 Α 20030806 ZA 2002-3579 20020506 DE 1999-19948434 A 19991008 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 134:295826

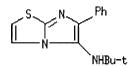
Substance libraries comprising, e.g., I [R1 = CMe3, cycloalkyl, AB (un) substituted Ph, etc.; R2 = H, cycloalkyl, alkanoyl, etc.; R3 = (cyclo)alkyl, (un)substituted (hetero)aryl, etc.; R5,R6 = H, halo, alkyl, alkoxy, etc.; Z = N or CR10; Z1 = N or CR9; R9,R10 = groups cited for R5;  $Z = N \neq Z1$ ;  $Z1 = N \neq Z$ ] were prepd. Thus, pyridine-2-amine was cyclocondensed with cyclohexanecarboxaldehyde and tert-Bu isocyanide to give I (R1 = CMe3, R2 = R5 = R6 = H, R3 = cyclohexyl, Z = Z1 = CH). Data for biol. activity of I were given.

IT 214531-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of imidazopyridineamines and analogs as analgesics)

214531-41-6 HCAPLUS RN

Imidazo[2,1-b]thiazol-5-amine, N-(1,1-dimethylethyl)-6-phenyl- (9CI) CN INDEX NAME)



L31 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full

2001:283960 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:295829

Preparation of aminoimidazo[2,1-b]thiazoles, TITLE:

-pyrazoles, and -triazoles as analgesics

Gerlach, Matthias; Maul, Corinna INVENTOR(S): PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent German LANGUAGE:

FAMILY ACC. NUM. COUNT:

## PATENT INFORMATION:

```
APPLICATION NO. DATE
                   KIND DATE
    PATENT NO.
    _____
                         -----
                                        -----
                    A2
    WO 2001027118
                        20010419
                                        WO 2000-EP9097
                                                        20000918
    WO 2001027118
                    A3 20010920
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,
            IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
            MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
            SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                      DE 1999-19948434 19991008
    DE 19948434
                     A1 20010607
                                        DE 1999-19948436 19991008
    DE 19948436
                     A1
                          20010607
    BR 2000014817
                     Α
                          20020618
                                        BR 2000-14817
                                                        20000918
    EP 1218383
                    A2
                          20020703
                                        EP 2000-967693
                                                        20000918
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL
    JP 2003511456
                    T2 20030325
                                        JP 2001-530336
                                                        20000918
    NZ 518390
                     A
                          20031031
                                        NZ 2000-518390
                                                        20000918
                     A 20020527
    NO 2002001566
                                        NO 2002-1566
                                                        20020403
    US 2002183320
                     A1 20021205
                                        US 2002-117335
                                                        20020408
    US 6657064
                     B2 20031202
    US 2004023927
                    A1 20040205
                                        US 2003-633579
                                                        20030805
PRIORITY APPLN. INFO.:
                                     DE 1999-19948434 A 19991008
                                     DE 1999-19948436 A 19991008
                                     DE 1999-19948438 A 19991008
                                     WO_2000-EP9097 W 20000918
                                     US 2002-117335 A3 20020408
```

OTHER SOURCE(S):

MARPAT 134:295829

GΙ

$$R3$$
 $N$ 
 $N$ 
 $R4$ 
 $R1R2N$ 

AB Title compds. [I; R1 = CMe3, cyanohexyl, (substituted) Ph, cycloalkyl, etc.; R2 = H, (branched) (substituted) alkylcarbonyl, Ph, naphthyl, pyridyl, thiazolyl, furoyl, etc.; R3 = (branched) alkylcycloalkyl, (substituted) Ph, naphthyl, quinolinyl, anthracenyl, phenanthrenyl, etc.; X = CR5, N, S; Y = N, but when X = S, Y = CR6, N; R4, R5, R6 = H, (branched) alkyl, halo, CF3, cyano, NO2, amino, etc.], were prepd. Using a Zymark robotic synthesis system, 3-amino-1,2,4-triazole and HClO4 in CH2Cl2, furfural in CH2Cl2, and tert-butylisonitrile in CH2Cl2 were added successively to a reactor tube at 15° followed by 11 h stirring at 15° to give tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6yl)amine. Several I at 10 μM showed 34-77% α2 adrenoceptor affinity.

# IT 334771-60-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminoimidazothiazoles, -pyrazoles, and -triazoles as  ${\tt analgesics})$ 

RN <u>334771-60-7</u> HCAPLUS

CN TH-Imidazo[1,2-b][1,2,4]triazol-6-amine, N-(1,1-dimethylethyl)-5-(2-furanyl)- (9CI) (CA INDEX NAME)

=>